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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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http://www.cas.org/support/stngen/stndoc/properties.html

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chain nodes:
10 11
ring nodes:
1 2 3 4 5 6 7 8 9
chain bonds:
1-10 10-11
ring bonds:
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9
exact/norm bonds:
1-2 1-6 1-10 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 10-11

G1:0,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



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=> s 11 full FULL SEARCH INITIATED 11:51:37 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 153176 TO ITERATE

100.0% PROCESSED 153176 ITERATIONS 1078 ANSWERS SEARCH TIME: 00.00.07

L2 1078 SEA SSS FUL L1

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 TOTAL

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 TOTAL

 FULL ESTIMATED COST
 185.88
 186.58

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FILE COVERS 1907 - 28 Jan 2009 VOL 150 ISS 5 FILE LAST UPDATED: 27 Jan 2009 (20090127/ED)

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=> s 12 full L3 106 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1106262 CAPLUS

DOCUMENT NUMBER: 149:332115

TITLE: Preparation of 9H-purine derivatives for therapeutic

use in the treatment of proliferative diseases Stadtmueller, Heinz; Boehmelt, Guido; Engelhardt, Harald; Hirt, Ulrich; Schaaf, Otmar; Waizenegger,

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

PCT Int. Appl., 60pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

INVENTOR(S):

| PA | TENT | NO. | | | KIN | D | DATE | | - 1 | APPL | ICAT: | I NOI | DATE | | | | | |
|---------|-------|---------------|-----|-----|-----|-----|----------|------|-----|------|-------|-------|----------|-----|-----|------|-----|--|
| WO | 2008 | 1074 | 44 | | A1 | | 20080912 | | 1 | WO 2 | 008- | EP52 | 20080304 | | | | | |
| | W: | : AE, AG, AL, | | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | | |
| | | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | |
| | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | |
| | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | |
| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | |
| | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | |
| | | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HR, | HU, | |
| | | IE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, | |
| | | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | |
| | | TG, | BW, | GH, | GM, | ΚE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | |
| | | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | | | | | | |
| PRIORIT | | | | | | | | | | EP 2 | 007- | 1036 | 69 | - 2 | A 2 | 0070 | 307 | |
| OTHER S | OURCE | (S): | | | MAR | PAT | 149: | 3321 | 15 | | | | | | | | | |

AB Purine derivs., such as I [R2 = arylamino; R6 = heteroaryl, heterocyclyl, etc.; R8 = H, alkyl, halogen, etc.], were prepared for therapeutic use in pharmaceutical compns. for the treatment of diseases characterized by excessive or abnormal cell proliferation and for treatment or prevention

of cancer, infection, inflammation and autoimmune disorders. Thus, purine derivative II was prepared by a series of synthetic steps starting from 2,6-dichloropurine, 3,4,5-trifluoro-l-nitrobenzene, morpholine and 4,5,6,7-tetrahydro-lH-imidazo(4,5-clpyridine. The prepared purine derivs. were evaluated for inhibition of proliferation of PC-3 prostate carcinoma cells and for effect on PDK1 kinase activity. 1054315-95-sp

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 9H-purine derivs. for therapeutic use in treatment of proliferative diseases)

RN 1054315-95-5 CAPLUS

CN

1,4-Benzenediamine, N1-(1-ethyl-4-piperidinyl)-2-fluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

IT 1054315-91-1P 1054315-92-2P 1054315-93-3P 1054315-94-4P 1054315-96-6P 1054315-97-7P 1054315-98-8P 1054315-99-9P 1054316-02-7P 1054316-03-8P 1054316-04-9P 1054316-02-7P 1054316-03-8P 1054316-04-9P 1054316-08-3P 1054316-08-9P 1054316-08-9P 1054316-08-3P 1054316-39-4P 1054316-28-7P 1054316-28-3P 1054316-33-4P 1054316-32-3P 1054316-33-4P 1054316-36-7P 1054316-33-6P 1054316-38-4P 1054316-38-4P 1054316-38-4P 1054316-38-3P 1054316-38-3P 1054316-38-3P 1054316-49-3P 1054316-49-3P 1054316-49-3P 1054316-51-6P 1054316-49-3P 1054316-51-6P 1054316-63-3P 1054316-66-3P 1054316-67-P 1054316-63-3P 1054316-66-3P 1054316-65-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 9H-purine derivs. for therapeutic use in treatment of proliferative diseases)

RN 1054315-91-1 CAPLUS

CN

9H-Purin-2-amine, N-[3,5-difluoro-4-(4-morpholinyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054315-92-2 CAPLUS
- CN 9H-Purin-2-amine, N-[3-fluoro-4-[[(3S)-tetrahydro-3-furany1]oxy]pheny1]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1054315-93-3 CAPLUS
- CN 9H-Purin-2-amine, N-[3-fluoro-4-[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1054315-94-4 CAPLUS
- CN 9H-Purin-2-amine, N-[3-fluoro-4-[(tetrahydro-2H-pyran-4-y1)oxy]phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1054315-96-6 CAPLUS

CN 1,4-Benzenediamine, 2-fluoro-N1-(1-methyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054315-97-7 CAPLUS

CN 1,4-Benzenediamine, 2-fluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]-N1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

RN 1054315-98-8 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-morpholiny1)pheny1]-6-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

- RN 1054315-99-9 CAPLUS
- CN D-Mannitol, 1,4:3,6-dianhydro-2-O-[4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-9H-purin-2-y1]amino]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1054316-02-7 CAPLUS
- CN 1,4-Benzenediamine, 2-fluoro-N1-methyl-N1-(1-methyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

- RN 1054316-03-8 CAPLUS
- CN 9H-Purin-2-amine, N-[4-(2,6-difluoro-4-morpholinyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1054316-04-9 CAPLUS

CN 1,4-Benzenediamine, N1-(1-cyclopropyl-4-piperidinyl)-2-fluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-05-0 CAPLUS

CN 1,4-Benzenediamine, 2,6-difluoro-N1-methyl-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-06-1 CAPLUS

CN 1,4-Benzenediamine, 2-methyl-N1-(1-methyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-07-2 CAPLUS

CN 1,4-Benzenediamine, 2-methoxy-N1-(1-methyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-08-3 CAPLUS

CN 1,4-Benzenediamine, 2-bromo-N1-(1-cyclopropyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]-(CA INDEX NAME)

RN 1054316-09-4 CAPLUS

CN 1,4-Benzenediamine, 2-chloro-N1-(1-methyl-4-piperidinyl)-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-28-7 CAPLUS

CN 9H-Purin-2-amine, 8-methyl-N-[4-(4-morpholinyl)phenyl]-6-(3,4,6,7-

tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-29-8 CAPLUS
- CN 9H-Purin-2-amine, 8-methyl-N-[4-(4-methyl-1-piperazinyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-30-1 CAPLUS
- CN 9H-Purin-2-amine, 8-ethyl-N-[4-(4-morpholinyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-31-2 CAPLUS
- CN 9H-Purin-2-amine, 8-ethyl-N-[4-(4-methyl-1-piperazinyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1054316-32-3 CAPLUS

CN 1,4-Benzenediamine, N4-[8-ethyl-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5clpyridin-5-yl)-9H-purin-2-yl]-2-fluoro-N1-(1-methyl-4-piperidinyl)- (CA
INDEX NAME)

RN 1054316-33-4 CAPLUS

CN 1, 4-Benzenediamine, 2-fluoro-N1-(1-methyl-4-piperidinyl)-N4-[8-methyl-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-36-7 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-morpholinylmethyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-37-8 CAPLUS
- CN 9H-Purin-2-amine, N-[4-[(dimethylamino)methyl]phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-38-9 CAPLUS
- CN 9H-Purin-2-amine, N-[3-[(dimethylamino)methyl]phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-39-0 CAPLUS
- CN 9H-Purin-2-amine, N-[3-(4-morpholinylmethyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-40-3 CAPLUS
- CN 9H-Purin-2-amine, N-[4-chloro-3-[(dimethylamino)methyl]phenyl]-6-(3, 4, 6, 7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-42-5 CAPLUS
- CN 9H-Purin-2-amine, N-[4-chloro-3-(4-morpholinylmethyl)phenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-45-8 CAPLUS
- CN 9H-Purin-2-amine, N-[4-(4-morpholiny1)pheny1]-6-(3,4,6,7-tetrahydro-2-methy1-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

- RN 1054316-46-9 CAPLUS
- CN 9H-Purin-2-amine, 6-(2-ethyl-3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N-[4-(4-morpholinyl)phenyl]- (CA INDEX NAME)

- RN 1054316-48-1 CAPLUS
- CN 1,4-Benzenediamine, 2-[(dimethylamino)methyl]-N1,N1-dimethyl-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

- RN 1054316-49-2 CAPLUS
- CN 9H-Purin-2-amine, N-[3-[(dimethylamino)methyl]-4-methoxyphenyl]-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1054316-50-5 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-morpholiny1)pheny1]-6-(3,4,6,7-tetrahydro-3-methy1-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1054316-51-6 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-methyl-1-piperazinyl)phenyl]-6-(3,4,6,7-tetrahydro-3-methyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1054316-52-7 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-morpholiny1)pheny1]-6-(1,4,6,7-tetrahydro-1-methy1-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1054316-53-8 CAPLUS

CN 9H-Purin-2-amine, N-[4-(4-methyl-1-piperazinyl)phenyl]-6-(1,4,6,7-tetrahydro-1-methyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1054316-60-7 CAPLUS

CN 1,4-Benzenediamine, 2-fluoro-N1-(1-methyl-4-piperidinyl)-N4-[6-(1,4,6,7-tetrahydro-1-methyl-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

RN 1054316-63-0 CAPLUS

CN 1,4-Benzenediamine, N1-(1-ethyl-4-piperidinyl)-N1-methyl-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]- (CA INDEX NAME)

- RN 1054316-66-3 CAPLUS
- CN 1,4-Benzenediamine, 2-fluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)-9H-purin-2-y1]-N1-[(3S)-1-(2,2,2-trifluoroethy1)-3-pyrrolidiny1]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1054316-67-4 CAPLUS
- CN 1,4-Benzenediamine, 2-fluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)-9H-purin-2-y1]-N1-[(3R)-1-(2,2,2-trifluoroethy1)-3-pyrrolidiny1)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1054316-68-5 CAPLUS
- CN 1,4-Benzenediamine, 2,6-difluoro-N4-[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-9H-purin-2-yl]-N1-((35)-1-(2,2,2-trifluoroethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- IT 1054316-76-5 1054316-77-6
 - RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 9H-purine derivs. for therapeutic use in treatment of proliferative diseases)

- RN 1054316-76-5 CAPLUS
- CN 9H-Purine, 2-chloro-8-methyl-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1054316-77-6 CAPLUS
- CN 9H-Purine, 2-chloro-8-ethyl-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- IT 1054316-80-1P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 9H-purine derivs. for therapeutic use in treatment of proliferative diseases)

- RN 1054316-80-1 CAPLUS
- ${\tt CN-9H-Purine,\ 2-chloro-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-1}$

(CA INDEX NAME)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1102730 CAPLUS

149:355702 DOCUMENT NUMBER:

TITLE: Preparation of indole and benzothiophene compounds as

modulators of the histamine H3 receptor

INVENTOR(S): Allison, Brett D.; Grice, Cheryl A.; Mcclure, Kelly

J.; Santillan, Alejandro, Jr. PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 109pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

OT GI

| PA | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | DATE | | | | | | |
|---------|------------------------|------|--------|-----|-------------|-----|------|-----------------|-----|------|------|------|----------|-----|-----|------------|-----|--|
| WO | 2008 | 1093 | 33 | | A1 20080912 | | | | | WO 2 | 008- | | 20080228 | | | | | |
| | W: | AE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | |
| | | CA, | CH, | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | |
| | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | |
| | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | |
| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | |
| | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | |
| | | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, | |
| | | IE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, | |
| | | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | |
| | | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | |
| | | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | |
| PRIORIT | PRIORITY APPLN. INFO.: | | | | | | | US 2007-892330P | | | | | | | | P 20070301 | | |
| OTHER S | MARPAT 149:355702 | | | | | | | | | | | | | | | | | |

AB The title compds. I [X = NRa and Y = CH2; or X = S and Y = CH2 or C(O); Ra = H, Me, SO2Me; R1 = H and R2 = (CH2)pyridyl (wherein pyridyl is unsubstituted or substituted with Me); or NR1R2 = (un)substituted

piperazino, homopiperazino, piperidino, etc.; NR3R4 = (un)substituted piperazino, homopiperazino, pyrrolidino, etc.; with the proviocal which are histamine H3 receptor modulators useful in the treatment of histamine H3 receptor-mediated diseases, were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 3-formylindole-6-carboxylate and morpholine, was given. Exemplified compds. I were tested for binding to the cloned human H3 receptors. For example, II showed Ki of 2 nM in this assay. Pharmaceutical compns. comprising the compound I alone or in combination with the other therapeutic agents are disclosed.

T 1055999-95-9F 1056000-02-2P RI: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(preparation of indole and benzothiophene compds. for treating histamine H3 receptor-mediated diseases)

1055999-95-5 CAPLUS

RN

CN Methanone, [3-(4-morpholinylmethyl)-1H-indol-6-yl](3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1056000-02-2 CAPLUS

CN Methanone, [3-(1-piperidinylmethyl)-1H-indol-6-yl](3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1012623 CAPLUS

DOCUMENT NUMBER: 149:307833

TITLE: Preparation of tetrahydro-pyrazolo-pyridine thioether

modulators of cathepsin S

INVENTOR(S): Ameriks, Michael K.; Arienti, Kristen L.; Edwards,

James P.; Grice, Cheryl A.; Jones, Todd K.; Lee-Dutra, Alice; Liu, Jing; Mani, Neelakandha S.; Neff, Danielle

K.; Wickboldt, Alvah T.; Wiener, John J. M.

PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 492pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | ENT I | | | | KIND DATE | | | | | | ICAT | | DATE | | | | |
|---------------|-------|-----|-----|-----|-------------|-----|------|------|-----|-----|-------|-----|----------|-----|-----|-----|-----|
| WO | 2008 | | | | A2 | | 2008 | 0821 | 1 | | 008-1 | | 20080215 | | | | |
| WO 2008100621 | | | | | A3 20081211 | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | CA, | CH, | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
| | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, |
| | | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
| | | IE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, |
| | | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, |
| | | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
| | | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA. | EP. | OA | | | |

PRIORITY APPLN. INFO.:

US 2007-889976P P 20070215 US 2008-31551 A 20080214

OTHER SOURCE(S): MARPAT 149:307833

ĠΙ

AB The title compds. I [NRIR2 = (un)substituted monocyclic heterocycloalky]; R3 = H, OH, alkyl, alkoxy; R4 = H, alkyl, C0(alkyl), etc.; R5 = halo or CP3; R6 = H or F; each R7 = H or both together form a carbonyl; R8 = H or alkyl; R9 = R10, COR11, SC2R1], etc. (wherein R10, R11 = (un)substituted alkyl, monocyclic cycloalkyl, bicyclic cycloalkyl, etc.); or NR8R9 = (un)substituted monocyclic heterocycloalkyl, useful as cathepsin S modulators, were prepared E.g., a multi-step synthesis of II, starting from 4-piperidone monohydrate hydrochloride, was given. Exemplified compds. I were tested against recombinant human cathepsin S. For example, II showed IC50 of 0.34 µM in this test. Compds. I may be used in pharmaceutical compns. and methods for the treatment of disease states, disorders, and conditions mediated by cathepsin S activity, such as psoriasis, pain, multiple sclerosis, atherosclerosis, and rebumatoid arthritis.

II

- II 1049099-34-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of tetrahydro-pyrazolo-pyridine thioether modulators of cathepsin 5) 1049099-34-4 CAPLUS
- RN 1049099-34-4 CAPLUS CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol,
 - 4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[3-[{2-(4-morpholinyl)ethyl}thio]-4-(trifluoromethyl)phenyl]- α -[{3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pvridin-5-vl)methyl}- (CA INDEX NNB)

L3 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:668227 CAPLUS

DOCUMENT NUMBER: 149:200868

TITLE: Synthesis and structure based optimization of novel

Akt inhibitors

AUTHOR(S): Lippa, Blaise; Pan, Gonghua; Corbett, Matthew; Li,

Chao; Kauffman, Goss S.; Pandit, Jayvardhan; Robinson, Shaughnessy; Wei, Liuging; Kozina, Ekaterina; Marr,

Eric S.; Borzillo, Garv; Knauth, Elisabeth;

Barbacci-Tobin, Elsa G.; Vincent, Patrick; Troutman,

Merin; Baker, Deborah; Rajamohan, Francis; Kakar,

Shefali; Clark, Tracey; Morris, Joel

Sherall; Clark, Tracey; Morris, Joel
CORPORATE SOURCE: PGRD Groton, Pfizer, Inc., Groton, CT, 06340, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008),

Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3359-3363

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:200868

H N CN

AB Based on a high throughput screening hit, pyrrolopyrimidine inhibitors of the Akt kinase are explored. X-ray co-crystal structures of two lead series results in the understanding of key binding interactions, the design of new lead series, and enhanced potency. The syntheses of these series and their biol. activities are described. Spiroindoline I is found to have an Aktl kinase IC50 of 2.4 ± 0.6 nM, Akt cell potency of 50 ± 19 nM, and provides 68% inhibition of tumor growth in a mouse xenograft model (50 mg/kg, qd, po).

IT 1041703-81-4P 1041703-83-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrrolopyrimidine derivs. via substitution of chloropyrrolopyrimidine with amines as key step, and their Aktl kinase inhibitor)

N 1041703-81-4 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-(7H-pyrrolo[2,3-d]pyrimidin-4-y1)- (CA INDEX NAME)

RN 1041703-83-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 5-(5-chloro-7H-pyrrolo[2,3-d]pyrimidin-4-yl)-4,5,6,7-tetrahydro- (CA INDEX NAME)

REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 5 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:668179 CAPLUS

DOCUMENT NUMBER: 149:191026

TITLE: 3,5-Dihydro-imidazo[4,5-d]pyridazin-4-ones: A class of

potent DPP-4 inhibitors

AUTHOR(S): Eckhardt, Matthias; Hauel, Norbert; Himmelsbach,

Frank; Langkopf, Elke; Nar, Herbert; Mark, Michael;
Tadayyon, Moh; Thomas, Leo; Guth, Brlan; Lotz, Ralf
CORPORATE SOURCE: Department of Chemical Research, Boehringer Ingelheim

Pharma GmbH & Co. KG, Biberach, 88400, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3158-3162

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Elsevier

Journal

LANGUAGE: English

AB Systematic variations of the xanthine scaffold in close analogs of development compound BI 1356 led to the class of 3,5-dihydro-inidazo[4,5-d]pyridazin-4-ones which provided, after

substituent screening, a series of highly potent DPP-4 inhibitors.

IT 1042165-93-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(3,5-dihydro-imidazo[4,5-d]pyridazin-4-ones are potent DPP-4 inhibitors)

RN 1042165-93-4 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihvdro-5-[(3-methyl-1-isoguinoliny1)methyl]- (CA INDEX NAME)

IT 866933-32-6P 1042166-07-3P 1042166-09-5P 1042166-10-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(3,5-dihydro-imidazo[4,5-d]pyridazin-4-ones are potent DPP-4 inhibitors)

RN 866933-32-6 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-bromo-3-(2-butyn-1-y1)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

- RN 1042166-07-3 CAPLUS
- CN Carbamic acid, N-[1-[3-(2-butyn-1-y1)-4,5-dihydro-7-methy1-5-[(3-methy1-1-isoquinoliny1)methy1]-4-oxo-3H-imidazo(4,5-c]pyridin-2-y1]-3-piperidiny1]-,1,1-dimethy1ethy1 ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 \quad \text{C} = \text{C} - \text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{C} - \text{OB} \\ \text{U} - \text{U} \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text$$

- RN 1042166-09-5 CAPLUS
- CN Carbamic acid, N-[1-[3-(2-butyn-1-y1)-4,5-dihydro-5-[(3-methy1-1-isoquinoliny1)methy1]-4-oxo-3H-imidazo[4,5-c]pyridin-2-y1]-3-piperidiny1]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1042166-10-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-bromo-3-(2-butyn-1-y1)-3,5-dihydro-7-methyl-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:583385 CAPLUS

DOCUMENT NUMBER: 148:562180

TITLE: Preparation of peptide and peptidomimetic Michael

systems as transglutaminase inhibitors

INVENTOR(S):

Oertel, Kai PATENT ASSIGNEE(S): Zedira G.m.b.H., Germany PCT Int. Appl., 183pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent German

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | NO. | | | KIN | D | DATE | | | APPI | LICAT | ION : | NO. | | D | ATE | |
|-----------------|-------|------|-----|-----|-----|------|-------|-----|------|-------|-------|------|------|-----|------|-----|
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| WO 200 | 80554 | 88 | | A1 | | 2008 | 0515 | | WO 2 | 2007- | DE20 | 14 | | 2 | 0071 | 108 |
| W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | ΒZ, | CA, |
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| | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, |
| | | | | | | | | | | LT, | | | | | | |
| | | | | | | | | | | NO, | | | | | | |
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| | | | | | | | | | | ZM, | | | | | | |
| RW | | | | | | | | | | ES, | | | | | | |
| | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | | | | | | | | | ML, | | | | | | |
| | GH, | GM, | KE, | LS, | MW, | MZ, | NΑ, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AM, | ΑZ, |
| | | | | | | ТJ, | | | | | | | | | | |
| DE 102006052755 | | | | A1 | | 2008 | 0515 | | DE 2 | 2006- | 1020 | 0605 | 2755 | 2 | 0061 | 108 |
| PRIORITY AP | PLN. | INFO | .: | | | | | | | 2006- | | | | | 0061 | |
| | | | | | | | | | US 2 | 2006- | 8742 | 46P | 1 | P 2 | 0061 | 212 |
| OTHER SOURCE | E(S): | | | MAR | PAT | 148: | 56218 | 80 | | | | | | | | |

OTHER AB

XX1NCHMCOY, XX1NCHOECHMCOY, XX1NCHMCONX2CHO1COY M = CR1R2CR3R4(CO)mCZ3:CZ1Z2; [E = CH2, CF2, CH2CH2, CH2CF2, CH:CH, COCH2, CO2, P(O)(OH)O, etc.; m = 0, 1; Z1, Z2, Z3 = H, alkylcarbonyl, heteroarylcarbonyl, cyano, CO2H, CONH2, SO2NH2, SO3H, etc.; Z1Z2 = CO2COCH2, CO2CH2CH2; Z2Z3 = CO2CH2, COCH2CH2, CONHCO, etc.; Y = OH, amino, alkoxy, aryloxy, alkyl, haloalkyl, heteroaryl, aryl, peptide residue, etc.; Q, Q1 = amino acid side chain; QX1 = propylene; Q1X2 = propylene; X = peptide residue; X1, X2 = H, alkvl; R1-R4 = H, OH, OMe, OEt, OPr, OPh, SCN, N3, SH, CHO, Ac, CO2H, COCN, CO2Me, SO2Me, SO2Et, amino, NHCONHEt, CH2CF3, CF3, CF2C1, CH2CH2OH, etc.], were prepared Thus, title compound Nα-benzyloxycarbonyl-[[(E)-(L)-6-aminohept-2-enedicarboxylic acid]-1-ethanoyl]-L-valinyl-L-prolinyl-L-leucine Me ester (solution phase preparation given) inhibited transglutaminase TG2 with IC50 = 30 nM.

1026104-96-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide and peptidomimetic Michael systems as transglutaminase inhibitors)

RN 1026104-96-0 CAPLUS

L-Tyrosine, (6S)-5-[(4E)-1-[[(3S)-1-[3-(acetylamino)-1-oxopropy1]-3piperidinyl]carbonyl]-6-(1-methylethoxy)-6-oxo-4-hexen-1-yl]-4,5,6,7tetrahydro-3H-imidazo[4,5-c]pyridine-6-carbonyl-3-[(aminocarbonyl)amino]-Lalanyl-L-leucyl-L-prolyl-O-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

PAGE 2-A

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:551551 CAPLUS

DOCUMENT NUMBER: 148:538302

TITLE: 2-Aminothiazole-4-carboxvlic amides as protein kinase inhibitors and their preparation, pharmaceutical

compositions and use in the treatment of diseases Shipps, Gerald W., Jr.; Cheng, Cliff C.; Huang, INVENTOR(S): Xiaohua; Fischmann, Thierry O.; Duca, Jose S.; Richards, Matthew; Zeng, Hongbo; Sun, Binvuan; Reddy,

Panduranga A.; Zhao, Lianyun; Tang, Shuyi; Wong, Tzu T.; Tadikonda, Praveen K.; Torres, Luis E.; Siddiqui, M. Arshad; Dwyer, Michael P.; Keertikar, Kartik M.;

US 2006-855421P P 20061031

Guzi, Timothy J.

PATENT ASSIGNEE(S): Schering Corporation, USA

PCT Int. Appl., 274pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | ENT : | NO. | | | KIN | D | DATE | | - 2 | APPL | ICAT | DATE | | | | | |
|-----|------------|-------|--------|-----|-----|-----|----------|-----|-----|------|------|---------|-----|------|-----|------|-------|
| | | | | | | - | | | | | | | | | | | |
| WO | 2008054749 | | | | A1 | | 20080508 | | 1 | WO 2 | 007- | | 2 | 0071 | 029 | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | FΙ, |
| | | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, |
| | | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | ME, |
| | | MG, | MK, | MN, | MW, | MX, | MY, | ΜZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, |
| | | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | ΙT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, |
| | | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, |
| | | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | | | | | | | |
| | | T 3.T | CHILAT | | | | | | | 70 0 | 000 | O E E A | 215 | | 2 | 0061 | 0.2.1 |

PRIORITY APPLN. INFO.: MARPAT 148:538302

OTHER SOURCE(S): GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to anilinopiperazine derivs. of formula I, compns. AB comprising the anilinopiperazine derivs., and methods for using the anilinopiperazine derivs. for treating or preventing a proliferative disorder, an anti-proliferative disorder, inflammation, arthritis, a central nervous system disorder, a cardiovascular disease, alopecia, a neuronal disease, an ischemic injury, a viral disease, a fungal infection, or a disorder related to the activity of a protein kinase. Compds. of formula I wherein dashed bond is single and double bond; R1 is a (un) substituted nitrogen-containing heteroaryl, (un) substituted nitrogen-containing heterocyclyl and (un)substituted nitrogen-containing heterocyclenyl wherein R1 is joined to the thiazole moiety via nitrogen; A is (CHR11)0-2; B is (CR10R10a)0-1; R2, R3, R3a, R10, R101, and R11 are independently H, (halo)alkyl, hydroxyalkyl, (alkylene)0-1-CONH2 and derivs., etc.; Ar is (un) substituted (hetero) arylene joined via two adjacent carbons; W is NH2 and derivs., S, O, (un)substituted cycloalkyl, and (un) substituted heterocyclyl; Y is H, halo, alkyl and CN; Z is (un) substituted methylene, and N; and their pharmaceutically acceptable

salts, solvated ester, prodrugs and stereoisomers thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their kinase inhibitory activity (some data given).

1023295-40-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USAS)

(drug candidate; preparation of piperazinylphenyl aminothiazolecarboxamides derivs. as protein kinase inhibitors useful in treatment and prevention of protein kinase-related diseases)

RN 1023295-40-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-(1-piperaziny1)pheny1]-2-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

IT 1026670-54-1P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prophetic intermediate; preparation of piperazinylphenyl aminothiazolecarboxamides derivs. as protein kinase inhibitors useful in treatment and prevention of protein kinase-related diseases)

RN 1026670-54-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[[2-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-4-thiazo[4][carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:207066 CAPLUS

DOCUMENT NUMBER: 148:449346

TITLE: A novel series of parenteral cephalosporins exhibiting potent activities against both Pseudomonas aeruginosa

and other Gram-negative pathogens. Part 2: Synthesis

and structure-activity relationships

AUTHOR(S): Yamawaki, Kenji; Nomura, Takashi; Yasukata, Tatsuro;
Tanimoto, Norihiko; Uotani, Koichi; Miwa, Hideaki;
Yamano, Yoshinori; Takeda, Kei; Nishitani, Yasuhiro
CORPORATE SOURCE: Discovery Research Laboratories. Shionogi and Co.,

Ltd., Fukushima-ku, Osaka, 553-0002, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(4), 1632-1647

1632-1647

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:449346

AB A novel series of 7β -[2-(2-amino-5-chloro-thiazol-4-yl)-2(Z)-((S)-1carboxyethoxyimino)acetamido|cephalosporins bearing various pyridinium groups at the C-3' position were synthesized and their in vitro antibacterial activities against Gram-neg, pathogens including Pseudomonas aeruginosa and several Gram-pos, pathogens were evaluated. Among the cephalosporins prepared, we found that a cephalosporin bearing the 2-amino-1-(3-methylamino-propyl)-1H-imidazo[4,5-b]pyridinium group at the C-3' position (I) showed potent and well-balanced antibacterial activities against P. aeruginosa and other Gram-neg, pathogens including the strains which produce class C β-lactamase and extended spectrum β-lactamase (ESBL). Compound I also showed efficacious in vivo activity and high stability against AmpC β-lactamase. These findings indicate that 2-aminoimidazopyridinium having an aminoalkyl group at the 1-position as a C-3' side chain is suitable for cephalosporins bearing an aminochlorothiazolyl moiety and a carboxyethoxyimino moiety on the C-7 side chain.

IT 604000-52-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of pyridinium cephalosporins)

RN 604000-52-4 CAPLUS CN 1H-Imidazo[4,5-c]pyridinium, 2-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-

chloro-4-thiazoly1)-2-[[(1S)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-1-[2-(methylamino)ethy1]-, inner salt (CA INDEX NAME) Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

NHMe

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 9 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:43947 CAPLUS

DOCUMENT NUMBER: 148:128298

TITLE: Novel pyridazine-containing imidazopyridazine compound

and uses thereof

INVENTOR(S): Bondy, Steven S.; Dahl, Terrence C.; Oare, David A.;

Oliyai, Reza; Tse, Winston C.; Zia, Vahid

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA; K.U. Leuven Research &

Development; Puerstinger, Gerhard

SOURCE: PCT Int. Appl., 53pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | D | DATE | | | | ICAT | | | | | | |
|------------------------|------------|-----|-----|-----|----------|-----|-------------------------|-----|-----|-----------------|------|-----|------------|----------|-----|------|-----|
| WO | 2008005519 | | | | A2 A3 | | 20080110 20080424 | | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, |
| | | | | | | | CZ, | | | | | | | | | | |
| | | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, |
| | | KM, | KN, | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | ME, |
| | | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, |
| | | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG, | BW, |
| | | GH, | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, |
| | | BY, | KG, | ΚZ, | MD, | | ΤJ, | | | | | | | | | | |
| US 20080199427 | | | | | A1 | | 20080821 US 2007-825598 | | | | | | | 20070706 | | | |
| PRIORITY APPLN. INFO.: | | | | | | | US 2006-819289P | | | | | | P 20060707 | | | | |
| | | | | | | | US 2006-832403P | | | | | | | 20060721 | | | |
| | | | | | | | | | | US 2006-832769P | | | | | P 2 | 0060 | 724 |

OTHER SOURCE(S):

MARPAT 148:128298 5-[[6-[2,4-Bis(trifluoromethyl)phenyl]pyridazin-3-yl]methyl]-2-(2fluorophenyl)-5H-imidazo[4,5-c]pyridine (I) and its salts and solvates are provided for the treatment or prophylaxis of hepatitis C virus infections. Methods of making and formulating this compound are provided. The synthesis of I is given. A formulation containing I, oleic acid, BHT, BHA, and EtOH was prepared

ΙT 1000787-75-6P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyridazine-containing imidazopyridazine compound and uses thereof)

RN 1000787-75-6 CAPLUS

5H-Imidazo[4,5-c]pyridine, 5-[[6-[2,4-bis(trifluoromethyl)phenyl]-3pyridazinyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- IT 1000787-76-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (pyridazine-containing imidazopyridazine compound and uses thereof)
- (pyridazine-containing imidazopyridazine compound and uses thereof RN 1000787-76-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[(6-chloro-3-pyridazinyl)methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

L3 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:43697 CAPLUS

DOCUMENT NUMBER: 148:121730

TITLE: Preparation of pyrimidines and related compounds for

the treatment of cell proliferative diseases

Engelhardt, Harald; Bader, Gerd; Boehmelt, Guido; Brueckner, Ralph; Gerstberger, Thomas; Impagnatiello,

Maria; Kuhn, Daniel; Schaaf, Otmar; Stadtmueller,

Heinz; Waizenegger, Irene; Zoephel, Andreas

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 67pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR(S):

| | PATENT NO. | | | | | | DATE | | | APPLICATION NO. | | | | | | DATE | | |
|--------|---------------------|-----|-----|-----|----------------------------|-----|------|-----------------|-----|-----------------|------|------|-----|-----|----------|------|-----|--|
| WO | | | | | A2 20080110 A3 20080228 | | | WO 2007-EP56853 | | | | | | | 20070705 | | | |
| | W: | | | | | | ΑU, | | | | | | | | | | | |
| | | | | | | | CZ, | | | | | | | | | | | |
| | | | | | | | GT, | | | | | | | | | | | |
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| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | |
| | | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | |
| | | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA, | EP, | OA | | | | | | |
| IORITY | ORITY APPLN. INFO.: | | | | | | | | 1 | EP 2 | 006- | 1167 | 48 | | A 2 | 0060 | 706 | |

PR OTHER SOURCE(S): MARPAT 148:121730

GΙ

 $HN-R^2$ Ι

- AB Title compds. I [X = CH or N; Rl = heterocycloalkyl (optionally substituted with alkyl, cycloalkyl, aryl, etc.); R2 = aryl, heterocycloalkyl or heteroaryl; R3 = halo, -CN, alkyl, etc.] or tautomers, racemates, enantiomers, diastereomers, or mixts. thereof, or pharmacol. acceptable acid salts thereof were prepared Thus, a multi-step synthesis of compound II, starting from 1-(benzyloxycarbonyl)piperazine, was given. Compds. I herein were tested for PDKl kinase inhibition and antiproliferative activity. Pharmaceutical composition comprising compds. I is disclosed.
- IT 1001000-52-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrimidines and related compds. for treatment of diseases characterized by excessive or abnormal cell proliferation)

- RN 1001000-52-7 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-,
 methyl ester (CA INDEX NAME)
- MeO-C NHe2

1001000-39-0P 1001000-40-3P 1001000-41-4P 1001000-42-5P 1001000-43-6P 1001000-44-7P 1001000-45-8P 1001000-46-9P 1001000-47-0P 1001000-48-1P 1001000-49-2P 1001000-50-5P 1001000-51-6P 1001000-53-8P 1001000-54-9P 1001000-55-0P 1001000-57-2P 1001000-59-4P 1001000-61-8P 1001000-63-0P 1001000-65-2P 1001000-67-4P 1001000-69-6P 1001000-71-0P 1001000-73-2P 1001000-74-3P 1001000-75-4P 1001000-76-5P 1001000-78-7P 1001000-80-1P 1001000-82-3P 1001000-83-4P 1001000-84-5P 1001000-85-6P 1001000-86-7P 1001000-88-9P 1001000-90-3P 1001000-92-5P 1001000-94-7P 1001000-96-9P 1001000-98-1P 1001001-00-8P 1001001-02-0P 1001001-04-2P 1001001-05-3P 1001001-07-5P 1001001-09-7P 1001001-11-1P 1001001-13-3P 1001001-15-5P 1001001-17-7P 1001001-19-9P 1001001-21-3P 1001001-23-5P 1001001-25-7P 1001001-27-9P 1001001-29-1P 1001001-31-5P 1001001-33-7P 1001001-35-9P 1001001-38-2P 1001001-40-6P 1001001-42-8P 1001001-43-9P 1001001-45-1P 1001001-47-3P 1001001-49-5P 1001001-51-9P 1001001-53-1P 1001001-55-3P 1001001-57-5P 1001001-59-7P 1001001-61-1P 1001001-63-3P 1001001-65-5P 1001001-67-7P 1001001-69-9P 1001001-71-3P 1001001-73-5P 1001001-75-7P 1001001-78-0P 1001001-80-4P 1001001-82-6P 1001001-84-8P

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1001001-86-0P 1001001-88-2P 1001001-90-6P
1001001-92-8P 1001001-94-0P 1001001-96-2P
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1001002-03-4P 1001002-04-5P 1001002-05-6P
1001002-06-7P 1001002-07-8P 1001002-08-9P
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1001002-99-8P 1001003-00-4P 1001003-01-5P
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1001003-05-9P 1001003-06-0P 1001003-07-1P
1001003-08-2P 1001003-09-3P 1001003-10-6P
1001003-11-7P 1001003-12-8P 1001003-13-9P
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1001003-17-3P 1001003-18-4P 1001003-19-5P
1001003-20-8P 1001003-21-9P 1001003-22-0P
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1001003-26-4P 1001003-27-5P 1001003-28-6P
1001003-29-7P 1001003-30-0P 1001003-31-1P
1001003-32-2P 1001003-33-3P 1001003-34-4P
1001003-35-5P 1001003-36-6P 1001003-37-7P
1001003-38-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (URAS)

(preparation of pyrimidines and related compds. for treatment of diseases characterized by excessive or abnormal cell proliferation) 1001000-39-0 CAPUIS

2-Pyrimidinamine, 5-methoxy-N-[4-(1-piperazinyl)phenyl]-4-(3,4,6,7-

RN

CN

- RN 1001000-40-3 CAPLUS
- CN Methanone, [4-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-1-piperazinyl]-4-morpholinyl-(CA INDEX NAME)

- RN 1001000-41-4 CAPLUS
- CN 4-Piperidinol, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001000-42-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-(4-pyridinyl)-1-piperazinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001000-43-6 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001000-44-7 CAPLUS
- CN Ethanone, 2-[4-[4-[[5-(dimethylamino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-1-piperazinyl]-1-(4morpholinyl)- (CA INDEX NAME)

RN 1001000-45-8 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(cyclohexylmethylamino)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-46-9 CAPLUS

CN 1-Piperazineacetamide, 4-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-N-(1-methylethyl)-(CA INDEX NAME)

RN 1001000-47-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(5-methyl-2,5-diazabicyclo[2.2:])hept-2-yl.)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-48-1 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[4-(ethylsulfonyl)-1-piperazinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001000-49-2 CAPLUS
- CN Ethanone, 1-[4-[4-[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-1-piperazinyl]- (CA INDEX NAME)

- RN 1001000-50-5 CAPLUS
- CN Methanone, (4-amino-3,5-dichlorophenyl)[1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-inidazo[4,5-c]pyridin-5-yl)-2-pyrinidinyl]_dmino[phenyl]-4-piperidinyl]_CA INDEX NAME)

- RN 1001000-51-6 CAPLUS
- CN Methanone, [1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]-4-piperidinyl](4-fluorophenyl)-(CA INDEX NAME)

- RN 1001000-53-8 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(2-oxa-5-azabicyclo[2.2.1]hept-5-yl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-54-9 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(2R)-2-(methoxymethyl)-1-pyrrollidinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1001000-55-0 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(25)-2-(methoxymethyl)-1pyrrolidinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-yl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1001000-57-2 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[4-[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1001000-59-4 CAPLUS
- CN 2-Pyrrolidinemethanol, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1001000-61-8 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-yl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1001000-63-0 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(4,4-difluoro-1-piperidinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-65-2 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[(1-phenyl-4-piperidinyl)amino|phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-67-4 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(4,4-difluorocyclohexyl)amino]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN

CN 1-Piperazinecarboxylic acid, 4-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1001000-71-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-73-2 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[methyl(phenylmethyl)amino]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-vl)- (CA INDEX NAME)

RN 1001000-74-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[methyl(2-phenylethyl)amino]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-75-4 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[3-chloro-4-(1-piperaziny1)pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX

RN 1001000-76-5 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[3-fluoro-4-(1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-78-7 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(methylpropylamino)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001000-80-1 CAPLUS

CN 2,5-Eyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-(1-methyl-4-piperidinyl)-1-piperazinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

RN 1001000-82-3 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(1H-imidazol-1-yl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001000-83-4 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-(hexahydro-1H-azepin-1-y1)pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

- RN 1001000-84-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-fluoro-4-[4-[2-(4-morpholiny1)ethy1]-1-piperaziny1]pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]oyridin-5-y1)- (CA INDEX NAME)

- RN 1001000-85-6 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-fluoro-4-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001000-86-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[methyl(1-methyl-4-piperidinyl)amino]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001000-88-9 CAPLUS
- CN 3-Piperidinol, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001000-90-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(4-[1,4'-bipiperidin]-1'-ylphenyl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001000-92-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-[4-(3,5-dichloro-4-pyridiny1)-1-piperaziny1]pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)- (CA INDEX NAME)

- RN 1001000-94-7 CAPLUS
- CN 2-Piperazinone, 4-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001000-96-9 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-(3,3-difluoro-1-piperidiny1)pheny1]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001000-98-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-(4-morpholinyl)-1-piperidinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001001-00-8 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-[(2R,68)-2,6-dimethyl-4-morpholinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 1001001-02-0 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[3-(4-ethyl-1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-04-2 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(7-methyl-2,7-diazaspiro[4.4]non-2-yl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-05-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[3-methyl-4-(4-methyl-1-piperaxinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001001-07-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(3-pyridinyloxy)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-09-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-11-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-(methylimino)-1(4H)-pyridinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001001-13-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[4-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]- (CA INDEX NAME)

RN 1001001-15-5 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1-oxido-4-thiomorpholinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-17-7 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(1,1-dioxido-4-thiomorpholiny1)pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1001001-19-9 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-21-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-piperidinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-23-5 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[4-[(2R,65)-2,6-dimethyl-4-morpholinyl]-1-piperidinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl-j-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 1001001-25-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-yl)-N2-[4-[4-(tetrahydro-2H-pyran-4-yl)-1-piperazinyl)phenyl]-(OA INDEX NAME)

- RN 1001001-27-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[14-[15-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]ethylamino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1001001-29-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-[ethyl(1-methyl-4-piperidinyl)amino]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-31-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001001-33-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-[4-(cyclopropylmethyl)-1-piperazinyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-35-9 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[methyl(tetrahydro-2H-pyran-4-yl)amino]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001001-38-2 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-[(dimethylamino)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-40-6 CAPLUS
- CN 2(1H)-Pyridinone, 3-[4-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-1-piperazinyl]-1-methyl- (CA INDEX NAME)

- RN 1001001-42-8 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-yl)-N2-[2-(2-thienyl)-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 1001001-43-9 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1H-pyrazol-1-yl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN

RN 1001001-47-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(2-methyl-5-benzothiazolyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-49-5 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]-3-fluoro-N-propyl- (CA INDEX NAME)

RN 1001001-51-9 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[2-(trifluoromethyl)-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 1001001-53-1 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(2-methyl-5-benzoxazolyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-55-3 CAPLUS
- CN 2(3H)-Benzoxazolone, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1001001-57-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(2-methyl-6-benzoxazolyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-59-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(1-methyl-1H-indol-5-yl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-61-1 CAPLUS
- CN Methanesulfonamide, N-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001001-63-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-(dimethylamino)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001001-65-5 CAPLUS
- CN Ethanone, 1-[6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)-2-pyrimidinyl]amino]-2,3-dihydro-1H-indol-1-y1]- (CA INDEX NAME)

- RN 1001001-67-7 CAPLUS
- CN Acetamide, N-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001001-69-9 CAPLUS
- CN 2H-Indol-2-one, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)

- RN 1001001-71-3 CAPLUS
- CN 1H-Isoindol-1-one, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-2,3-dihydro-2-propyl-(CA INDEX NAME)

- RN 1001001-73-5 CAPLUS
- CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-

5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidiny1]amino]-2,2-dimethy1- (CA INDEX NAME)

RN 1001001-75-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1001001-78-0 CAPLUS

CN 2,5-Pyrimidinediamine, N2-(2-ethyl-1H-benzimidazol-6-yl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-80-4 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(dimethylamino)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-82-6 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(ethylmethylamino)methyl]phenyl]-N5,N5dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-84-8 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(1H-imidazol-1-ylmethyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-86-0 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(2,5-dihydro-1H-pyrrol-1-yl)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-88-2 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(butylmethylamino)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-90-6 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(3,5-dimethyl-1-piperidinyl)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-92-8 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(4-methoxy-1-piperidiny1)methy1]pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1001001-94-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-yl)-N2-[4-[[4-(trifluoromethyl)-1-piperidinyl]methyl]phenyl](CA INDEX NAME)

RN 1001001-96-2 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(cyclopentylmethylamino)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001001-98-4 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-[(cyclohexylmethylamino)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-00-1 CAPLUS
- CN Acetamide, N-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001002-02-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1-piperidinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-03-4 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(phenylamino)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-04-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-[2-(1-piperidinyl)ethyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-05-6 CAPLUS
- CN Ethanone, 2-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-1-(1-piperidinyl)- (CA INDEX NAME)

- RN 1001002-06-7 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(1-methyl-1H-indazol-5-yl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-07-8 CAPLUS
- CN 2H-Benzimidazol-2-one, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)

- RN 1001002-08-9 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[2-(2-furanyl)-1H-benzimidazol-6-yl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-09-0 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-inidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 1001002-10-3 CAPLUS
- CN 1H-Benzimidazole-2,6-diamine, N6-[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]- (CA INDEX NAME)

- RN 1001002-11-4 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(4-amino-3-bromo-5-chlorophenyl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-12-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-13-6 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[4-(4-ethyl-1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-14-7 CAPLUS
- CN 1-Pyrrolidinecarboxamide, N-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001002-15-8 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(4-fluoropheny1)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-16-9 CAPLUS
- CN 2H-Indol-2-one, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-yl)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)

- RN 1001002-17-0 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-18-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-19-2 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-(1-methyl-1H-benzimidazol-5-yl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-20-5 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(1,2-dimethyl-1H-benzimidazol-5-yl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-21-6 CAPLUS
- CN 2H-Benzimidazol-2-one, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-1,3-dihydro-1-methyl-(CA INDEX NAME)

RN 1001002-22-7 CAPLUS

CN 1H-Benzimidazole-1-ethanol, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1001002-23-8 CAPLUS

CN 1H-Benzimidazole-1-ethanol, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-2-methyl- (CA INDEX NAME)

RN 1001002-24-9 CAPLUS

CN 1H-Benzimidazole-1-ethanol, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-2-ethyl- (CA INDEX NAME)

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RN 1001002-25-0 CAPLUS

CN 1H-Benzimidazole-2,5-diamine, N5-[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]-1-methyl-N2-phenyl- (CA INDEX NAME)

RN 1001002-26-1 CAPLUS

CN 2H-Benzimidazol-2-one, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-1,3-dihydro-1-(2-hydroxyethyl)- (CA INDEX NAME)

RN 1001002-27-2 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-2-fluoro- (CA INDEX NAME)

RN 1001002-28-3 CAPLUS

CN Benzamide, N-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

RN 1001002-29-4 CAPLUS

CN 2,5-Pyrimidinediamine, N2-(2-ethyl-1-methyl-1H-benzimidazol-5-yl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-30-7 CAPLUS

CN 1(2H)-Naphthalenone, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-3,4-dihydro- (CA INDEX NAME)

RN 1001002-31-8 CAPLUS

CN 2,5-Pyrimidinediamine, N2-(2,3-dimethyl-6-quinoxalinyl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-32-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-1,2-dihydro-2-oxo-, methyl ester (CA INDEX NAME)

RN 1001002-33-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-methyl-1-piperazinyl)phenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

- RN 1001002-34-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-morpholinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-35-2 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-3-methyl-5H-imidazo[4,5-c)pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-36-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]-4-(1,4,6,7-tetrahydro-1-methyl-5H-imidazo[4,5-c)pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-41-0 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(2,3-dihydro-2-methyl-1H-isoindol-5-yl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-42-1 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[4-[(trifluoromethyl)sulfonyl]phenyl]- (CA INDEX NAME)

- RN 1001002-43-2 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(4-methoxyphenyl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-44-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1001002-45-4 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(methylsulfonyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-46-5 CAPLUS
- CN 1(3H)-Isobenzofuranone, 6-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1001002-47-6 CAPLUS

CN 1(3H)-Isobenzofuranone, 5-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1001002-48-7 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[3-[(dimethylamino)methyl]phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-49-8 CAPLUS

CN Methanesulfonamide, N-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

RN 1001002-50-1 CAPLUS

CN 2-Piperidinone, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001002-51-2 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[3-(methylsulfonyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-52-3 CAPLUS
- CN 2,5-Pyrimidinediamine, N2-(3-methoxyphenyl)-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001002-53-4 CAPLUS
- CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1001002-54-5 CAPLUS
- CN Ethanone, 1-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001002-55-6 CAPLUS
- CN Ethanone, 1-[4-[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

RN 1001002-56-7 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-4-methyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-57-8 CAPLUS

CN 2,5-Pyrimidinediamine, 4-(4-ethyl-3,4,6,7-tetrahydro-5H-imidazo[4,5c)pyridin-5-yl)-N5,N5-dimethyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]- (CA
INDEX NAME)

RN 1001002-58-9 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[3-chloro-4-(4-methyl-1-piperazinyl)phenyl]-N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-59-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-methyl-l-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-7-methyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-60-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5-ethyl-N5-methyl-N2-[4-(4-methyl-1-piperazinyl)phenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

RN 1001002-61-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 2-ethyl-N-[5-methoxy-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 1001002-63-6 CAPLUS

CN 2-Pyrimidinamine, N-[4-(4-ethyl-1-piperazinyl)phenyl]-5-methoxy-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-64-7 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-71-6 CAPLUS

CN 2-Pyrimidinamine, 4-(4-ethyl-3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)

RN 1001002-72-7 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3, 4, 6, 7-tetrahydro-4-methyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-73-8 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-[(6S)-3,4,6,7-tetrahydro-6-methyl-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1001002-74-9 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]-4 (3, 4, 6, 7-tetrahydro-7-methyl-5H-imidazo[4, 5-c]pyridin-5-yl)- (CA INDEX
NAME)

RN 1001002-75-0 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-[(6R)-3,4,6,7-tetrahydro-6-methyl-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1001002-76-1 CAPLUS

CN 2-Pyrimidinamine, 5-cyclopropyl-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-78-3 CAPLUS

CN 2-Pyrimidinamine, 5-(1-methylethyl)-N-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN

CN 2,5-Pyrimidinediamine, N5-methyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]-N5-(phenylmethyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-80-7 CAPLUS

CN 2,5-Pyrimidinediamine, N5-methyl-N2-[4-(4-methyl-1-piperazinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-81-8 CAPLUS

CN Ethanone, 1-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-pyrimidinyl]- (CA INDEX NAME)

RN 1001002-82-9 CAPLUS

CN 1-Propanone, 1-[2-[[4-(4-morpholiny1)pheny1]amino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-5-pyrimidiny1]- (CA INDEX NAME)

RN 1001002-83-0 CAPLUS

CN 2-Pyrimidinamine, 5-ethyl-N-[4-(1-piperidinylmethyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001002-84-1 CAPLUS

CN Ethanone, 1-[2-[[4-(1-piperidinylmethyl)phenyl]amino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-pyrimidinyl]- (CA INDEX NAME)

RN 1001002-85-2 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)-2-pyrimidinyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 1001002-87-4 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pvridin-5-vl)-2-pvrimidinvl]amino]-N-propvl- (CA INDEX NAME)

RN 1001002-88-5 CAPLUS

CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 1001002-90-9 CAPLUS
- CN Benzamide, N-[2-(dimethylamino)-2-oxoethyl]-4-[[5-(dimethylamino)-4-(3, 4, 6, 7-tetrahydro-5H-imidazo[4, 5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 1001002-92-1 CAPLUS
- CN Benzamide, N-(cyclopropylmethyl)-4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1001002-93-2 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]-N-(2-fluoroethyl)- (CA INDEX NAME)

- RN 1001002-94-3 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (CA INDEX NAME)

- RN 1001002-95-4 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 1001002-96-5 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 1001002-97-6 CAPLUS
- CN Benzamide, N-buty1-4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1001002-98-7 CAPLUS
- CN Benzamide, N-[3-(dimethylamino)phenyl]-4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1001002-99-8 CAPLUS
- CN Benzamide, N-1H-benzimidazol-6-yl-4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1001003-00-4 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-(1-methyl-1H-benzimidazol-5-yl)-(CA INDEX NAME)

- RN 1001003-01-5 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c)pyridin-5-y1)-2-pyrimidinyl]amino]-N-[4-(4-methyl-1-piperazinyl)phenyl](CA INDEX NAME)

- RN 1001003-02-6 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

RN 1001003-03-7 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 1001003-04-8 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-[trans-4-(4-morpholinyl)cyclohexyl]-(CA INDEX NAME)

Relative stereochemistry.

RN 1001003-05-9 CAPLUS

CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-[trans-3-(4-morpholinyl)cyclobutyl]-(CA INDEX NAME)

Relative stereochemistry.

- RN 1001003-06-0 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c)pyridin-5-yl)-2-pyrimidinyl]aminojphenyl][4-(4-pyridinyl)-1-piperazinyl]-(CA INDEX NAME)

- RN 1001003-07-1 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

- RN 1001003-08-2 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl][4-(phenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-09-3 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino[phenyl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-10-6 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl][4-(2-methoxyethyl)-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-11-7 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-12-8 CAPLUS
- CN Ethanone, 1-{4-{4-[{5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-13-9 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-y1)-2-pyrimidinyl]amino]phenyl][4-(2-pyrazinyl)-1-piperazinyl]-(CA INDEX NAME)

- RN 1001003-14-0 CAPLUS
- CN Methanone, [4-(2,4-difluorophenyl)-1-piperazinyl][4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 1001003-15-1 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3, 4, 6, 7-tetrahydro-5H-imidazo[4, 5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl][4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-16-2 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl][4-(2-pyridinyl)-1-piperazinyl]-(CA INDEX NAME)

- RN 1001003-17-3 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]phenyl] (5-methyl-2,5-diazabicyclo[2.2.1]hept-2-y1)- (CA INDEX NAME)

- RN 1001003-18-4 CAPLUS
- CN 1-Piperazineacetamide, 4-[4-[15-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]benzoyl]-N-(1-methylethyl)-(CA INDEX NAME)

- RN 1001003-19-5 CAPLUS
- CN Methanone, [4-[[5-(dimethylamino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl] amino]phenyl] [4-(4-pyridinylmethyl)-1-piperazinyl]- (CA INDEX NAME)

- RN 1001003-20-8 CAPLUS
- CN Ethanone, 2-[4-[4-[[5-(dimethylamino]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl] amino]benzoyl]-1-piperazinyl]-1-(4-morpholinyl)- (CA INDEX NAME)

- RN 1001003-21-9 CAPLUS
- CN Methanone, (4,4-difluoro-1-piperidinyl)[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-(CA INDEX NAME)

- RN 1001003-22-0 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 1001003-23-1 CAPLUS
- CN Benzamide, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c)pyridin-5-yl)-2-pyrimidinyl]amino]-N-methyl-N-(1-methyl-4-piperidinyl)-(CA INDEX NAME)

- RN 1001003-24-2 CAPLUS
- CN Methanone, (4,4-difluoro-1-piperidinyl)[1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino[phenyl]-4-piperidinyl] (CA INDEX NAME)

RN 1001003-25-3 CAPLUS

ON Methanone, (3,3-difluoro-1-piperidinyl)[1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-inidazo[4,5-c]pyridin-5-yl)-2-pyrindinyl]-dinio|phenyl]-4-piperidinyl]- (CA INDEX NAME)

RN 1001003-26-4 CAPLUS

CN Methanone, [1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino[phenyl]-4-piperidinyl]-4-morpholinyl-(CA INDEX NAME)

RN 1001003-27-5 CAPLUS

CN Methanone, [1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-4-piperidinyl][(2R,68)-2,6-dimethyl-4-morpholinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1001003-28-6 CAPLUS

CN 1-Piperazineacetonitrile, 4-[3-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5-b-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

RN 1001003-29-7 CAPLUS

CN 1-Piperidineacetonitrile, 4-[[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-lmidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]ethylamino]-(CA INDEX NAME)

RN 1001003-30-0 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[2,3,4,5-tetrahydro-3-(2,2,2-trifluoroethyl)-1H-3-benzazepin-7-yl]- (CA INDEX NAME)

RN 1001003-31-1 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-N2-[4-[4-(2,2,2-trifluoroethyl)-1-piperazinyl]phenyl]-(CA INDEX NAME)

RN 1001003-32-2 CAPLUS

CN 2,5-Pyrimidinediamine, N2-[4-(3-furany1)pheny1]-N5,N5-dimethy1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 1001003-33-3 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(4-pyridinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001003-34-4 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(3-pyridinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001003-35-5 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(5-pyrimidinyl)phenyl]-4(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001003-36-6 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(2-pyridinyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001003-37-7 CAPLUS

 $\texttt{CN} \qquad 2, \\ 5-\texttt{Pyrimidinediamine}, \\ \\ \\ N5, \\ N5-\texttt{dimethy1-N2-[4-(1H-pyrro1-3-y1)pheny1]-4$

(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 1001003-38-8 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1H-pyrrol-2-yl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

IT 1001003-49-1P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidines and related compds. for treatment of diseases characterized by excessive or abnormal cell proliferation)

RN 1001003-49-1 CAPLUS CN 4-Piperidinecarboxyl

4-Piperidinecarboxylic acid, 1-[4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-(CA INDEX NAME)

IT 1001003-47-9 1001003-48-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidines and related compds. for treatment of diseases characterized by excessive or abnormal cell proliferation)

RN 1001003-47-9 CAPLUS

CN Benzoic acid, 4-[[5-(dimethylamino)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1001003-48-0 CAPLUS

 ${\tt CN-2,5-Pyrimidine diamine,\ N5,N5-dimethyl-N2-[3-(1-piperazinyl)phenyl]-4-1}$

(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- IT 514842-74-1P 514842-79-6P 1001006-84-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrimidines and related compds. for treatment of diseases
- characterized by excessive or abnormal cell proliferation)
 RN 514842-74-1 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 5-(2-chloro-5-methoxy-4-pyrimidiny1)-4,5,6,7tetrahydro- (CA INDEX NAME)

- RN 514842-79-6 CAPLUS
- CN 5-Pyrimidinamine, 2-chloro-N, N-dimethyl-4-(3, 4, 6, 7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 1001006-84-3 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[4-[[5-methoxy-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-, phenylmethyl ester (CA INDEX NAME)

L3 ANSWER 11 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1475931 CAPLUS

DOCUMENT NUMBER: 148:100628

TITLE: Substituted 3-amino-pyrrolidine-4-lactam derivatives,

processes for preparing them, pharmaceutical compositions containing them, and their use as DPP-IV

(dipeptidyl peptidase IV) inhibitors

INVENTOR(S): Benbow, John William; Piotrowski, David Walter; Hui,

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 83pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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| PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | |
|------------|------------------|------|------|-------------|-----------|--------|--------|-----------------|-----|-----------------------------------|------|------|-----|------------------------|-----|-------|-----|--|
| | | | | A2 20071227 | | | | | | | | | | 20070611 | | | | |
| WO | 2007148185 | | | A3 20080313 | | | | | | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, | |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | FI, | |
| | | GB. | GD. | GE. | GH. | GM. | GT, | HN. | HR. | HU. | ID. | IL. | IN. | IS. | JP. | KE. | KG. | |
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| | | | | | | | GA, | | | | | | | | | | | |
| | | | | | | | MZ, | | | | | | | | | | | |
| | | | | | | | TJ, | | | | | | , | | | , | ,,, | |
| IIS | 2007 | | | | | | 2007 | | | | | | 45 | | 2 | 0070 | 618 | |
| PRIORIT | | | | | 111 | | 2007 | 122, | | US 2007-764445 US 2006-805371P | | | | 20070618 P 20060621 | | | | |
| FRIORII | I ALL | mr4. | TIME | | | | | | | US 2006-803371F | | | | | | | | |
| OWNED O | | | | | 143 D | D 3 TF | 1 10 . | 1000 | | 00 2 | 000- | 0/14 | 02P | | - 2 | 0001. | 222 | |
| OTHER S | OTHER SOURCE(S): | | | | MARI | PAT | 148: | 10062 | | US 2 | 006- | 8714 | 82P | 1 | P 2 | 0061 | 222 | |

II

- AB The invention relates to substituted 3-amino-pyrrolidine-4-lactam derivs. I, processes for preparing them, pharmaceutical prepns. comprising them, and their pharmaceutical use. I are inhibitors of dipeptidyl peptidase IV (DPP-IV), useful in the treatment of, e.g., diabetes type 2. In compds. I, A is (CH2)n, wherein n is l or 2; Rl and R2 are independently H or F; R3 is (un)substituted heteroaryl, etc.; including pharmaceutically acceptable salts thereof. For instance, the invention compound II was prepared and showed dipeptidyl peptidase inhibition IC50 value of 24.1 nM.
- IT 1000301-76-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of substituted 3-amino-pyrrolidine-4-lactam derivs. as DPP-IV inhibitors)

- RN 1000301-76-7 CAPLUS
- CN [1,3'-Bipyrrolidin]-2-one, 4'-amino-1'-[6-(3,4,6,7-tetrahydro-5Hinidazo[4,5-c]pyridin-5-y1)-4-pyrimidiny1]-, hydrochloride (1:2), (3'S,4'S)- (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

L3 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1309234 CAPLUS

DOCUMENT NUMBER: 147:541902

TITLE: Preparation of triazolopyrazine derivatives for

treating hyperproliferative disorders

INVENTOR(S): Cheng, Hengmiao; Cui, Jingrong Jean; Hoffman, Jacqui Elizabeth; Jia, Lei; Johnson, Mary Catherine; Kania,

Robert Steven; Le, Phuong Thi Quy; Nambu, Mitchell David; Pairish, Mason Alan; Shen, Hong; Tran-Dube,

Michelle Bich

PATENT ASSIGNEE(S): Pfizer Inc., USA U.S. Pat. Appl. Publ., 113pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | PATENT NO. | | | | | | | APPLICATION NO. | | | | | | | | | | |
|-----------|------------------------|------|-----|-------------------|-------------|-------------|-------|-----------------|-------|------------------------------------|-------|----------|----------|-----|-----|----------|-----|--|
| | US 20070265272 | | | | | | | US 2 | 2007- | 7459 | | 20070508 | | | | | | |
| | 2000 | | | | | C2 20071120 | | | | | 2007- | | | | | | | |
| AU | 2007 | 2512 | 83 | | A1 20071122 | | | | | AU 2007-251283 | | | | | | 20070430 | | |
| WO | 2007 | 1323 | 08 | | A1 | A1 20071122 | | | | WO 2 | 2007- | IB11 | 20070430 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | ΒZ, | CA, | |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | |
| | | GD, | GE, | GH, | GM, | GΤ, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΜ, | |
| | | KN, | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | MG, | MK, | |
| | | | | | | | | | | | NZ, | | | | | | | |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | . SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, | |
| | | | | | | | VC, | | | | | | | | | | | |
| | RW: | | | | | | | | | | ES, | | | | | | | |
| | | | | | | | | | | | PT, | | | | | | | |
| | | | | | | | | | | | ML, | | | | | | | |
| | | | | | | | | | SD, | SL, | , SZ, | TZ, | UG, | ZM, | ZW, | ΑM, | ΑZ, | |
| | | | | | | | TJ, | | | | | | | | _ | | | |
| | | | | | A | | 2009 | 0114 | | | 2008- | | | | | 0081 | | |
| PRIORITY | PRIORITY APPLN. INFO.: | | | .: | | | | | | US 2006-799966P US 2007-893231P | | | | | | | | |
| | | | | | | | | | | | | | | | | 0070 | | |
| OFFIED OF | VID OF | | | | 143 D | D = M | 1.10. | E 410 | 0.0 | WO 2 | 2007- | IB11 | 42 | 1 | n 2 | 0070 | 430 | |
| OTHER SO | THER SOURCE(S): | | | MARPAT 147:541902 | | | | | | | | | | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

GI

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

The title compds. I [R1, R2 = H, Br, C1, F, etc.; R3 = (un)substituted Ph (with the proviso); R4 = H, F, alkyl, aryl; n = 0-4], useful for treating c-Met related disorders such as cancer, were prepared Thus, reacting II with tert-Bu 3-(methylsulfonyloxy)azetidine-1-carboxylate in the presence of NaH followed by treatment of the resulting intermediate with 4N HCl afforded 34% III. Exemplified compds. I were tested in HGFR continuous-coupled spectrophotometric assay (data given). The invention also relates to pharmaceutical compns. containing the compds. I and to methods of treating hyperproliferative disorders in a mammal by administering the compds. I.

⁹⁵⁶⁹⁰⁵⁻⁸⁴⁻³P

(preparation of triazolopyrazine derivs. for treating hyperproliferative disorders) $% \left(1\right) =\left(1\right) \left(1$

- RN 956905-84-3 CAPLUS
- CN Quinoline, 6-[[6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-1H-1,2,3-triazolo[4,5-b]pyrazin-1-yl]methyl]- (CA INDEX NAME)

L3 ANSWER 13 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1177863 CAPLUS

DOCUMENT NUMBER: 147:469247

TITLE: Preparation of quinolones derivatives useful as

inducible nitric oxide synthase inhibitors

INVENTOR(S): Roppe, Jeffrey R.; Bonnefous, Celine; Smith, Nicholas D.; Lindstrom, Andrew K.; Noble, Stewart A.; Hassiq,

Christian A.; Payne, Joseph E.; Zhuang, Hui; Chen,

Xiaohong; Duron, Sergio G.

PATENT ASSIGNEE(S): Kalypsys, Inc., USA SOURCE: PCT Int. Appl., 238pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | KIND DATE | | | | | | | | DATE | | | | | | | | |
|------------|-----------|------|-----|-----|------------------------------------|------|------|-----------------|-----------------|---------|------|-----|-----|----------|------|-----|--|
| | | | | | | | | | WO 2007-US62769 | | | | | | | | |
| WO 20 | 071177 | 78 | | A3 | A3 20080207 | | | | | | | | | | | | |
| V | : AE, | AG, | AL, | AM. | AT. | AU, | AZ. | BA, | BB, | BG, | BR. | BW, | BY. | BZ. | CA, | CH, | |
| | | | | | | DE, | | | | | | | | | | | |
| | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | |
| | KP. | KR. | KZ. | LA. | LC. | LK, | LR. | LS. | LT, | LU, | LV. | LY. | MA. | MD, | MG. | MK, | |
| | | | | | | NA, | | | | | | | | | | | |
| | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, | |
| | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | |
| F | RW: AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA, | EP, | OA | | | | | | | |
| AU 20 | 072351 | 32 | | A1 | A1 20071018 AU 2007-235132 200702: | | | | | | | | 223 | | | | |
| CA 26 | 43011 | | | A1 | | 2007 | 1018 | CA 2007-2643011 | | | | | | 20070223 | | | |
| US 20 | 080139 | 558 | | A1 | | 2008 | 0612 | | US 2 | 007- | 6785 | 72 | | 2 | 0070 | 223 | |
| EP 19 | 986747 | | | A2 | | 2008 | 1105 | | EP 2 | 007- | 7574 | 50 | | 2 | 0070 | 223 | |
| F | R: AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| IN 20 | 08DN07 | 205 | | A | | 2008 | 1003 | | IN 2 | 008 - 1 | DN72 | 05 | | 2 | 0080 | 822 | |
| KR 20 | 081084 | 78 | | Α | | 2008 | 1215 | | | | | | | | 0080 | | |
| PRIORITY A | APPLN. | INFO | . : | | | | | | US 2 | 006- | 7765 | 61P | 1 | P 2 | 0060 | 224 | |
| | | | | | | | | | US 2 | 006- | 8486 | 96P | 1 | P 2 | 0061 | 002 | |
| | | | | | | | | | WO 2 | 007- | US62 | 769 | 1 | W 2 | 0070 | 223 | |
| OTHER SOUR | OF (S) . | | | MAD | PAT | 147. | 1692 | 47 | | | | | | | | | |

OTHER SOURCE(S): MARPAT 147:469247

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$$\begin{bmatrix} A & R^1 \\ B & & & \\ C & & & \\ D & R^3 & I & & \\ \end{bmatrix}$$

- AB The invention relates to novel quinolones of formula I [R1 = (un) substituted acyl, alkyl, alkylene, aminoalkyl, amidoalkyl, alkynyl, aryl, arylalkyl, arylalkoxy, etc.; R2 = (un)substituted acyl, alkoxy, alkoxyalkyl, alkyl, alkylene, alkylamino, alkynyl, alkylimino, etc.; R2 may combine with R1 to form (un)substituted heterocycloalky1; R3 = H, NH2, (un) substituted aryl, haloalkyl, (hetero) arylalkyl, (hetero) (cyclo) alkyl; A, B, C and D independently = (un)substituted acyl, alkoxy, alkyl, alkylene, alkylamino, alkynyl, etc.; any two or more A, B, C and D may combine to form aryl, cycloalkyl, heteroaryl or heterocycloalkyl], and their pharmaceutically acceptable salts, esters or prodrugs, are prepared and disclosed as inducible nitric oxide synthase (iNOS) inhibitors. Thus, e.g. II was prepared by acylation of aniline with Et 3-oxobutanoate followed by bromination and cyclization to generate intermediate 4-(bromomethyl)quinolin-2(1H)-one, which underwent substitution with aniline and acylation with furan-2-carbonyl chloride to provide II. The inhibitory activity of all exemplary compds. was evaluated in DAN assay and II was found to have EC50 value of ≤ 5 µM. I should prove useful for inhibiting or modulating nitric oxide synthase and/or lowering nitric oxide levels of iNOS and for the treatment of an iNOS-mediated disease in a patient in need thereof.
- IT 953069-41-5P, 7,8-DifLuoro-4-[(2-isopropyl-5H-imidazo[4,5-c)pyridin-5-y1)methyl]quinolin-2(1H)-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of quinolones derivs. useful as inducible nitric oxide synthase inhibitors)

RN 953069-41-5 CAPLUS CN 2(1H)-Ouinglinge.

2(1H)-Quinolinone, 7,8-difluoro-4-[[2-(1-methylethyl)-5H-imidazo[4,5-c]pyridin-5-yl]methyl]- (CA INDEX NAME)

L3 ANSWER 14 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1061608 CAPLUS

DOCUMENT NUMBER: 147:386017

TITLE: Preparation of piperazinyloxoalkyl

tetrahydroisoquinolines and related analogues as

histamine H3 receptor modulators

INVENTOR(S): Gao, Yang; Han, Bingsong; Xu, Yuelian; Caldwell,

Timothy M.; Xie, Linghong

PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: PCT Int. Appl., 277pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: En-FAMILY ACC. NUM. COUNT: 1

| PATENT | INFO | RMATI | ON: |
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| | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | |
|------|------------|------|------|------|-----|-----------|----------------------------|----------|-----------------|----------------|----------------|------|------|-----|------|----------|------|-----|--|
| | | 2007 | | | | | A2 20070920 WO 2007-US5762 | | | | | | | | | | | | |
| | WU | | | | | | | 20071129 | | | | | | | | | | | |
| | | W: | | | | | | AU, | | | | | | | | | | | |
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| | | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | MG, | MK, | MN, | |
| | | | MW. | MX, | MY, | MZ. | NA. | NG, | NI, | NO. | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | |
| | | | RU. | sc. | SD. | SE. | SG. | SK, | SL. | SM. | SV. | SY. | TJ. | TM. | TN. | TR. | TT. | TZ. | |
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| | | RW: | | | | | | CZ, | | | | ES. | FT. | FR. | GB. | GR. | HII. | TE. | |
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| | 2.77 | 0007 | | | | | | TJ, | | | | | | | | _ | 0070 | 200 | |
| | | 2007 | | | | | | | | AU 2007-225273 | | | | | | | | | |
| | | 2007 | | | | | | 2007 | | | | | | | | | 0070 | | |
| | EP | 1998 | | | | A2 | | 2008 | | | | | | | | | 0070 | | |
| | | R: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, | |
| | | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| | IN | 2008 | DN07 | 349 | | A | | 2008 | 0926 | | IN 2 | -800 | DN73 | 49 | | 2 | 0080 | 828 | |
| | KR | 2008 | 1098 | 41 | | A | | 2008 | 1217 | | KR 2008-724892 | | | 92 | | 20081010 | | | |
| PRIO | RITY | APP: | LN. | INFO | . : | | | | | | US 2 | 006- | 7815 | 16P | | P 2 | 0060 | 310 | |
| | | | | | | | | | | | | 007- | | | | | 0070 | | |
| | | | | | | | | | | | | ' | | | | | | | |

OTHER SOURCE(S): MARPAT 147:386017

GI

AB The title compds. I [n, p = 0-3; m, o = 1-3; X = CH or N (if p = 0 then X = CH); R1 = alkyl, alkenyl, cycloalkylalkyl, etc.; or R1 and R3 are taken together to form (un)substituted fused 5-7 membered cycloalkyl or heterocycloalkyl; R2 = alkyl, cycloalkylalkyl, phenylalkyl, etc.; or two R2 are taken together with a ring atom to which they attached to form (un) substituted spiro cycloalkyl or a spiro 4-7 membered heterocycloalkyl; R3 = alkyl, haloalkyl; or two R3 groups are taken together to form (un) substituted fused 5-7 membered cycloalkyl or heterocycloalkyl; A = (un) substituted Ph or 5-6 membered heteroary1] which may be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of central nervous system (CNS) and other disorders in humans, domesticated companion animals and livestock animals, were prepared E.g., a multi-step synthesis of II, starting from 1-cyclobutylpiperazine, was given. Compds. I were tested in chimeric human H3 receptor GTP binding assay (data given for representative compds. I). Compds. I may be administered alone or in combination with one or more other CNS agents to potentiate the effects of the other CNS agent(s). Pharmaceutical compns. and methods for treating such disorders are provided, as are methods for using such ligands for detecting histamine H3 receptors (e.g., receptor localization studies).

Ι

ΙI

RL: PRPH (Prophetic)

1057338-49-4 1057338-50-7

ΙT

(Preparation of piperazinyloxoalkyl tetrahydroisoquinolines and related analogues as histamine H3 receptor modulators)
RN 1057338-49-4 CAPLUS

CN Ethanone, 2-[2-(4-acetylphenyl)-3,4,6,7-tetrahydro-3-methyl-5H-imidazo[4,5-c]pyridin-5-yl]-1-(4-cyclobutyl-1-piperazinyl)- (CA INDEX NAME)

RN 1057338-50-7 CAPLUS

CN Benzonitrile, 4-[5-[2-(4-cyclobutyl-1-piperazinyl)-2-oxoethyl]-4,5,6,7-

tetrahydro-1-methyl-1H-imidazo[4,5-c]pyridin-2-yl]- (CA INDEX NAME)

L3 ANSWER 15 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:963940 CAPLUS DOCUMENT NUMBER: 147:301192

TITLE: Preparation of substituted quinazolines as

phosphodiesterase (PDE10) inhibitors for treating neurological and psychiatric disorders

INVENTOR(S): Allen, Martin Patrick; Chappie, Thomas Allen;

Humphrey, John Michael; Liras, Spiros

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 37pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

AB

| | TENT | | | | KIN | KIND DATE APPLICATION NO. | | | | | | | | | | | | |
|---------|------------------------|------|-----|-----|------|---------------------------|------|------|---------------|-----------------|------|------|----------|-----|-----|------|-----|--|
| | 2007 | | | | A1 | | | | | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM. | GT. | HN. | HR. | HU, | ID, | IL. | IN. | IS, | JP. | KE. | KG, | KM. | KN. | |
| | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | |
| | | RS. | RU, | SC. | SD, | SE. | SG. | SK. | SL, | SM. | sv. | SY, | TJ, | TM. | TN. | TR. | TT. | |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | IS, | IT. | LT. | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE. | SI, | SK, | TR. | BF, | BJ, | |
| | | CF. | CG. | CI. | CM. | GA. | GN. | GO, | GW. | ML, | MR. | NE. | SN. | TD. | TG. | BW. | GH. | |
| | | | | | | | | | | SZ, | | | | | | | | |
| | | | | | RU, | | | | | | | | | | | | | |
| CA | CA 2641670 | | | | | | | 0830 | | CA 2 | 007- | 2641 | 20070209 | | | | | |
| EP | EP 1996587 | | | | | | 2008 | 1203 | | EP 2 | 007- | 7056 | | | | | | |
| | | | | | | | | | | EE, | | | | | | | | |
| | | IS, | IT. | LI. | LT. | LU, | LV. | MC. | NL, | PL. | PT. | RO, | SE, | SI, | SK, | TR | | |
| US | 2009 | 0023 | 756 | | A1 | | 2009 | 0122 | | US 2 | 008- | 2798 | 69 | | 2 | 0080 | 819 | |
| | PRIORITY APPLN. INFO.: | | | | | | | | | US 2006-776112P | | | | | | | | |
| | | | | | | | | | WO 2007-IB411 | | | | | | | | | |
| OTHER S | OURCE | | MAR | PAT | 147: | 30119 | | | | | | | | | | | | |

and II (wherein the rings containing W1, X1 and Y1, and W, X, Y and Z, or tautomers thereof, are aromatic or heteroarom.; R2, R5 and R6 are H, halo, CN, COOH, etc.) that serve as effective phosphodiesterase (PDE) inhibitors; no biol. data given in patent. The invention also relates to compds. which are selective inhibitors of PDE-10. The invention further relates to intermediates for preparation of such compds.; pharmaceutical compns. comprising such compds.; and the use of such compds. in methods for treating certain central nervous system (CNS) or other disorders. invention relates also to methods for treating neurodegenerative and psychiatric disorders, for example psychosis and disorders comprising deficient cognition as a symptom. Example compound 2-(6,7-dimethoxyquinazolin-4-y1)-2,3,4,9-tetrahydro-1H-b-carboline was prepared by reacting 4-chloro-6,7-dimethoxyguinazoline with 2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole.

тт 947264-87-1P, 6,7-Dimethoxy-4-(1,4,6,7-tetrahydroimidazo[4,5c]pyridin-5-yl)quinazoline RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted quinazolines as phosphodiesterase (PDE10) inhibitors for treating neurol. and psychiatric disorders)

RN

947264-87-1 CAPLUS Quinazoline, 6,7-dimethoxy-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-CN 5-v1)- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT T. 3 ANSWER 16 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:923536 CAPLUS DOCUMENT NUMBER: 147:448691

TITLE: Antiviral 2,5-disubstituted imidazo[4,5-c]pvridines:

Further optimization of anti-hepatitis C virus

Puerstinger, Gerhard; Paeshuyse, Jan; Heinrich, AUTHOR(S):

Susanne; Mohr, Joachim; Schraffl, Nicole; De Clercg,

Erik; Nevts, Johan

CORPORATE SOURCE: Institut fuer Pharmazie, Abteilung Pharmazeutische Chemie, Universitaet Innsbruck, Innsbruck, A-6020,

Austria SOURCE:

Bioorganic & Medicinal Chemistry Letters (2007), 17(18), 5111-5114

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:448691

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GΙ

AB Substituted 5-benzy1-2-pheny1-5H-imidazo[4,5-c]pyridines represent a novel class of compds. with activity against pestiviruses and the hepatitis C virus (HCV). Several series of analogs with modifications of the substituents in positions 2 and 5 were prepared. These efforts resulted in the discovery of several compds. with potent antiviral activity of which 2-(2,3-difluorophenyl)-5-[4-(trifluoromethyl)benzyl]-5H-imidazo[4,5c]pyridine (I) was most potent against HCV (EC50 of 0.10 µM and a selectivity index of 1080).

952291-00-8P 952291-01-9P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, anti-hepatitis C virus, anti-bovine viral diarrhea virus activity, cytotoxicity, and SAR of imidazopyridine derivs.)

RN 952291-00-8 CAPLUS

5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 952291-01-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-(3-pyridinylmethyl)- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:774419 CAPLUS DOCUMENT NUMBER: 147:132872

TITLE: OSAR studies of

4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridines as potent angiotensin II receptor antagonists by MLR and NLR

analysis

AUTHOR(S): Narasimhan, Balasubramanian; Dhake, Avinash; Mourya,

Vishnukant

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Guru Jambheshwar University of Science and Technology, Hisar, 125001,

India

SOURCE: ARKIVOC (Gainesville, FL, United States) (2007), (1),

189-204

CODEN: AGFUAR

URL: http://content.arkatusa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2007/07-

2291BP%20as%20published%20mainmanuscript.pdf

PUBLISHER: Arkat USA Inc.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB A quant. structure activity relationship (QSAR) was employed to find out the correlation between the structural properties and angiotensin II receptor antagonistic activity of 4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridines collected from the literature. The multiple linear regression (MLR) and non-linear regression (MLR) analyses have been carried out to derive best QSAR models. The developed models were cross-validated by the 'leave one out' technique as well as by the calcn. of statistical parameters. The present investigation indicated the importance of the quantum chemical descriptor, energy of LUMO, LUMO and the lipophilic parameter, log P, in contribution to the studied biol. activity. The results of NLR showed that neglecting log P, based on its low correlation by MLR, as followed by most of the QSAR studies, can lead to fortuitous results and one must perform non-linear regression before coming to a decision on the contribution of the lipophilic parameter, log P.

IT 177263-98-8 177264-18-5 193753-32-1 193753-33-2

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR studies of 4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridines as potent angiotensin II receptor antagonists by MLR and NLR anal.)

RN 177263-98-8 CAPLUS

CN

Benzenepropanamide, N-[[4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

RN 177264-18-5 CAPLUS

CN Carbamic acid, N-[14'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

RN 193753-32-1 CAPLUS

CN Benzenepropanamide, N-[[4'-[[4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny])ethyl]-2-propyl-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

RN 193753-33-2 CAPLUS

CN Carbamic acid, N=[14'-[14,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny1)ethy1]-2-propy1-3H-imidazo[4,5-c]pyridin-3-y1]methy1]-3'-fluoro[1,1'-bipheny1]-2y1]sulfony1]-, phenylmethyl ester (CA INDEX NAME)

REFERENCE COUNT:

46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:538689 CAPLUS

DOCUMENT NUMBER: 146:521800

TITLE: Heterocyclic compounds as tyrosine kinase modulators and their preparation, pharmaceutical compositions and

and their preparation, pharmaceut use in the treatment of diseases

INVENTOR(S): Anikin, Alexey Vyacheslavovich; Gantla, Vidyasagar Reddy, Gregor, Vlad Edward; Jiang, Luyong, Liu, Yahua; Mcgee, Danny Peter Claude; Mikel, Charles Chamchoumis; Pickens, Jason Conrad; Webb, Thomas Roy; Zheng, Yan;

Zhu, Tong; Kadushkin, Aleksander; Zozulya, Sergey; Chucholowski, Alexander; Mcgrath, Douglas Eric;

II

Sviridov, Sergey

PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 385pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PR.

GI

| E | PATENT NO. | | | | | | KIND DATE | | | | | ICAT | DATE | | | | | | |
|---------------|--------------------|------|------|-----|-------------|----------------------------|-----------|-----------------|------|-----------------|----------|------|------|----------|-----|------------|-----|-----|--|
| WO 2007056155 | | | | | A1 20070518 | | | | WO 2 | 006- | 20061102 | | | | | | | | |
| | | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | |
| | | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | |
| | | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | |
| | | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, | |
| | | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | |
| | | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | | KG, | KZ, | MD, | RU, | ΤJ, | TM | | | | | | | | | | | |
| P | U | 2006 | 3119 | 14 | | A1 20070518 AU 2006-311914 | | | | | | | | 20061102 | | | | | |
| Ε | EΡ | 1960 | 382 | | | A1 20080827 EP 2006-836883 | | | | | | | | 20061102 | | | | | |
| | | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | |
| | | | BA, | HR, | MK, | RS | | | | | | | | | | | | | |
| DR I | RITY APPLN. INFO.: | | | | | | | | | US 2005-734050P | | | | | 1 | P 20051103 | | | |
| | | | | | | | | WO 2006-US42982 | | | | | | | 1 | W 20061102 | | | |
| ΞR | SC | URCE | (S): | | | MAR | PAT | 146: | 5218 | 00 | | | | | | | | | |

- AB The invention provides compds. of formula I and related compds., capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein RI is (un) substituted heterocyclyl, (un) substituted alkyl, (un) substituted sulfonyl, acyl, etc.; R2 is H, lower alkyl, lower alkynyl, lower cycloalkyl, kyl, (un) substituted (hetero) aryl (alkyl), heterocycloalkyl, etc.; Q1, Q2, Q3 and Q4 are independently. C1-5 alkyl; and their stereoisomers, tautomers, salts, hydrates and prodrugs thereof, are claimed. Example compound II was prepared by amidation of 2-12-hydroxy-5-(2-methoxypyridin-3-yl)phenyl] benzimidazole-5-carboxylic acid with 1-methoxy-2-propylamine. All the invention compds. were evaluated for their tyrosine kinase modulatory activity (some data given).

 IN 936331-89-49 936341-85-9
 - T 936931-89-4P 936934-85-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic compds. as tyrosine kinase modulators and their use in the treatment of diseases) 936931-89-4 CAPLUS

RN 936931-89-4 CAPLUS

Kethanone, [2-[2-hydroxy-5-(2-methoxy-3-pyridiny1)pheny1]-1H-benzimidazol6-y1][3,4,6,7-tetrahydro-4-(2-pyridiny1)-5H-imidazo[4,5-c]pyridin-5-y1](CA INDEX NAME)

RN 936934-85-9 CAPLUS CN 3H-Imidazo[4,5-c]pvs

3H-Imidazo(4,5-c)pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-[[2-[2-hydroxy-5-(2-methoxy-3-pyridiny1)pheny1]-1Hbenzimidazo1-6-y1]carbony1]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:351573 CAPLUS

DOCUMENT NUMBER: 146:379974

TITLE: Preparation of arvl substituted imidazo[4,5-c]pyridine

derivatives as C3A receptor antagonists

INVENTOR(S): Butler, Todd William

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 74pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | KIND DATE | | | | APPL | ICAT | DATE | | | | | | | | | | |
|-------|---------------|-----|------|-----|------|-------------|------|-----|-----|------|------|-------|------------|-----|-----|-----|-----|
| | | | | | | _ | | | | | | | | | | | |
| WO : | WO 2007034277 | | | | | A1 20070329 | | | | WO 2 | 006- | IB25 | 20060917 | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, |
| | | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, |
| | | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, |
| | | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | | | | | | | | |
| ORITY | APP | LN. | INFO | . : | | | | | | US 2 | 005- | 7185. | P 20050919 | | | | |

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 146:379974 GI

AB Title compds, represented by the formula I [wherein X = a single bond or (un) substituted alkylene; R1 = independently H, halo, carbonylalkyl, etc.; R2 = H, halo, amino, etc.; R3 = H, hydroxy, (oxy)alkyl, etc.; R4 = H, (un) substituted alkyl, alkenyl; or R3R4 = cyclyl; and pharmaceutically acceptable salts thereof] were prepared as Complement protein C3A antagonists. For example, cyclization of

3', 4'-dimethylbiphenyl-4-carboxylic acid with 3, 4-diaminopyridine gave 2-(3',4'-dimethylbiphenyl-4-yl)-3H-imidazo[4,5-c]pyridine. I showed biol. activity in C3A receptor binding assay. Thus, I and their pharmaceutical compns. are useful as C3A receptor antagonists for the treatment of a variety of medical conditions associated with the Complement cascade.

IT 930767-75-2P, 2-(3',4'-Dimethylbiphenyl-4-yl)-5-[[1-(4-tolylsulfonyl)-1H-imidazol-2-yl]methyl]-5H-imidazol(4,5-c)pyridine 930767-76-3P, 2-(3',4'-Dimethylbiphenyl-4-yl)-5-[[1-(4-tolylsulfonyl)-1H-imidazol-4-yl]methyl]-5H-imidazol(4,5-c)pyridine 930767-84-3P, 2-(2-(3',4'-Dimethylbiphenyl-4-yl)Imidazol(4,5-c)

c]pyridin-5-yl]-1-(lH-pyrazol-3-yl)ethanone RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of aryl substituted imidazo[4,5-c]pyridine derivs. as C3A
 receptor antagonists)

RN 930767-75-2 CAPLUS

CN SH-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazo1-2-yl]methyl]- (CA INDEX NAME)

RN 930767-76-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]- (CA INDEX NAME)

RN 930767-84-3 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-yl)- (CA INDEX NAME)

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930767-72-9P, 2-(3',4'-Dimethylbiphenyl-4-yl)-5-[(pyridin-3-
yl)methyl]-5H-imidazo[4,5-c]pyridine 930767-73-0P,
2-(3',4'-Dimethylbiphenyl-4-yl)-5-[(pyridin-4-yl)methyl]-5H-imidazo[4,5-
c]pyridine 930767-74-1P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyridin-2-yl)methyl]-5H-imidazo[4,5-
c]pyridine 930767-77-4P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(5-methyl-[1,3,4]oxadiazol-2-yl)methyl]-
5H-imidazo[4,5-c]pyridine 930767-78-5P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(thiazol-2-yl)methyl]-5H-imidazo[4,5-
c]pyridine 930767-79-6P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[2-(1H-imidazol-4-yl)ethyl]-5H-
imidazo[4,5-c]pvridine 930767-81-0P,
2-(3',4'-Dimethylbiphenyl-4-yl)-5-[(1-methylimidazol-5-yl)methyl]-5H-
imidazo[4,5-c]pvridine 930767-82-1P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1-methyl-1H-imidazol-4-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930767-83-2P.
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-
1H-imidazol-4-vl)ethanone 930767-86-5P,
1-(2-Amino-4-methylthiazol-5-v1)-2-[2-(3', 4'-dimethylbiphenyl-4-
vl)imidazo[4,5-c]pvridin-5-vl]ethanone 930767-87-6P,
2-(3',4'-Dimethylbiphenyl-4-yl)-5-[2-(1H-pyrazol-3-yl)ethyl]-5H-
imidazo[4,5-c]pyridine 930767-89-8P,
2-[2-(4'-Methoxybiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-
vl)ethanone dihydrochloride 930767-91-2P,
2-[2-(4'-Fluorobiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-
vl)ethanone hydrochloride 930767-93-4P,
2-[2-(3'-Methylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-
yl)ethanone 930767-95-6P,
2-[2-(3'-Chlorobiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-
yl)ethanone hydrochloride 930767-97-8P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-pyrazol-3-yl)methyl]-5H-imidazo[4,5-
clpyridine hydrochloride 930767-98-9P.
2-(3'-Chlorobiphenyl-4-yl)-5-[(1H-pyrazol-3-yl)methyl]-5H-imidazo[4,5-
clovridine hydrochloride 930767-99-0P.
2-(3'-Methylbiphenyl-4-yl)-5-[(1H-pyrazol-3-yl)methyl]-5H-imidazo[4,5-
c]pyridine hydrochloride 930768-02-8P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-tetrazol-5-yl)methyl]-5H-
imidazo[4,5-c]pvridine 930768-03-9P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-tetrazol-5-yl)methyl]-5H-
imidazo[4,5-c]pyridine dihydrochloride 930768-09-5P,
5-[[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4, 5-c]pyridin-5-yl]methyl]-1, 2-
dihydropyrazol-3-one 930768-10-8P 930768-11-9P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-imidazol-2-yl)methyl]-5H-
imidazo[4,5-c]pvridine 930768-12-0P,
2-(3',4'-Dimethylbiphenyl-4-yl)-5-[(1H-imidazol-2-yl)methyl]-5H-
imidazo[4,5-c]pyridine trihydrochloride 930768-13-1P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-imidazol-4-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930768-14-2P,
5-[[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]methyl]-2,4-
dihydro-[1,2,4]triazol-3-one 930768-16-4P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-[1,2,4]triazol-3-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930768-18-6P,
5-[[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]methyl]-3H-
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[1,3,4]oxadiazol-2-one 930768-20-0P,
[5-[[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
v1]methv1][1,3,4]oxadiazo1-2-v1]amine 930768-21-1P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(2-methyl-1H-imidazol-4-yl)methyl]-5H-
imidazo[4.5-c]pyridine 930768-23-3P.
2-(3', 4'-Dimethylbiphenyl-4-v1)-5-[(1H-pyrazol-4-v1)methyl]-5H-imidazo[4,5-
c]pyridine 930768-25-5P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-[2-methyl-
1-[2-(2-methvl-1H-imidazol-4-vl)-2-oxoethvl]-1H-imidazol-4-vl]ethanone
930768-28-8P, 2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-
c]pyridin-5-y1]-1-[2-methyl-1-[2-(2-methyl-1H-imidazol-4-y1)-2-oxoethyl]-
1H-imidazol-4-yl]ethanone hydrochloride 930768-29-9P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-
1H-imidazol-4-vl)ethanol 930768-30-2P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-
1H-imidazol-4-yl)ethanol hydrochloride 930768-31-3P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1H-
pyrazo1-3-y1)ethanol 930768-32-4P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyrazin-2-
yl)ethanol 930768-40-4P,
2-[2-[4-(1-Methyl-5-trifluoromethyl-1H-pyrazol-3-yl)phenyl]imidazo[4,5-
clpvridin-5-vll-1-(1H-pvrazol-3-vl)ethanone maleate 930768-47-1P
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(2-
methy1-2H-pyrazo1-3-y1)ethanone 930768-48-2P.
2-(3,4'-Dimethylbiphenyl-4-yl)-5-[([1,3,4]oxadiazol-2-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930768-49-3P,
[5-[[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
yl]methyl][1,3,4]oxadiazol-2-yl]dimethylamine 930768-50-6P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(oxazol-5-
yl)ethanone 930768-51-7P.
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pvridin-5-vl]-1-
([1,3,4]oxadiazol-2-yl)ethanone 930768-52-8P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(oxazol-2-
yl)ethanone 930768-53-9P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(4-
methyloxazol-2-vl)ethanone 930768-54-0P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(5-
methyloxazol-2-yl)ethanone 930768-55-1P,
2-(3-Fluoro-3',4'-dimethylbiphenyl-4-yl)-5-[(4-methylthiazol-2-yl)methyl]-
5H-imidazo[4,5-c]pyridine 930768-57-3P,
2-(3',4'-Dimethylbiphenyl-4-yl)-5-[3-(1H-imidazol-4-yl)propyl]-5H-
imidazo[4,5-c]pyridine 930768-58-4P,
2-[2-(4'-Methoxybiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-1H-
imidazol-4-yl)ethanone 930768-65-3P,
1-[2-[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
vl]ethvl]pvrrolidin-2-one 930768-66-4P,
1-[2-[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]ethyl]-1,3-
dihydroimidazol-2-one 930768-67-5P.
1-[2-[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
yl]ethyl]imidazolidin-2-one 930768-68-6P.
1-[2-[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]ethyl]-3-
methylimidazolidin-2-one 930768-69-7P,
1-[2-[2-(3', 4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]ethyl]-3-
methyl-1,3-dihydroimidazol-2-one 930768-70-0P.
2-(3,4'-Dimethylbiphenyl-4-yl)-5-[(2-methyl-2H-tetrazol-5-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930768-71-1P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(1,2-
dimethyl-1H-imidazol-4-vl)ethanone 930768-72-2P,
2-(3',4'-Dimethy1bipheny1-4-y1)-5-[(2-methy1-2H-pyrazo1-3-y1)methy1]-5H-
imidazo[4,5-c]pyridine 930768-73-3P,
1-[5-[[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
yl]methyl]pyrazol-1-yl]ethanone 930768-74-4P,
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1-(1-Acetyl-2-methyl-1H-imidazol-4-yl)-2-[2-(3',4'-dimethylbiphenyl-4-
v1) imidazo[4,5-c]pvridin-5-v1]ethanone 930768-75-5P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyrimidin-2-yl)methyl]-5H-imidazo[4,5-
clpvridine 930768-76-6P,
6-[[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-
v1]methy1]pyridin-2-o1 930768-77-7P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyridazin-3-yl)methyl]-5H-imidazo[4,5-
c]pyridine 930768-78-8P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyrimidin-5-yl)methyl]-5H-imidazo[4,5-
clpvridine 930768-79-9P.
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyrazin-2-yl)methyl]-5H-imidazo[4,5-
c]pyridine 930768-80-2P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(pyrimidin-4-yl)methyl]-5H-imidazo[4,5-
c]pvridine 930768-81-3P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyridin-4-
yl)ethanone 930768-82-4P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyridin-3-
yl)ethanone 930768-83-5P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyridin-2-
yl)ethanone 930768-84-6P,
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyrimidin-
4-v1)ethanone 930768-85-7P.
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyridazin-
3-v1)ethanone 930768-86-8P.
2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyrimidin-
2-v1)ethanone 930768-87-9P,
5-[(Benzo[d]isoxazol-3-y1)methy1]-2-(3',4'-dimethylbipheny1-4-y1)-5H-
imidazo[4,5-c]pyridine 930768-88-0P,
5-[(Benzoxazol-2-v1)methv1]-2-(3',4'-dimethv1biphenv1-4-v1)-5H-imidazo[4,5-
c]pyridine 930768-89-1P,
5-[(1H-Benzimidazol-2-yl)methyl]-2-(3',4'-dimethylbiphenyl-4-yl)-5H-
imidazo[4,5-c]pyridine 930768-90-4P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1-methyl-1H-benzimidazol-2-yl)methyl]-
5H-imidazo[4,5-c]pvridine 930768-91-5P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-indol-2-yl)methyl]-5H-imidazo[4,5-
clpvridine 930768-92-6P.
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1-methyl-1H-indol-2-yl)methyl]-5H-
imidazo[4,5-c]pyridine 930768-93-7P,
2-(3', 4'-Dimethylbiphenyl-4-yl)-5-[(1H-indol-3-yl)methyl]-5H-imidazo[4,5-
c]pvridine 930768-94-8P.
2-(3',4'-Dimethylbiphenyl-4-v1)-5-[(1-methyl-1H-indol-3-v1)methyl]-5H-
imidazo[4.5-c]pvridine 930769-01-0P 930769-02-1P
930769-03-2P 930769-04-3P 930769-05-4P
930769-06-5P 930769-07-6P 930769-08-7P
930769-09-8P 930769-10-1P 930769-11-2P
930769-12-3P 930769-13-4P 930769-14-5P
930769-15-6P 930769-16-7P 930769-22-5P
930769-23-6P 930769-24-7P 930769-25-8P
930769-26-9P 930769-27-0P 930769-28-1P
930769-29-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of arvl substituted imidazo[4.5-c]pyridine derivs, as C3A
   receptor antagonists)
930767-72-9 CAPLUS
5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(3-
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RN

CN

pyridinylmethyl) - (CA INDEX NAME)

- RN 930767-73-0 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(4-pyridinylmethyl)- (CA INDEX NAME)

- RN 930767-74-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2-pyridinylmethyl)- (CA INDEX NAME)

- RN 930767-77-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(5-methyl-1,3,4-oxadiazol-2-yl)methyl]- (CA INDEX NAME)

- RN 930767-78-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2thiazolylmethyl)- (CA INDEX NAME)

RN 930767-79-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[2-(1H-imidazol-5-yl)ethyl]- (CA INDEX NAME)

RN 930767-81-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-imidazol-5-yl)methyl]- (CA INDEX NAME)

RN 930767-82-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-imidazol-4-yl)methyl]- (CA INDEX NAME)

$$\stackrel{N}{\underset{Me}{\longrightarrow}} \operatorname{CH}_2 - \stackrel{N}{\underset{N}{\longrightarrow}} \stackrel{N}{\underset{N}{\longrightarrow}} \operatorname{Me}$$

RN 930767-83-2 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]-1-(2-methyl-1H-imidazol-5-yl)- (CA INDEX NAME)

$$\stackrel{H}{\text{Normal N}} = \stackrel{O}{\text{C-CH}_2} = \stackrel{N}{\text{Normal N}} = \stackrel{Me}{\text{Normal Normal N}} = \stackrel{Me}{\text{Normal Normal Norm$$

RN

CN Ethanone, 1-(2-amino-4-methyl-5-thiazolyl)-2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

- RN 930767-87-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[2-(1H-pyrazol-3-yl)ethyl]- (CA INDEX NAME)

- RN 930767-89-8 CAPLUS
- CN Ethanone, 2-[2-(4'-methoxy[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-yl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 930767-91-2 CAPLUS
- CN Ethanone, 2-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-yl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\operatorname{HN} \overset{\mathsf{O}}{\longrightarrow} \operatorname{C-CH}_2 - \operatorname{N} \overset{\mathsf{N}}{\longrightarrow} \operatorname{N}$$

HC1

- RN 930767-93-4 CAPLUS
- CN Ethanone, 2-[2-(3'-methyl[1,1'-biphenyl]-4-y1)-5H-imidazo[4,5-c]pyridin-5-y1]-1-(1H-pyrazo1-3-y1)- (CA INDEX NAME)

- RN 930767-95-6 CAPLUS
- CN Ethanone, 2-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5yl]-1-(1H-pyrazol-3-yl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 930767-97-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-pyrazol-3-ylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 930767-98-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3'-chloro[1,1'-biphenyl]-4-yl)-5-(1H-pyrazol-3-ylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 930767-99-0 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3'-methyl[1,1'-biphenyl]-4-yl)-5-(1H-pyrazol-3-ylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 930768-02-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2H-tetrazol-5-ylmethyl)- (CA INDEX NAME)

$$\begin{array}{c} N \\ N \\ N \\ H \end{array} \begin{array}{c} CH_2 \\ N \\ N \end{array} \begin{array}{c} N \\ N \\ Me \end{array} \begin{array}{c} Me \\ Me \end{array}$$

- RN 930768-03-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2H-tetrazol-5-ylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 930768-09-5 CAPLUS
- CN 3H-Pyrazol-3-one, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]methyl]-1,2-dihydro- (CA INDEX NAME)

- RN 930768-10-8 CAPLUS

CM 1

CRN 930768-09-5 CMF C24 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930768-11-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1Himidazol-2-ylmethyl)- (CA INDEX NAME)

RN 930768-12-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1Himidazol-2-ylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

3 HC1

RN 930768-13-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1Himidazol-5-ylmethyl)- (CA INDEX NAME)

RN 930768-14-2 CAPLUS

CN 1,2,4-Triazolidin-3-one, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]methyl]- (CA INDEX NAME)

RN 930768-16-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-1,2,4-triazol-5-ylmethyl)- (CA INDEX NAME)

$$\stackrel{N}{\underset{H}{\bigvee}} CH_2 - \stackrel{N}{\underset{N}{\bigvee}} Me$$

RN 930768-18-6 CAPLUS

CN 1,3,4-Oxadiazol-2(3H)-one, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]methyl]- (CA INDEX NAME)

RN 930768-20-0 CAPLUS

CN 1,3,4-Oxadiazol-2-amine, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]methyl]- (CA INDEX NAME)

RN 930768-21-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-y1)-5-[(2-methyl-1H-imidazol-5-y1)methyl]- (CA INDEX NAME)

$$\stackrel{H}{\stackrel{N}{\longrightarrow}} \operatorname{CH}_2 - \stackrel{N}{\stackrel{N}{\longrightarrow}} \operatorname{N} \qquad \stackrel{\text{Me}}{\stackrel{\text{Me}}{\longrightarrow}} \operatorname{Me}$$

RN 930768-23-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-pyrazol-4-ylmethyl)- (CA INDEX NAME)

$$\operatorname{HN} \operatorname{CH}_2 - \operatorname{N} \operatorname{N} \operatorname{Me}$$

RN 930768-25-5 CAPLUS

CN Ethanone, 2-[4-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-]pyridin-5-yl]acetyl]-2-methyl-1H-imidazol-1-yl]-1-(2-methyl-1H-imidazol-5-yl) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{C-CH}_2 \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

RN 930768-28-8 CAPLUS

CN Ethanone, 2-[4-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]acetyl]-2-methyl-1H-imidazol-1-yl]-1-(2-methyl-1H-imidazol-5-yl)-, hydrochloride (1:1) (CA INDEX NAME)

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● HCl

- RN 930768-29-9 CAPLUS CN 5H-Imidazo[4,5-c]pv:
 - $\label{eq:continuous} $$ H-Imidazo[4,5-c] pyridine-5-ethanol, $$ 2-(3^,4^-dimethyl[1,1^-biphenyl]-4-yl)-\alpha-(2-methyl-1H-imidazol-5-yl)-(CA INDEX NAME).$

$$\stackrel{H}{\text{Me}} \stackrel{OH}{\stackrel{}{\text{N}}} = \text{CH-CH}_2 - \text{N} \stackrel{N}{\stackrel{}{\text{N}}} = \text{Me}$$

- RN 930768-30-2 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine-5-ethanol, $2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-\alpha-(2-methyl-1H-imidazol-5-yl)-$, hydrochloride (1:1) (CA INDEX NAME)

$$\stackrel{H}{\text{N}} = \stackrel{\text{OH}}{\text{CH}} = \stackrel{\text{CH}}{\text{CH}} = \stackrel{\text{N}}{\text{N}} = \stackrel{\text{N}}{\text{N}} = \stackrel{\text{Me}}{\text{Me}}$$

● HCl

- RN 930768-31-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine-5-ethanol,

2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)- α -1H-pyrazol-3-yl- (CA INDEX NAME)

RN 930768-32-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-ethanol, $2-(3',4'-\text{dimethyl}[1,1'-\text{biphenyl}]-4-y1)-\alpha-2-\text{pyrazinyl}- \text{ (CA INDEX NAME)}$

RN 930768-40-4 CAPLUS

CN Ethanone, 2-[2-[4-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]-5H-imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-yl)-, (22)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930768-39-1

CMF C22 H16 F3 N7 O

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

930768-47-1 CAPLUS RN

CN Ethanone, 2-[2-(3',4'-dimethy1[1,1'-bipheny1]-4-y1)-5H-imidazo[4,5c]pyridin-5-y1]-1-(1-methy1-1H-pyrazo1-5-y1)- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{\text{N}}{\bigcap}} C - CH_2 - N - N - Me$$

RN 930768-48-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1,3,4oxadiazol-2-ylmethyl)- (CA INDEX NAME)

930768-49-3 CAPLUS RN

CN 1,3,4-Oxadiazol-2-amine, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]methyl]-N, N-dimethyl- (CA INDEX NAME)

Me2N

RN 930768-50-6 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-y1]-1-(5-oxazoly1)- (CA INDEX NAME)

930768-51-7 CAPLUS RN

Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c)pyridin-5-y1]-1-(1,3,4-oxadiazol-2-y1)- (CA INDEX NAME)

930768-52-8 CAPLUS RN

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-biphenyl]-4-yl)c]pyridin-5-y1]-1-(2-oxazoly1)- (CA INDEX NAME)

$$\bigcap_{0}^{N} C - CH_{2} - N \bigcap_{N} Me$$

930768-53-9 CAPLUS RN

Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-CN c]pyridin-5-y1]-1-(4-methy1-2-oxazoly1)- (CA INDEX NAME)

RN 930768-54-0 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethy1[1,1'-bipheny1]-4-y1)-5H-imidazo[4,5c]pyridin-5-y1]-1-(5-methy1-2-oxazoly1)- (CA INDEX NAME)

Ме

RN

RN 930768-55-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-fluoro-3',4'-dimethyl[1,1'-biphenyl]-4-yl)-15-[(4-methyl-2-thiazolyl)methyl]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \text{CH}_2 - \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}$$

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[3-(1H-imidazo1-5-yl)propyl]- (CA INDEX NAME)

RN 930768-58-4 CAPLUS

CN Ethanone, 2-[2-(4'-methoxy[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-1H-imidazo1-5-yl)- (CA INDEX NAME)

RN 930768-65-3 CAPLUS

CN 2-Pyrrolidinone, 1-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]ethyl]- (CA INDEX NAME)

RN 930768-66-4 CAPLUS

CN 2H-Imidazol-2-one, 1-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]ethyl]-1,3-dihydro- (CA INDEX NAME)

RN 930768-67-5 CAPLUS

CN 2-Imidazolidinone, 1-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]ethyl]- (CA INDEX NAME)

RN 930768-68-6 CAPLUS

CN 2-Imidazolidinone, 1-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]ethyl]-3-methyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{\text{N}}{\text{N}}} \sim \text{CH}_2 - \text{CH}_2 - \stackrel{\text{N}}{\underset{\text{N}}{\text{N}}} = \stackrel{\text{N}}{\underset{\text{Me}}{\text{N}}} = \stackrel{\text{Me}}{\underset{\text{Me}}{\text{N}}} = \stackrel{\text{Me}}{\underset{\text{Me}}{\text{N}}} = \stackrel{\text{N}}{\underset{\text{N}}{\text{N}}} = \stackrel{\text{N}}{\underset{\text{N}}{\text{$$

RN 930768-69-7 CAPLUS

CN 2H-Imidazol-2-one, 1-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]ethyl]-1,3-dihydro-3-methyl- (CA INDEX NAME)

RN 930768-70-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(2-methyl-2H-tetrazol-5-yl)methyl]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{N-}{\bigvee}} \stackrel{N}{\underset{N}{\bigvee}} \operatorname{CH}_2 - N \stackrel{N}{\underset{N}{\bigvee}} \stackrel{N}{\underset{N}{\bigvee}} \operatorname{Me}$$

RN 930768-71-1 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]-1-(1,2-dimethyl-1H-imidazol-4-yl)- (CA INDEX NAME)

RN 930768-72-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1methyl-1H-pyrazol-5-yl)methyl]- (CA INDEX NAME)

RN 930768-73-3 CAPLUS

CN Ethanone, 1-[5-[(2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]methyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)

RN 930768-74-4 CAPLUS

CN Ethanone, 1-(1-acetyl-2-methyl-1H-imidazol-4-yl)-2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 930768-75-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2pyrimidinylmethyl)- (CA INDEX NAME)

RN 930768-76-6 CAPLUS

CN 2(1H)-Pyridinone, 6-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Himidazo[4,5-c]pyridin-5-yl]methyl]- (CA INDEX NAME)

- RN 930768-77-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(3-pyridazinylmethyl)- (CA INDEX NAME)

- RN 930768-78-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(5pyrimidinylmethyl)- (CA INDEX NAME)

- RN 930768-79-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2pyrazinylmethyl)- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{N}{\longrightarrow}} \operatorname{CH}_2 - N \stackrel{\text{N}}{\underset{N}{\longrightarrow}} \operatorname{N}$$

- RN 930768-80-2 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(4-

RN 930768-81-3 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(4-pyridinyl)- (CA INDEX NAME)

RN 930768-82-4 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(3-pyridinyl)- (CA INDEX NAME)

RN 930768-83-5 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]-1-(2-pyridinyl)- (CA INDEX NAME)

RN 930768-84-6 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(4-pyrimidinyl)- (CA INDEX NAME)

RN 930768-85-7 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]-1-(3-pyridazinyl)- (CA INDEX NAME)

RN 930768-86-8 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(2-pyrimidinyl)- (CA INDEX NAME)

RN 930768-87-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-(1,2-benzisoxazol-3-ylmethyl)-2-(3',4'dimethyl[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)

RN

CN 5H-Imidazo[4,5-c]pyridine, 5-(2-benzoxazolylmethyl)-2-(3',4'-dimethyl[1,1'-biphenyl]-4-vl)- (CA INDEX NAME)

- RN 930768-89-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-(1H-benzimidazo1-2-ylmethyl)-2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)

- RN 930768-90-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-benzimidazol-2-yl)methyl]- (CA INDEX NAME)

- RN 930768-91-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1Hindol-2-ylmethyl)- (CA INDEX NAME)

- RN 930768-92-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1methyl-1H-indol-2-yl)methyl]- (CA INDEX NAME)

RN 930768-93-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-indol-3-ylmethyl)- (CA INDEX NAME)

RN 930768-94-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-indol-3-yl)methyl]- (CA INDEX NAME)

RN 930769-01-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(3-pyridinylmethyl)-, (2Z)-2-butenedioate (1:2) (CA INDEX NAME)

CM :

CRN 930767-72-9

CMF C26 H22 N4

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{CH}_2 \\ \text{N} \\ \text{N} \end{array}$$

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-02-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(4-pyridinylmethyl)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM

CRN 930767-73-0 CMF C26 H22 N4

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-03-2 CAPLUS

CN 5H-Imidazo (4,5-c)pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2-pyridinylmethyl)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930767-74-1 CMF C26 H22 N4

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-04-3 CAPLUS CN 5H-Imidazo[4,5-c]pv:

5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-2-yl]methyl]-, (22)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930767-75-2 CMF C31 H27 N5 O2 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-05-4 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[[1[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]-, (2Z)-2-butenedioate
(1:1) (CA INDEX NAME)

CM 1

CRN 930767-76-3 CMF C31 H27 N5 O2 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-06-5 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(5-methyl-1,3,4-oxadiazol-2-yl)methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930767-77-4 CMF C24 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-07-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(2thiazolylmethyl)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM

CRN 930767-78-5 CMF C24 H20 N4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-08-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[2-(1H-imidazol-5-yl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \end{array} \\ \text{CH}_2 - \text{CH}_2 \\ \text{N} \\ \text{N} \\ \text{Me} \end{array} \\ \text{Me} \\ \text{Me$$

●2 HC1

- RN 930769-09-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-imidazol-5-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

- RN 930769-10-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(1-methyl-1H-imidazol-4-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 930769-11-2 CAPLUS
- CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(2-methyl-1H-imidazol-5-yl)-, hydrochloride (1:2) (CA INDEX NAME)

$$\stackrel{H}{\stackrel{O}{\longrightarrow}} C-CH_2-\stackrel{N}{\stackrel{N}{\longrightarrow}} N \stackrel{Me}{\stackrel{Me}{\longrightarrow}} Me$$

●2 HC1

- RN 930769-12-3 CAPLUS
- CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(1H-pyrazol-3-yl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 930769-13-4 CAPLUS
- CN Ethanone, 1-(2-amino-4-methyl-5-thiazolyl)-2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 930769-14-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[2-(1H-pyrazol-3-yl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 930769-15-6 CAPLUS
- CN Ethanone, 2-[2-(4'-methoxy[1,1'-bipheny1]-4-y1)-5H-imidazo[4,5-c]pyridin-5y1]-1-(1H-pyrazol-3-y1)- (CA INDEX NAME)

- RN 930769-16-7 CAPLUS
- CN Ethanone, 2-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5yl]-1-(1H-pyrazol-3-yl)- (CA INDEX NAME)

- RN 930769-22-5 CAPLUS
- CN 1,2,4-Triazolidin-3-one, 5-[{2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5Hinidazo[4,5-c]pyridin-5-yl]methyl]-, (22)-2-butenedioate (1:1) (CA INDEX NAME)
 - CM 1
 - CRN 930768-14-2
 - CMF C23 H22 N6 O

- CM 2
- CRN 110-16-7
- CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-23-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-1,2,4-triazol-5-ylmethyl)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930768-16-4

CMF C23 H20 N6

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-24-7 CAPLUS

CN 1,3,4-Oxadiazol-2(3H)-one, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\underset{O}{\text{HIN}} \overset{N}{\underset{O}{\text{CH}}_{2}} \overset{N}{\underset{N}{\text{Me}}} \overset{\text{Me}}{\underset{Me}{\text{Me}}}$$

● 2 HCl

RN 930769-25-8 CAPLUS

CN 1,3,4-Oxadiazol-2-amine, 5-[[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl]-5H-imidazo[4,5-c]pyridin-5-yl]methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930768-20-0 CMF C23 H20 N6 O

CM

CM 2 CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 930769-26-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-[(2-methyl-1H-imidazol-5-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 930769-27-0 CAPLUS CN 5H-Imidazo[4,5-c]pv:

5H-Imidazo[4,5-c]pyridine, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5-(1H-pyrazol-4-ylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 930769-28-1 CAPLUS

CM 1

CRN 930768-31-3 CMF C25 H23 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CN

RN 930769-29-2 CAPLUS

5H-Imidazo[4,5-c]pyridine-5-ethanol, 2-(3',4'-dimethyl[1,1'-biphenyl]-4-y1)- α -2-pyrazinyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 930768-32-4 CMF C26 H23 N5 O

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

- IT 930768-26-6P, 2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5c]pyridin-5-yl]-1-[2-methyl-1-(4-tolylsulfonyl)-1H-imidazol-4-yl]ethanone
 930768-27-7P 930768-33-5P,
 2-[2-(3',4'-Dimethylbiphenyl-4-yl)imidazo[4,5-c]pyridin-5-yl]-1-(pyrazin-2
 - y)ethanone RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl substituted imidazo[4,5-c]pyridine derivs. as C3A receptor antagonists)

- RN 930768-26-6 CAPLUS

- RN 930768-27-7 CAPLUS
- CN Ethanone, 2-[4-[2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5c]pyridin-5-yl]acetyl]-2-methyl-1H-imidazo[-1-yl]-1-(2-methyl-1-[(4methylphenyl)sulfonyl]-1H-imidazo[-4-yl)- (CA INDEX NAME)

PAGE 2-A

RN 930768-33-5 CAPLUS

CN Ethanone, 2-[2-(3',4'-dimethyl[1,1'-biphenyl]-4-yl)-5H-imidazo[4,5-c]pyridin-5-yl]-1-(2-pyrazinyl)- (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2007:129784 CAPLUS

DOCUMENT NUMBER: 146:379763

TITLE: Novel carbazole derivatives as NPY Y1 antagonists

AUTHOR(S): Leslie, Colin P.; Di Fabio, Romano; Bonetti,

Francesca; Borriello, Manuela; Braggio, Simone; Dal Forno, Giovanna; Donati, Daniele; Falchi, Alessandro; Ghirlanda, Damiano; Giovannini, Riccardo; Pavone, Francesca; Pecunioso, Angelo; Pentassuglia, Giorgio;

Pizzi, Domenica A.; Rumboldt, Giovanna; Stasi, Luigi CORPORATE SOURCE: GlaxoSmithKline Medicines Research Centre, Verona, 37135, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),

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GI

AB The synthesis of a series of carbazole derivs., e.g., I, and their SAR at the NPY YI receptor is described. Modulation of physicochem. properties by appropriate decoration led to the identification of a high-affinity NPY YI antagonist that shows high brain penetration and modest oral bioavailability.

Т

T 931414-43-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(calculated pKa value; preparation, NPYY1 receptor antagonistic activity, and

SAR of chlorophenoxycarbazole derivs.)

RN 931414-43-6 CAPLUS

CN Methanone, [1-(4-chlorophenoxy)-9-[[(3R,4S)-3-fluoro-4-piperidinyl]methyl]-9R-carbazol-3-yl](2,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-, rel-(CA INDEX NAME)

Relative stereochemistry.

16

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:117372 CAPLUS

DOCUMENT NUMBER: 146:202022

TITLE: Drug-resistant mutation in nonstructural proteins of

hepatitis C virus

INVENTOR(S): Boddeker, Nina; Neyts, Johan; Shih, I-Hung; Vliegen,

Inge; Zhong, Weidong

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA; K.U. Leuven Research &

Development; Puerstinger, Gerhard

SOURCE: PCT Int. Appl., 27pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | TENT | KIND DATE | | | | | APPL | | | DATE | | | | | | | |
|----|--------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|--|---------------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|
| WO | WO 2007014174 WO 2007014174 | | | | | A2 20070201 A3 20070913 | | | | WO 2 | 006- | | 20060724 | | | | |
| | W: | CN, GE, KR, MW, SC, | CO, GH, KZ, MX, SD, | CR, GM, LA, MZ, SE, | CU, HN, LC, NA, SG, | CZ, HR, LK, NG, SK, | AU, DE, HU, LR, NI, SL, | DK, ID, LS, NO, SM, | DM, IL, LT, NZ, | DZ, IN, LU, OM, | EC, IS, LV, PG, | EE, JP, LY, PH, | EG, KE, MA, PL, | ES, KG, MD, PT, | FI, KM, MG, RO, | GB, KN, MK, RS, | GD, KP, MN, RU, |
| | RW: | AT, IS, CF, GM, | BE, IT, CG, KE, | BG, LT, CI, LS, | CH, LU, CM, MW, | CY, LV, GA, MZ, | ZM, CZ, MC, GN, NA, TM, | DE, NL, GQ, SD, | PL, GW, SL, | PT, ML, SZ, | RO, MR, TZ, | SE, NE, | SI, SN, | SK, TD, | TR, TG, | BF, | BJ, GH, |

US 20070128625 A1 20070607 US 2006-491756 PRIORITY APPLN. INFO.: US 2005-702534P

ORITY APELN. INFO.:

Provided are hepatitis C virus mutations in nonstructural proteins, which are associated with drug resistance, especially imidazopyridine compds. The mutations are (1) 0581E, A391V, M592L, and C432S within NS3 region, (2) V24A within NS4A region, (3) L4P, Q93R, and L78T within NS4B region, (4) M416T, E441G, and V362A within NS5A region, and (5) C316Y, C445F, Y448H, and Y452H which NS5N region. The mutations V24A, E441G, C316Y, C445F, Y448H, and Y452H were found to be sufficient resistance when introduced into wildtype replicions. The combination of two mutations was found to be resistance at a higher drug level than either single mutation. In addition, the present invention provides methods for screening for therapeutic

20060724

compds. capable of inhibiting HCV as well as methods for inhibiting HCV, e.g., by targeting specific binding sites associated with HCV drug resistance.

IT 858935-18-9 858935-19-0 858935-21-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (resistant to; drug-resistant mutation in nonstructural proteins of hepatitis C virus)

RN 858935-18-9 CAPLUS CN 5H-Imidazo[4,5-c]pv:

5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N-O} \end{array} \text{CH}_2 - \begin{array}{c} \text{N} \\ \text{N} \end{array} \text{F}$$

RN 858935-19-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{CF3} & & & \\ \mathsf{F3C} & & \mathsf{N-O} & \mathsf{CH2-N} & \\ \end{array}$$

RN 858935-21-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluoropheny1)-5-[[3-[4-fluoro-2-(trifluoromethy1)pheny1]-5-isoxazoly1]methy1]- (CA INDEX NAME)

L3 ANSWER 22 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1356990 CAPLUS

DOCUMENT NUMBER: 146:100696

TITLE: Preparation of 1-[(2-amino-3-(substituted

DATE

alkv1)-3H-benzimidazolv1)methv11-3-substituted-1,3-

dihydro-benzimidazol-2-ones with activity on

APPLICATION NO.

JP 2008-517487

IN 2007-DN9456

CN 2006-80021972

EP 2005-76438

MX 2007-16537

DATE

20060620

20071207

20071218

20071219

A 20050620

respiratory syncytial virus (RSV)

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin, Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd. Ire.

KIND

PCT Int. Appl., 52pp.

BA, HR, MK, YU JP 2008546738 T

IN 2007DN09456

PRIORITY APPLN. INFO.:

GT

MX 200716537 CN 101203517

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO.

____ WO 2006136561 A1 20061228 WO 2006-EP63365 20060620 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2006260969 A1 20061228 AU 2006-260969 20060620 CA 2612263 20061228 CA 2006-2612263 A1 20060620 EP 1896473 A1 20080312 EP 2006-763808 20060620 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,

WO 2006-EP63365 W 20060620 OTHER SOURCE(S): CASREACT 146:100696; MARPAT 146:100696

A

A

A

20081225

20080620 20080306

20080618

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. I [R = II or III; O = H or alkyl optionally substituted with a heterocycle or Q = alkyl substituted with both a radical -OR4 and a heterocycle; Alk = alkanediyl; X = O or S; a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH or CH:CHCH:N; R1 = Ar or a heterocycle; R2 = H, (un) substituted alkyl, cycloalkyl; R3 = H, alkyl, cyano, aminocarbonyl, polyhaloalkyl, alkenyl or alkynyl; R4 = H or alkyl; Ar = (un)substituted Ph; and their salts], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of IV, starting from V, was given. Exemplified compds. I were screened in vitro for their activity against respiratory syncytial virus (pEC50 values were provided). Pharmaceutical

compns. containing compds. I and processes for preparing compds. I were disclosed.

- IT 918151-93-6P 918151-94-7P 918151-95-8P
- 918151-96-9P 918151-97-0P 918151-99-2P
 - 918152-00-8P 918152-01-9P 918152-02-0P 918152-05-3P 918152-06-4P 918152-07-5P
 - 918152-08-6P 918152-09-7P 918152-10-0P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 - (Uses) (preparation of 1-[(2-amino-3-(substituted

alky1)-3H-benzimidazoly1)methy1]-3-substituted-1,3-dihydro-benzimidazol2-ones with activity on respiratory syncytial virus)

- RN 918151-93-6 CAPLUS
- CN lH-Imidazo[4,5-c]pyridinium, 5-[[2-amino-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-lH-benzimidazol-6-yl]methyl]-1-cyclopropyl-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

- RN 918151-94-7 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

- RN 918151-95-8 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yllmethyl]-2-oxo-, inner salt (CA INDEX NAME)

- RN 918151-96-9 CAPLUS
- CN 2H-Imidazo[4,5-e]pyridinium, 1,3-dihydro-1-(2-hydroxyethyl)-5-[[1-[(3-hydroxyethyl)-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazo[-6-y]methyl]-2-oxo-, inner salt (CA INDEX NAME)

- RN 918151-97-0 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazo1-6-yl]methyl]-2-oxo-1-[2-(phenylmethoxy)ethyl]-, inner salt (CA INDEX NAME)

PAGE 1-A

- CH2-Ph

RN 918151-99-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[2-amino-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazo1-6-yl]methyl]-1-[2-(4-fluorophenyl)ethyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

RN 918152-00-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(3-phenylpropyl)-, inner salt (CA INDEX NAME)

RN 918152-01-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-([3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]naino]-1H-benzimidazo1-6-yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} N & \text{CH}_2 \\ \text{O} \\ N & \text{CH}_2 \\ \text{HO} \\ N \\ \text{Me} \end{array}$$

● HCl

RN 918152-02-0 CAPLUS

CN H-Imidazo[4,5-c]pyridinium, 5-[12-amino-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-H-benzimidazo[-6-yl]methyl]-2,3-dihydro-2-oxo-1-propyl-inner salt (CA INDEX NAME)

RN 918152-05-3 CAPLUS

CN 1H-Imidazo[4,5-e]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-(4-morpholinyl)tehyl]amino]-1H-benzimidazol-6-yl]methyl]-2-xox-, inner salt (CA INDEX NAME)

$$\begin{array}{c} \text{N} & \text{CH}_2\text{-CH}_2\text{-NH} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \\ \text{N} \\ \text{Me} \end{array}$$

RN 918152-06-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[1-[(3-hydroxy-2-pyridiny1)methy1]-2-[12-(4-morpholiny1)pthy1]amino]-1H-benzimidazo1-6-y1]methy1]-2-oxo-, inner salt (CA INDEX NAME)

- RN 918152-07-5 CAPLUS
- CN lH-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[]-[[3-hydroxy-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-lH-benzimidazol-6yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt (CA INDEX NAME)

- RN 918152-08-6 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 1-[2-(4-fluorophenyl)ethyl]-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

PAGE 1-A

RN 918152-09-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[2-amino-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-6-yl]methyl]-2,3-dihydro-2-oxo-1-(3-phenylpropyl)-, inner salt (OA INDEX NAME)

RN 918152-10-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

IT 918152-13-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 918152-13-3 CAPLUS

CN 2H-Imidazo[4,5-c]pyridinium, 5-[[2-amino-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-6-yl]methyl]-1-cyclopropyl-1,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1285816 CAPLUS

146:45547 DOCUMENT NUMBER:

TITLE: Preparation of aryl bicyclo and spiro compounds as

therapeutic modulators of CCR-5 activity

INVENTOR(S): Boman, Erik; Dahl, Russell; Delaet, Nancy G. J.; Ernst, Justin; Lum, Christopher; Sebo, Lubomir; Urban,

Jan

PATENT ASSIGNEE(S): Kemia, Inc., USA

PCT Int. Appl., 235pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | ENT 1 | | | | KIN | D | DATE | | | APPL | ICAT | | DATE | | | | |
|------|----------------|------|------|-----|----------------------------|-----|------|-----|-----|------|------|------|----------|-----|-----|------|-----|
| WO : | 2006 | 1304 | 26 | | A2 20061207 A3 20070621 | | | | | WO 2 | 006- | | 20060525 | | | | |
| WO : | 2006 | 1304 | 26 | | | | | | | | | | | | | | |
| | W: AE, AG, AL, | | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, |
| | | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, |
| | | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
| | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, |
| | | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA, | EP, | OA | | | | | | |
| RITY | APP: | LN. | INFO | . : | | | | | 1 | US 2 | 005- | 6851 | 47P | 1 | P 2 | 0050 | 527 |
| | | | | | | | | | 1 | US 2 | 006- | 7850 | 90P | 1 | P 2 | 0060 | 322 |

OTHER SOURCE(S): MARPAT 146:45547 GI

AB The present invention relates to low mol. weight compds., including compds. of Formulas I and II, and pharmaceutical compns. thereof, useful as modulators of CCR-5 activity (no biol. activity given). For I and II, A = a substituted spiro, bicyclo, or piperazinyl ring; R1 = (un)substituted alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclyl or heterocyclylalkyl group; R2= halo or (un)substituted C1-C4 alkyl; R3, R3a, R4, R4a, R7, and R7a = H, halo, or (un)substituted C1-6 alkyl or C1-4 alkoxy group; and n = 0-5. The invention further relates to the use of such compds. and compns. in treating disorders mediated by CCR-5 such as viral infections and inflammatory diseases. Preparation methods for I and II are disclosed. For example, III is prepared by reacting 8-boc-3, 8-diaza-3-(4-methylpyrid-3-yl)bicyclo[3,2,1]octane (preparation given) and (S)-tert-Bu 3-oxo-1-phenylpropylcarbamate to give an amine intermediate which is subsequently reacted with cyclopentyl carbonyl chloride.

IT 916458-03-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl bicyclo and spiro compds. as therapeutic modulators of CCR-5 activity)

RN 916458-03-2 CAPLUS

CN Urea, N'-(4-methylphenyl)-N-phenyl-N-[3-[(3-endo)-3-[4,5,6,7-tetrahydro-2-methyl-5-(1-pyrrolidinylcarbonyl)-1H-imidazo[4,5-c]pyridin-1-yl]-8-azabicvclo[3.2.1]oct-8-vl]propvl]- (CA INDEX NAME)

Relative stereochemistry.

T. 3 ANSWER 24 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1171607 CAPLUS

DOCUMENT NUMBER: 147:157340

TITLE: OSAR modeling of AT1 receptor antagonists using ANN

AUTHOR(S): Su. Oing: Zhou, Lu

CORPORATE SOURCE: Department of Pharmaceutical Engineering, College of Chemical Engineering, Sichuan University, Chengdu,

Sichuan, 610065, Peop. Rep. China

Journal of Molecular Modeling (2006), 12(6), 869-875 SOURCE:

CODEN: JMMOFK; ISSN: 0948-5023

URL: http://www.springerlink.com/content/yjp5446686056

147/fulltext.pdf

PUBLISHER: Springer GmbH

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

Multiple linear regression (MLR) and artificial neural networks (ANN) have been used for structure-activity relationship anal. for a set of 113 AT1 receptor antagonists. The ANN model showed better performance with a 6-6-1 architecture than MLR. The results obtained from this study indicate that three descriptors, hydration energy (EH), n-octanol/water partition (LOGP), and energy of the LUMO (LUMO), play an important role on the activity of AT1 receptor antagonists with biphenvltetrazole structures. This information is pertinent to the further design of new

AT1 receptor antagonists. 156222-13-8 156222-17-2

RL: BSU (Biological study, unclassified); CST (Combinatorial study, unclassified); PRP (Properties); BIOL (Biological study); CMBI

(Combinatorial study)

(OSAR modeling of AT1 receptor antagonists using ANN)

RN 156222-13-8 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-2-(1pyrrolidiny1)ethy1]-3-[[2'-(2H-tetrazol-5-y1)[1,1'-bipheny1]-4-y1]methy1]-(CA INDEX NAME)

156222-17-2 CAPLUS RN

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-oxo-2-(1piperidinvl)ethvl]-3-[[2'-(2H-tetrazol-5-vl)[1,1'-biphenvl]-4-vl]methvl]-(CA INDEX NAME)

REFERENCE COUNT: 23

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 25 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1149466 CAPLUS

DOCUMENT NUMBER: 145:410660

TITLE: imidazol derivatives for treatment of inflammatory and

allergic disease INVENTOR(S): Prous Blancafort, Josep

PATENT ASSIGNEE(S): Prous Science, S.A., Spain

SOURCE: Span., 12 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent

Spanish LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

| PATENT | INFO | RMATI | ON: |
|--------|------|-------|-----|

| | ENT | | | | KIND DATE | | | | | | ICAT | | DATE | | | | | | |
|-------|--------------|------|------|-----|--------------|-----|-------------------------------------|-----|-----|-----|------|-----|------------|-----|----------|-----|-----|--|--|
| ES | 2246 | 742 | | | A1 20060216 | | | | | | 005- | | 20050906 | | | | | | |
| WO | 2246 2007 | 0285 | 24 | | B1 A2 | | 20070201 20070315 WO 2006-EP8427 | | | | | | | | 20060829 | | | | |
| WO | 2007 W: | AE, | AG, | | | AT, | 2007 AU, | AZ, | | | | | | | | | | | |
| | | | | | | | DE, HU, | | | | | | | | | | | | |
| | | | | | | | LR, | | | | | | | | | | | | |
| | | | | | | | SK, VN, | | | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | | | | | | | | | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | | |
| | | KG, | KZ, | MD, | | | NA, TM, | | EA, | EP, | OA | | | | | · | | | |
| ORITY | APP | LN. | TNEO | . : | ES 2005-2163 | | | | | | | | A 20050906 | | | | | | |

PRIO

MARPAT 145:410660

OTHER SOURCE(S):

The invention discloses the use of imidazole derivs. as leukotriene

inhibitors for the treatment of inflammatory and allergic diseases. Compds. of the invention inhibited the association of leukotriene D4 with its receptor.

912451-72-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(imidazol derivs. for treatment of inflammatory and allergic disease)

RN 912451-72-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 3,5-dihydro-5-(2-thienylmethyl)- (CA INDEX NAME)

L3 ANSWER 26 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1031450 CAPLUS

DOCUMENT NUMBER: 145:397273

TITLE: Preparation of 3-propenvlcephem derivatives as

antibacterial agents

INVENTOR(S): Ishikura, Koji; Yamawaki, Kenji; Yokoo, Katsuki;

Yonezawa, Shuji; Kii, Makoto PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 419pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | | | | KIND DATE | | | | | APPL | ICAT | | | | | | |
|--------------|----------------|------|-----|-------------|-----|------|------|----------------|------|------|-------|------|----------|------|------|-----|
| | | | | A1 20061005 | | | | | | | | | | | | |
| W: | W: AE, AG, AL, | | | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, |
| | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, |
| | MZ, NA, NG, | | | | | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
| | SG, SK, SL, | | | | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, |
| | VN, YU, ZA, | | | ZM, | zw | | | | | | | | | | | |
| RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| JP 3928 | 086 | | | B2 | | 2007 | 0613 | JP 2006-523884 | | | | | 20060328 | | | |
| JP 2007 | 1194 | 84 | | A | | 2007 | 0517 | | JP 2 | 006- | 3340: | 23 | | 2 | 0061 | 212 |
| PRIORITY APP | LN. | INFO | . : | | | | | | JP 2 | 005- | 9396 | 2 | | A 2 | 0050 | 329 |
| | | | | | | | | | JP 2 | 005- | 2265 | 77 | | A 2 | 0050 | 804 |
| | | | | | | | | | JP 2 | 006- | 5238 | 84 | | A3 2 | 0060 | 328 |
| | | | | | | | | | WO 2 | 006- | JP30 | 6280 | | W 2 | 0060 | 328 |

OTHER SOURCE(S):

MARPAT 145:397273

AB The title compds. I [Acyl represents an acyl group which can be used in the field of B-lactams; T represents S, SO or O; ring Q represents a heterocyclic group which may be substituted by a substituent other than "-Y1-Arl-Y2-RI" and has a cationic N atom in the ring; Y1 and Y2 independently represent (1) a single bond, (2) a heteroatom-containing group selected from the group consisting of NR2, CO, NR2CO, CONR2, NR2CONR3, NR2SO2, SOZNR2, NR2SO2NR3 (where R2 and R3 independently represent a hydrogen or a lower alkyln, O, S, etc., or (3) a lower alkylene or lower alkenylene group which may be bound through a heteroatom-containing group as listed in the item (2); Arl represents a single bond, a carbocyclic group which may be substituted;

R1 represents CONHCN, C(OH)=NCN or COOH or a biol. equivalent acidic group thereof; and the wavy line means a cis, trans or a mixture thereof] are prepared Compds. of this invention showed MIC values of 0.25 μ g/mL to 0.5 μ g/mL against S. aureus SMITH.

911464-03-4P 911464-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-propenylcephem derivs. as antibacterial agents)

RN 911464-03-4 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[(2E)-3-[(6R,7R)-7-[[2-(2-amino-4-thiazoly1)-2-(ethoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-2-propen-1-yl]-2-[(cyanoamino)carbonyl]-, inner salt, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

Na

RN 911464-04-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[(2E)-3-[(6R,7R)-7-[[2-(2-amino-4-thiazolyl)-2-(ethoxyimino)acety]]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-2-propen-1-yl]-2-[(cyanoamino)carbonyl]-1-methyl-, inner salt, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

• Na

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:934960 CAPLUS

DOCUMENT NUMBER: 145:489175

TITLE: Substituted 5-benzyl-2-phenyl-5H-imidazo[4,5-c]pyridines: A new class of pestivirus inhibitors

AUTHOR(S): Puerstinger, Gerhard; Paeshuyse, Jan; Herdewijn, Piet; Rozenski, Jef; De Clercq, Erik; Neyts, Johan

CORPORATE SOURCE: Institut fuer Pharmazie, Abtellung Pharmazeutische

Chemie, Universitaet Innsbruck, Innsbruck, A-6020, Austria

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(20), 5345-5349

CODEN: BMCLE8; ISSN: 0960-894X
UBLISHER: Elsevier Ltd.

PUBLISHER: Elsevie: DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:489175

GT

AR

RN

A class of inhibitors of pestiviruses, 5-substituted

2-phenyl-5H-imidazo[4,5-c]pyridines, e.g., I, is described. Modification of the substituent in position 5 resulted in analogs with high activity (EC50 < 100 nW) and selectivity (SI > 1000) against the pestivirus BVDV (bovine viral diarrhea virus).

IT 645420-73-1P 645420-76-4P 645420-79-7P

645420-80-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, antipestiviral activity, and structure-activity relationship of imidazopyridines)

645420-73-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[(5-chloro-2-thienyl)methyl]-2-phenyl- (CA INDEX NAME)

RN 645420-76-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(4-pyridinylmethyl)- (CA INDEX NAME)

RN 645420-79-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 645420-80-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(3-pyridinylmethyl)- (CA INDEX NAME)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:630269 CAPLUS

DOCUMENT NUMBER: 145:83341

TITLE: Preparation of the antiviral compound

5-[[3-(2,4-bis(trifluoromethy1)pheny1)isoxazol-5y1]methy1]-2-(2-fluoropheny1)-5H-imidazo[4,5-

c]pyridine and its use in the treatment of HCV viral infections

INVENTOR(S): Bondy, Steven S.; Oare, David A.; Tse, Winston C.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | | | | KIND DATE | | | | | | | | DATE | | | | | |
|----------|------------------------|---|---|---|---|--|--|--|---------------------------------|---------------------------------|---|---|---------------------------------|---|---|---|---|--|
| WC | 2006 | 0691 | 93 | | | | | 0629 | | | | | | 20051221 | | | | |
| WC | W: | AE, CN, GE, KZ, MZ, SG, VN, AT, IS, | AG, CO, GH, LC, NA, SK, YU, BE, IT, | AL, CR, GM, LK, NG, SL, ZA, BG, LT, | AM, CU, HR, LR, NI, SM, ZM, CH, LU, | AT, CZ, HU, LS, NO, SY, ZW CY, LV, | AU, DE, ID, LT, NZ, TJ, CZ, MC, | AZ, DK, IL, LU, OM, TM, | DM, IN, LV, PG, TN, | DZ, IS, LY, PH, TR, | EC, JP, MA, PL, TT, ES, RO, | EE, KE, MD, PT, TZ, FI, SE, | EG, KG, MG, RO, UA, | ES, KM, MK, RU, UG, GB, SK, | FI, KN, MN, SC, US, GR, TR, | GB, KP, MW, SD, UZ, HU, BF, | GD, KR, MX, SE, VC, IE, BJ, | |
| ΔΙ | 1 2005 | GM, KG, | KE, | LS, MD, | MW, RU, | MZ, TJ, | | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| C# US | A 2592 3 2006 | 388 0252 | 791 | | A1 20060629 A1 20060629 A1 20061109 A2 20071010 | | | | 1 | CA 2 | 005-: 005-: | 2592 3160 | 20051221 20051221 | | | | | |
| | | IS, | IT, | LI, | LT, | LU, | CZ, LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| | 2008 2008 TY APP | 0188 | 516 | | A1 | | 2008 | | 1 | US 2 US 2 US 2 | 008-: 004-: 005-: | 2255 6382 3160 | 7 15P 50 | 1 | | 0080 0041 0051 | 130 221 221 | |

- AB 5-[3-(2,4-Bis(trifluoromethyl)phenyl)isoxazol-5-yl]methyl]-2-(2-fluorophenyl)-5H-imidazo[4,5-c]pyridine is prepared and claimed for use in the treatment or prophylaxis of HCV viral infections.
- IT 858935-19-0P, 5-[[3-(2,4-Bis(Trifluoromethyl)phenyl)isoxazol-5y1]methyl]-2-(2-fluorophenyl)-5H-imidazo[4,5-c]pyridine

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of the antiviral compound

5-[[3-(2,4-bis(trifluoromethyl)phenyl)isoxazol-5-yl]methyl]-2-(2-

fluorophenyl)-5H-imidazo[4,5-c]pyridine and its use in the treatment of HCV viral infections)

RN 858935-19-0 CAPLUS

F

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{CF3} & & & \\ & \operatorname{CH_2-N} & & \\ & \operatorname{F_{3}C} & & & \\ \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CIT

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:381167 CAPLUS

DOCUMENT NUMBER: 144:432827

TITLE: Preparation of fused pyrimidine derivatives as insulin

secretion accelerators

INVENTOR(S): Yonetoku, Yasuhiro; Negoro, Kenji; Onda, Kenichi; Hayakawa, Masahiko; Sasuga, Daisuke; Nigawara, Takahiro; Tikubo, Kazuhiko; Moritomo, Hirovuki;

Yoshida, Shigeru; Ohishi, Takahide

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

OTHER SOURCE(S):

GI

| 1 | PAI | ENT I | . OV | | | | ND DATE APPLICATION NO. | | | | | | DATE | | | | | | |
|--------|----------------|-------|------|------|-----|-------------|-------------------------|----------|------|-------|----------------|-------|----------|-----|----------|-----|------|-----|--|
| 1 | MO. | 2006 | 0434 | 90 | | A1 20060427 | | | | | | | 20051017 | | | | | | |
| | W: AE, AG, AL, | | | AM, | ΑT, | AU, | ΑZ, | BA, | BB | , BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | | | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ | , EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS | , JP, | KE, | KG, | KM, | KP, | KR, | ΚZ, | |
| | | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA | , MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | |
| | NA, NG, NI, | | | | NO, | NZ, | OM, | PG, | PH, | PL | , PT, | RO, | RU, | SC, | SD, | SE, | SG, | | |
| | | | SK, | SL, | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT | , TZ, | UA, | UG, | US, | UZ, | VC, | VN, | |
| | | | YU, | ZA, | ZM, | zw | | | | | | | | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE | , ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT | , RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | | | | | | | | | | | | , MR, | | | | | | | |
| | | | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ | , TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |
| | | | | | | RU, | | | | | | | | | | | | | |
| | | | | | | | | | | | | 2005- | | | | | | | |
| | | | | | | | | | | | | 2005- | | | | | | | |
| 1 | EΡ | 1803 | 710 | | | A1 | | 2007 | 0704 | | EP : | 2005- | 7933 | 61 | 20051017 | | | | |
| | | R: | | | | | | | | | | , ES, | | | | | | ΙE, | |
| | | | | | | | | | | | | , PT, | | | | | | | |
| | CN 101044123 | | | | | | | | | | | | | | 20051017 | | | | |
| | BR 2005016237 | | | | | | | | | | | | | | | | | | |
| | IN 2007CN01589 | | | | | | | | | | | | | | | | | | |
| | | 2007 | | | | Α | | | | | | 2007- | | | | | | | |
| | | | | | | | | | | | | | | | 20070420 | | | | |
| | | | | | | | | | | | KR 2007-710353 | | | | | | | | |
| | | | | | | A | | 20070718 | | | NO 2007-2542 | | | | | | | | |
| PRIOR: | ITY | APP: | LN. | INFO | . : | | | | | | | 2004- | | | | | | | |
| | | | | | | | | | | | WO : | 2005- | JP19 | 000 | 1 | W 2 | 0051 | 017 | |

MARPAT 144:432827

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = Q1, etc.; R1 = (un)substituted cyclopropyl, (un)substituted cyclopentyl, etc.; R2 = -NR21R22, (un)substituted cyclopentyl, etc.; R2 = etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 4-chloro-2-(4-chloro-2,5-difluorophenyl)-5,7-dihydrothieno[3,4-d]pyrimidine 6,6-dioxide, e.g., prepared from 4-chloro-2,5-difluorobenzonitile in 5 steps, with (R)-3-methylpiperidine (R)-mandelic acid salt followed by treatment

with HCl afforded compound II hydrochloride. In insulin secretion accelerating assays, compound II hydrochloride exhibited the activity of 355%. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

IT 885036-51-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrimidine derivs. as insulin secretion accelerators for treatment of diabetes, obesity, etc.)

RN 885036-51-1 CAPLUS

CN Thieno [3,4-d]pyrimidine, 2-(4-chloro-2,5-difluorophenyl)-5,7-dihydro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-, 6,6-dioxide, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 30 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:328559 CAPLUS

DOCUMENT NUMBER: 145:347777

OSAR studies of angiotensin II AT1 receptor TITLE:

antagonists

Xu, Jinyi; Ji, Nianning; Hua, Weiyi; Wu, Xiaoming AUTHOR(S):

Department of Medicinal Chemistry, China CORPORATE SOURCE:

Pharmaceutical University, Nanjing, 210009, Peop. Rep.

China

SOURCE: Zhongguo Yaoke Daxue Xuebao (2005), 36(2), 99-105

CODEN: ZHYXE9; ISSN: 1000-5048

PUBLISHER: Zhongquo Yaoke Daxue

DOCUMENT TYPE: Journal Chinese

LANGUAGE:

AB The novel nonpeptide angiotensin II AT1 receptor antagonists with high potency were screened. First, the energy minimized conformations of 42 angiotensin II AT1 receptor antagonists selected were studied. Second, the anal. of regression between the structural parameters of selected compds. based on MM2 program calcn. or structural parameters of selected compds. based on CNDO/2 program calcn. and their AT1 receptor antagonistic activity was conducted. The OSAR information was obtained based on the calculated structural parameters and pA2 value of AII-induced contractions in the rabbit thoracic aortic rings of selected compds. The obtained OSAR parameters may be useful for future designing of novel AT1 receptor antagonists.

910239-56-4, EMD 90423 TT

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (QSAR studies of angiotensin II AT1 receptor antagonists)

RN 910239-56-4 CAPLUS

CN Carbamic acid, [[4'-[[2-buty1-4,5-dihydro-4-oxo-5-[2-oxo-2-(1piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'biphenyl]-2-yl]sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 31 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

2005:1130640 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:387050

TITLE: Preparation of aminoimidazo[4,5-d]pyridazinones and

aminoimidazo[4,5-c]pyridinones as inhibitors of

dipeptidylpeptidase IV

Eckhardt, Matthias; Himmelsbach, Frank; Langkopf, INVENTOR(S):

Elke; Hauel, Norbert; Tadayyon, Mohammad; Thomas, Leo Boehringer Ingelheim International G.m.b.H., Germany; PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | | | | APPLICATION NO. | | | | | | | | | | |
|----|----------------------|------|------|-------------|-----|----------------|----------------------|-----------------------------------|----------------|----------------------|------|------|----------|----------|----------|------|-----|----|
| | | | | | | WO 2005-EP3474 | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GO, | GW, | ML, | |
| | | MR. | NE. | SN. | TD, | TG | | | | | | | | | | | | |
| DE | 1020 | 0401 | 7739 | | A1 | A1 20051027 | | | | DE 2004-102004017739 | | | | | 20040410 | | | |
| DE | 1020 | 0402 | | A1 20051222 | | | DE 2004-102004025552 | | | | | 5552 | 20040525 | | | | | |
| CA | 2561 | | A1 | A1 20051020 | | | | CA 2005-2561210 EP 2005-716507 | | | | | | 20050402 | | | | |
| EP | 1740 | 589 | | | A1 | A1 20070110 | | | EP 2005-716507 | | | | | | 20050402 | | | |
| | | | | | | | CZ, | | | | | | | | | | | |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | | HR, | LV, | MK, | YU | | | | | | | | | | | | | |
| JP | JP 2007531780 | | | | T | | 2007 | 1108 | | JP 2 | 007- | 5067 | 03 | | 2 | 0050 | 402 | |
| US | US 20050234235 | | | | A1 | | 2005 | 1020 | | US 2 | 005- | 1020 | 48 | | 2 | 0050 | 408 | |
| US | 7179 | 809 | | | B2 | | 2007 | 0220 | | | | | | | | | | |
| US | US 20070088038 | | | | A1 | | 2007 | 0419 | | US 2 | 006- | 6096 | 21 | | 2 | 0061 | 212 | |
| US | 7476 | 671 | | | B2 | | 2009 | 0113 | | | | | | | | | | |
| | IORITY APPLN. INFO.: | | | | | | | | | DE 2 | 004- | 1020 | 0401 | 7739. | A 2 | 0040 | 410 | |
| | | | | | | | | | | DE 2 | 004- | 1020 | 0402 | 5552 | A 2 | 0040 | 525 | |
| | | | | | | | | | | US 2 | 004- | 5681 | 37P | | P 2 | 0040 | 505 | |
| | | | | | | | | | | US 2 | 004- | 5822 | 65P | | P 2 | 0040 | 623 | |
| | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | US 2 | 005- | 1020 | 48 | | A3 2 | 0050 | 408 | |

OTHER SOURCE(S): MARPAT 143:387050

RN

AB Title compds. I [RI = arylmethyl, arylethyl, heteroarylmethyl, etc.; X = N or CR5; R5 = H or alkyl, R2 = H, aryl, heteroaryl, etc.; R3 = (un)substituted cycloalkenylmethyl, alkenyl, alkynyl, etc.; R4 = NR6R7; R6 = H, alkyl, cycloalkyl, etc.; R7 = (un)substituted alkyl-R8; R8 = amino or alkylamino) and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidylpeptidase IV (DPP-IV). Thus, e.g., II was prepared by amination of 2-bromo-3-(2-buten-1-yl)-5-[(4-methyl-chinazolin-2-yl)-methyl]-3,5-dihydro(4,5-d)pyridazin-4-one (preparation given) with N-methyl-ethylenediamine. The activity of I was evaluated using fluorescence inhibition assays and it was revealed that selected compds. of the invention possessed ICSO values in the range of 1 up to 336 nM. I as inhibitor of DPP-IV should prove useful in the treatment of diseases such as but not limited to diabetes, obesity and arthritis. Pharmaceutical commons. comprising I are disclosed.

IT 1082368-37-3 1082368-45-3 1082368-65-7

RL: PRPH (Prophetic)
(Preparation of aminoimidazo[4,5-d]pyridazinones and

aminoimidazo[4,5-c]pyridinones as inhibitors of dipeptidylpeptidase IV)
1082368-37-3 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-}\text{C} = \text{C-CH}_2 \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

RN 1082368-45-3 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-[(2-aminopropy1)methylamino]-3-(2-butyn-1-y1)-3,5-dihydro-5-[(4-methyl-2-quinazoliny1)methyl]- (CA INDEX NAME)

RN 1082368-65-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

IT 866933-13-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)

RN 866933-13-3 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

IT 866933-32-6P 866933-33-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)

RN 866933-32-6 CAPLUS

4H-Imidazo[4,5-c]pyridin-4-one, 2-bromo-3-(2-butyn-1-y1)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

RN 866933-33-7 CAPLUS
CN 4H-Inidazo[4,5-c]pyridin-4-one, 3-(2-butyn-1-y1)-2-chloro-3,5-dihydro-5[(3-methyl-1-isoquinoliny1)methyl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:612284 CAPLUS

DOCUMENT NUMBER: 143:133371

TITLE: Preparation of imidazo[4,5-c]pyridine derivatives as

antiviral agents

INVENTOR(S): Puerstinger, Gerhard; Bondy, Steven S.; Dowdy, Eric

Davis; Kim, Choung U.; Oare, David A.; Neyts, Johan; Zia, Vahid

PATENT ASSIGNEE(S): K. U. Leuven Research & Development, Belg.; Gilead

Sciences, Inc.
SOURCE: PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUN PATENT INFORMATION:

| PA | PATENT NO. | | | | | | KIND DATE | | | | ICAT | DATE | | | | | | |
|----------------------|--|--|--|--|--|--|---|--|--|--|--|---|--|--|--|--|---|--|
| WO | | | | | A2 | | 20050714 | | WO 2004-US43112 | | | | | | | | | |
| | W: | AE, CN, GE, LK, NO, TJ, BW, AZ, EE, RO, | AG, CO, GH, LR, NZ, TM, GH, BY, ES, SE, | AL, CR, GM, LS, OM, TN, GM, KG, FI, SI, | AM, CU, HR, LT, PG, TR, KE, KZ, FR, SK, | AT, CZ, HU, LU, PH, TT, LS, MD, GB, TR, | AU, DE, ID, LV, PL, TZ, MW, RU, GR, | AZ, DK, IL, MA, PT, UA, MZ, TJ, | DM, IN, MD, RO, UG, NA, TM, IE, | DZ, IS, MG, RU, US, SD, AT, IS, | BG, EC, JP, MK, SC, UZ, SL, BE, IT, CI, | EE, KE, MN, SD, VC, SZ, BG, LT, | EG, KG, MW, SE, VN, TZ, CH, LU, | ES, KP, MX, SG, YU, UG, CY, MC, | FI, KR, MZ, SK, ZA, ZM, CZ, NL, | GB, KZ, NA, SL, ZM, ZW, DE, PL, | GD, LC, NI, SY, ZW AM, DK, PT, | |
| CA US | 2549 2005 | | | | | CA 2 US 2 | 004- | 2549 1983 | 20041221 20041221 20041221 20041221 | | | | | | | | | |
| CN JP KR US | EP 1706403 R: AT, BE, CH, IE, SI, LT, CN 1902198 JF 2007518720 KR 2006132850 US 20070244148 RIORITY APPLN. INFO.: | | | | | DK, RO, | ES, CY, 2007 2007 | FR, TR, 0124 0712 1222 | GB, BG, | GR, CZ, CN 2 JP 2 KR 2 US 2 US 2 US 2 US 2 US 2 | IT, EE, 2004- | LI, HU, 8003: 5473: 7125: 5838: 5322: 5339: 5909: 5910: 5910: | LU, PL, 8144 05 54 14 92P 63P 89P 90P 24P 69P | NL, SK, | SE, IS 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 | MC, 0041: 0041: 0060: 0070 | PT, 221 221 622 604 222 102 726 726 726 726 | |

OTHER SOURCE(S): CASREACT 143:133371; MARPAT 143:133371

GI

- AB Title compds. I [dotted lines represent at least 3, optionally 4, double bonds; R1 = H, (un)substituted aryl, thioalkyl, etc.; Y = single bond, O, alkylene optionally containing 1-3 heteroatoms, etc.; R2 and R4 independently = H, alkyl, alkenyl, etc. with provisions; X = alkylene, alkenylene, alkynylene where each optionally may include one or more heteroatoms; R3 = (un) substituted aryl, aryloxy, arylthio, etc.; R5 = H, OH, CN, etc.; R6 and R7 are usually not present, but if they are then they are cyclopentyl or cyclohexyl] and their pharmaceutically acceptable salts, are prepared and disclosed as antiviral agents. Thus, e.g., II was prepared by coupling of 2-(2-fluorophenyl)-3H-imidazo[4,5-c]pyridine with 5-(chloromethyl)-3-(4-chlorophenyl)isoxazole. The activity of I was evaluated in an anti-HCV/Replicon assay system and it was revealed that substantially all of the compds. of the invention demonstrated activity of at least 1 μM . I as antiviral agent should prove useful in the treatment of hepatitis C virus (HCV). Pharmaceutical compns. comprising I are disclosed.
- IT 858935-21-4P
 RN: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of imidazo[4,5-c]pyridine derivs. as antiviral agents)
 RN 858935-21-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

IT 858935-18-9P 858935-19-0P 858935-20-3P 858935-29-2P 858935-30-5P 858935-31-6P 858935-38-3P 858935-43-9P 858935-41-8P 858935-42-9P 858935-43-0P 858935-43-0P

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858935-49-6P 858935-60-1P 858935-63-4P
858935-64-5P 858935-68-9P 858935-70-3P
858935-72-5P 858935-74-7P 858935-76-9P
858935-78-1P 858935-80-5P 858935-82-7P
858935-83-8P 858935-84-9P 858936-58-0P
858936-59-1P 858936-64-8P 858936-65-9P
858936-67-1P 858936-68-2P 858936-69-3P
858936-70-6P 858936-71-7P 858936-72-8P
858936-73-9P 858936-74-0P 858936-75-1P
858936-76-2P 858936-77-3P 858936-78-4P
858936-79-5P 858936-80-8P 858936-81-9P
858936-82-0P 858936-83-1P 858936-84-2P
858936-85-3P 858936-86-4P 858936-87-5P
858936-88-6P 858936-89-7P 858936-90-0P
858936-91-1P 858937-37-8P 858937-38-9P
858937-39-0P 858937-40-3P 858937-41-4P
858937-42-5P 858937-43-6P 858937-44-7P
858937-45-8P 858938-53-1P 858938-54-2P
858938-55-3P 858938-56-4P 858938-57-5P
858938-58-6P 858938-59-7P 858938-60-0P
858938-61-1P 858938-62-2P 858938-63-3P
858938-64-4P 858938-65-5P 858938-66-6P
858938-67-7P 858938-68-8P 858938-69-9P
858938-70-2P 858938-71-3P 858938-72-4P
858938-73-5P 858938-74-6P 858938-75-7P
858938-76-8P 858938-77-9P 858938-78-0P
858938-79-1P 858938-81-5P 858938-82-6P
858938-83-7P 858938-84-8P 858938-85-9P
858938-86-0P 858938-87-1P 858938-88-2P
858938-89-3P 858938-90-6P 858938-94-0P
858938-95-1P 858939-15-8P 858939-16-9P
858939-17-0P 858939-18-1P 858939-19-2P
858939-20-5P 858939-21-6P 858939-22-7P
858939-23-8P 858939-24-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of imidazo[4,5-c]pyridine derivs. as antiviral agents)
858935-18-9 CAPLUS
5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-
```

(2,3-difluorophenvl) - (CA INDEX NAME)

RN 858935-19-0 CAPLUS

RN

CN

SN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858935-20-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858935-29-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[1-methyl-3-(trifluoromethyl)-1H-thieno[2,3-c]pyrazol-5-yl]methyl]- (CA INDEX NAME)

RN 858935-30-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858935-31-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[(3-phenyl-5-isoxazolyl)methyl]- (CA INDEX NAME)

RN 858935-38-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluoropheny1)-5-[[5-(4-methoxypheny1)1,2,4-oxadiazo1-3-y1]methy1]- (CA INDEX NAME)

RN 858935-39-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]- (CA INDEX NAME)

RN 858935-40-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

RN 858935-41-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-1,2,4-oxadiazol-5yl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858935-42-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[4-(1,1-dimethylethyl)phenyl]-1,2,4oxadiazol-5-yl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858935-43-0 CAPLUS
- CN Quinoline, 4-chloro-6-[[2-(2-fluorophenyl)-5H-imidazo[4,5-c]pyridin-5-y1]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

F3C

- RN 858935-44-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[5-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

- RN 858935-45-2 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[(2-phenyl-4-thiazolyl)methyl]- (CA INDEX NAME)

- RN 858935-47-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[2-(2-thienyl)-4-thiazolyl]methyl]- (CA INDEX NAME)

- RN 858935-49-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[5-(trifluoromethyl)-2furanyl]methyl]- (CA INDEX NAME)

RN 858935-60-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-y1]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858935-63-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[1-methyl-3-(trifluoromethyl)-1H-thieno[2,3-c]pyrazol-5-yl]methyl]- (CA INDEX NAME)

- RN 858935-64-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(3-phenyl-5-isoxazolyl)methyl]- (CA INDEX NAME)

$$Ph$$
 $N-O$ CH_2 N N F

- RN 858935-68-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-(4-methoxyphenyl)1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

- RN 858935-70-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]- (CA INDEX NAME)

RN 858935-72-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

$$F_3C$$
 $O-N$ CH_2 N P

RN 858935-74-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[(5-chloro-1,2,4-thiadiazol-3-y1)methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858935-76-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methyl]- (CA INDEX NAME)

RN 858935-78-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

RN

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluoropheny1)-5-[[2-[4-(trifluoromethy1)pheny1]-4-thiazoly1]methy1]- (CA INDEX NAME)

- RN 858935-82-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(2-phenyl-4-thiazolyl)methyl]- (CA INDEX NAME)

- RN 858935-83-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-(2-thienyl)-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

- RN 858935-84-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[2-(2-thienyl)-4thiazolyl]methyl]- (CA INDEX NAME)

$$S \longrightarrow S \longrightarrow CH_2 \longrightarrow N \longrightarrow N \longrightarrow F$$

- RN 858936-58-0 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2(3-thienyl)- (CA INDEX NAME)

RN 858936-59-1 CAPLUS

RN 858936-64-8 CAPLUS

N 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-65-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-67-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dichloropheny1)-5-isoxazoly1]methy1]2-(2,3-difluoropheny1)- (CA INDEX NAME)

$$C1$$
 $N-0$
 CH_2-N
 N
 F

RN 858936-68-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-69-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858936-70-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-71-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2-(difluoromethoxy)phenyl]-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858936-72-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-73-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858936-74-0 CAPLUS
CN 5H-Imidaco[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2,4,6-trifluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-75-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-diffluorophenyl)-5-[[3-(2-fluoro-4-methylphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-76-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2-fluoro-4-methylphenyl)-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858936-77-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-diffluorophenyl)-5-[[3-(2,4-dimethoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

Olde
$$\begin{array}{c} \text{OHe} \\ \text{N-O} \end{array}$$

$$\text{CH}_2 - \text{N} \\ \text{N} \\ \text{F} \end{array}$$

RN 858936-78-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-79-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dichlorophenyl)-5-isoxazolyl]methyl]2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858936-80-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-81-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2-(difluoromethoxy)phenyl]-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858936-82-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluoropheny1)-5isoxazoly1]methy1]-2-(2-fluoropheny1)- (CA INDEX NAME)

RN 858936-83-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dimethoxypheny1)-5-isoxazolyl]methyl]-2-(2-fluoropheny1)- (CA INDEX NAME)

RN 858936-84-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-85-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-(2,4-dichlorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-86-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-87-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethy1)pheny1]-5isoxazoly1]methy1]-2-(3-chloro-2-fluoropheny1)- (CA INDEX NAME)

$$\begin{array}{c|c} CF_3 & & & \\ \hline \\ F_3C & & N-O \end{array}$$

- RN 858936-88-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

- RN 858936-89-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[2-(difluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-90-0 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-91-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluorophenyl)-5isoxazolyl]methyl]-2-(3-chloro-2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ Br & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 858937-37-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[5-(4-chlorophenyl)-1H-pyrazol-3-yl]methyl]2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858937-38-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[5-(4-chloropheny1)-1H-pyrazol-3-y1]methy1]2-(2-fluoropheny1)- (CA INDEX NAME)

RN 858937-39-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-(4-methoxyphenyl)1H-pyrazol-3-yl]methyl]- (CA INDEX NAME)

- RN 858937-40-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]methyl]- (CA INDEX NAME)

- RN 858937-41-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[5-(2,4-difluorophenyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 858937-42-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[5-(2,4-difluorophenyl)-3-pyridinyl]methyl]2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858937-43-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 858937-44-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858937-45-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-53-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-methoxy-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{MeO} \\ \text{N} - \text{O} \end{array}$$

RN 858938-54-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[6-(2,4-difluorophenyl)-3-pyridazinyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858938-55-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[(5-chloro-2-benzoxazoly1)methy1]-2-(2,3-difluoropheny1)- (CA INDEX NAME)

- RN 858938-56-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-ethoxyphenyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-57-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-58-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methylphenyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-59-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-furanyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-60-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-thienyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-61-1 CAPLUS

CN Benzenamine, 4-[5-[[2-(2,3-difluorophenyl)-5H-imidazo[4,5-c]pyridin-5-yl]methyl]-3-isoxazolyl]-N,N-dimethyl- (CA INDEX NAME)

RN 858938-62-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[(3-[1,1'-bipheny1]-4-y1-5-isoxazoly1)methy1]2-(2,3-difluoropheny1)- (CA INDEX NAME)

RN 858938-63-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$Br$$
 $N - O$
 $CH_2 - N$
 N
 F

RN

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(phenylmethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-65-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(methylthio)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-66-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-fluoro-4-methoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-67-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chloro-2-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\$$

- RN 858938-68-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-propoxyphenyl)5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-69-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(3-(4-phenoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-70-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(1-methyl-1Hpyrrol-2-yl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{N-0}{\longrightarrow}} \text{CH}_2 - \stackrel{\text{N}}{\underset{F}{\longrightarrow}} \text{F}$$

RN 858938-71-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(1-methylethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-72-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(5-chloro-2-thienyl)-5-isoxazolyl]methyl]2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-73-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(5-bromo-2-thieny1)-5-isoxazoly1]methy1]2-(2,3-difluoropheny1)- (CA INDEX NAME)

RN 858938-74-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-butoxyphenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-75-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-(4-propoxyphenyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

$$\stackrel{\text{n-Pro}}{\underset{N-0}{\longleftarrow}} \text{CH}_2 - \stackrel{\text{F}}{\underset{N}{\longleftarrow}} \text{N}$$

RN 858938-76-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-diffluorophenyl)-5-[[3-[4-(2-propen-1yloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-77-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[4-chloro-3-(4-chlorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-78-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[4-bromo-3-(4-chloropheny1)-5isoxazoly1]methy1]-2-(2,3-difluoropheny1)- (CA INDEX NAME)

RN 858938-79-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(1-phenyl-1H-pyrazol-3-yl)methyl]- (CA INDEX NAME)

RN 858938-81-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)-4-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N-O} \end{array} \begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{P} \end{array}$$

RN 858938-82-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)-7-methyl- (CA INDEX NAME)

RN 858938-83-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 7-chloro-2-(2,3-difluorophenyl)-5-[[3-(4-ethoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-84-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chloropheny1)-5-isoxazoly1]methy1]-2-(2,3-difluoropheny1)-7-(trifluoromethy1)- (CA INDEX NAME)

RN 858938-85-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)-7-fluoro- (CA INDEX NAME)

RN 858938-86-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methoxyphenyl)1,2,4-oxadiazol-5-yl]methyl]- (CA INDEX NAME)

$$\stackrel{\mathsf{MeO}}{\overset{\mathsf{N}}{\longrightarrow}} \mathsf{CH}_2 - \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \mathsf{N}$$

RN 858938-87-1 CAPLUS

 $\begin{array}{lll} & & & \\$

RN 858938-88-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluoropheny1)-5-[[3-(2-pyridiny1)1,2,4-oxadiazol-5-y1]methyl]- (CA INDEX NAME)

RN 858938-89-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-1,2,4-oxadiazol-5yl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-90-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-pyridinyl)1,2,4-oxadiazol-5-yl]methyl]- (CA INDEX NAME)

RN 858938-94-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM :

CRN 858935-21-4

CMF C23 H13 F5 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 858938-95-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 858939-15-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methoxy-2-methylphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N-O} \end{array} \text{CH}_2 - \begin{array}{c} \\ \\ \text{N} \end{array} \begin{array}{c} \\ \\ \text{F} \end{array} \text{I}$$

RN 858939-16-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chloro-3-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858939-17-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(1,1-dimethylethoxy)-3-fluorophenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-18-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(pentyloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-19-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(3-furanyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-20-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[4-(cyclohexylmethoxy)phenyl]-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858939-21-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-[(5-methyl-4-hexen-1-yl)oxy]phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-22-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(hexyloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-23-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(2-ethylbutoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-24-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[6-(2,4-difluorophenyl)-3-pyridinyl]methyl]2-(2-fluorophenyl)- (CA INDEX NAME)

IT 1025000-09-2

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of imidazo[4,5-c]pyridine derivs. as antiviral agents)

RN 1025000-09-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluoropheny1)-5-[(6-iodo-3pyridiny1)methy1]- (CA INDEX NAME)

L3 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:497492 CAPLUS

DOCUMENT NUMBER: 143:7727

TITLE: Preparation of 2,4-diaminopyrimidine derivatives as inhibitors of PKC-theta for treating diseases

associated with T cells activation, in particular

immunol. disorders and type II diabetes

INVENTOR(S): Cardozo, Mario G.; Cogan, Derek; Cywin, Charles

Lawrence; Dahmann, George; Disalvo, Darren; Ginn, John David; Prokopowicz, Anthony S.; Spero, Denice M.;

Young, Erick Richard Roush

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA;

Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G. SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S.

Ser. No. 766,079. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|------------------------|--------|--------------|-------------------|------------|--|
| | | | | | |
| US 20050124640 | A1 | 20050609 | US 2004-933635 | 20040903 | |
| US 20040242613 | A1 | 20041202 | US 2004-766079 | 20040127 | |
| PRIORITY APPLN. INFO.: | | | US 2003-443700P P | 20030130 | |
| | | | US 2004-766079 A2 | 2 20040127 | |
| OTHER SOURCE(S): | CASREA | CT 143:7727: | MARPAT 143:7727 | | |

GI

AB Title compds. I [wherein R1 = (un)substituted heteroaryl/aryl/cyclo/cycloalkyl/alkyl, naphthyl, quinolinyl, etc.; R2 = (un)substituted -NH-CH2-(CH2)n-CH2-NR4R5,

-NH-(CH2)p-phenylene-(CH2)q-NR4R5, -NH(CH2)p-X-R4, etc.; X = piperidinyl; n = 3-8; p = 1-3; q = 0-3; R4, R5 = independently H, amidino,

(un) substituted aryl/alkyl; R3 = halo, CN, NO2, aminocarbonyl,

(un) substituted alkyl, alkyloxycarbonyl; their tautomers, pharmaceutically

acceptable salts, solvates, or amino-protected derivs., with certain compds. excluded] were prepared as inhibitors of protein kinase C (PKC)-theta useful for treating immunol. disorders and type II diabetes. For example, II was prepared in 5 steps via amination of 2,4-dichloro-5-fluoropyrimidine with amine III and 2-chlorobenzylamine. Selected I inhibited PKC-theta with IC50 values ≤ 0.3 µM. Thus, I are useful for treating a disease or disorder associated with T cells activation.

736055-76-8P 736055-82-6P 736055-87-1P 736055-90-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PKC-theta inhibitor; preparation of diaminopyrimidines as PKC-theta inhibitors for treating diseases associated with T cells activation, in particular immunol. disorders and type II diabetes)

736055-76-8 CAPLUS RN

2-Pyrimidinamine, N-(phenylmethyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-CN c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

736055-82-6 CAPLUS RN

CN 2-Pyrimidinamine, N-[(4-chlorophenyl)methyl]-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pvridin-5-v1)- (CA INDEX NAME)

RN 736055-87-1 CAPLUS

CN 2-Pyrimidinamine, N-[(2-chlorophenyl)methyl]-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pvridin-5-vl)- (CA INDEX NAME)

RN 736055-90-6 CAPLUS

CN 2-Pyrimidinamine, 5-nitro-N-(phenylmethyl)-4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

L3 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:369265 CAPLUS

DOCUMENT NUMBER: 142:423892

TITLE: Alanyl aminopeptidase inhibitors for functionally

influencing different cells and treating

immunological, inflammatory, neuronal, and other

diseases

INVENTOR(S): Ansorge, Siegfried; Bank, Ute; Nordhoff, Karsten;

Tager, Michael; Striggow, Frank

PATENT ASSIGNEE(S): Institut Fur Medizintechnologie Magdeburg GmbH IMTM,

Germany; Keyneurotek AG SOURCE: PCT Int. Appl., 332 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | PATENT NO. | | | | | | | | | | | | | DATE | | | | |
|----------------------------|-------------------------------|---|--|--|--|--|---|---|---|--|--|--|---|--|--|--|--|----|
| | 2005037257 2005037257 | | | | | | | | | | | | 20041015 | | | | | |
| | W: | AE, CN, GH, LR, NZ, TM, BW, AZ, EE, SI, | AG, CO, GM, LS, OM, TN, GH, BY, ES, SK, | AL, CR, HR, LT, PG, TR, GM, KG, FI, TR, | AM, CU, HU, LU, PH, TT, KE, KZ, | AT, CZ, ID, LV, PL, TZ, MD, GB, | AU, DK, IL, MA, PT, UA, MW, RU, GR, | AZ, DM, IN, MD, RO, UG, MZ, TJ, HU, | BA, DZ, IS, MG, RU, US, NA, TM, IE, | EC, JP, MK, SC, UZ, SD, AT, IT, | BG, EE, KE, MN, SD, VC, SL, BE, LU, GA, | EG, KG, MW, SE, VN, SZ, BG, MC, | ES, KP, MX, SG, YU, TZ, CH, NL, | FI, KR, MZ, SK, ZA, UG, CY, PL, | GB, KZ, NA, SL, ZM, ZM, CZ, PT, | GD, LC, NI, SY, ZW, ZW, DE, RO, | GE, LK, NO, TJ, AM, DK, SE, | |
| AU CA EP CN JP | 1897 2007 2007 Y APP | 8023 28153 723 075 AT, IE, 928 5083 0037 LN. | BE, SI, 19 | CH, LT, | A1 A2 DE, LV, A T A1 | DK, FI, | 2005 2005 2006 ES, RO, 2007 | 0428 0428 0628 FR, MK, 0117 0405 0215 | GB, CY, | AU 2 CA 2 EP 2 GR, AL, CN 2 JP 2 US 2 DE 2 | | 2815: 2542: 7904: LI, BG, 8003: 5347: 5758: | 36 723 85 LU, CZ, 6456 06 82 8023 | NL, EE, | 20 20 SE, HU, 20 20 20 | 0041 0041 MC, PL, 0041 0041 0060 | 015 015 015 PT, SK, 015 015 015 | HR |

OTHER SOURCE(S):

AB The invention discloses substances which specifically inhibit peptidases splitting ala-p-nitroanilide for use in medicine. The invention further discloses the use of at least one such substance or at least one pharmaceutical or cosmetic composition containing such a substance for

pnarmaceutical or cosmetic composition containing such a substance for preventing

MARPAT 142:423892

and treating diseases, especially diseases with an overshooting immune response (autoimmune diseases, allergies, and transplant rejections), other chronic inflammatory diseases, neuronal diseases, brain damage, skin diseases (acne and psoriasis, among others), tumors, and special viral infections (including SARS).

IT 850607-38-4

RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(alanyl aminopeptidase inhibitors for treatment of immunol., inflammatory, neuronal, and other diseases)

RN 850607-38-4 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-6-carboxamide,

 $\label{eq:normalized} $$N-(3-aminopropy1)-4,5,6,7-tetrahydro-3-[(2-nitropheny1)methy1]-5-(2-pyridinylmethy1)-$$ (CA INDEX NAME)$

$$\begin{array}{c} O \\ H_2N-(CH_2)_3-NH-C \\ \hline N \\ CH_2-N \\ \end{array} \begin{array}{c} N \\ O_2N \\ \hline \end{array}$$

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1127381 CAPLUS

DOCUMENT NUMBER: 142:74585

TITLE: Preparation of imidazopyridazinones and related compounds as dipeptidyl peptidase IV (DPP-IV)

inhibitors for the treatment of diabetes

INVENTOR(S): Eckhardt, Matthias; Hauel, Norbert; Langkopf, Elke; Himmelsbach, Frank; Kauffmann-Hefner, Iris; Tadayyon,

Mohammad; Mark, Michael

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;

Boehringer Ingelheim Pharma GmbH & Co. Kg

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| | | | | | | KIND DATE | | | | | | | | | DATE | | | | |
|-------|----------------|-------------|-----|------|-----|-------------|------------------|------|------|--------|-------|-------|----------|-----|----------|-------|-------|-----|--|
| | | | | | | | | | | | | | | | 20040611 | | | | |
| | W: AE, AG, AL, | | | AM, | AT, | AU, | AZ, | BA, | BE | BG, BG | , BR, | BW, | BY, | BZ, | CA, | CH, | | | |
| | | | CN, | CO, | CR, | CU, | CZ, | DK, | DM, | DZ, | EC | , EE | , EG, | ES, | FI, | GB | GD, | GE, | |
| | | | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP | , KE | , KG, | KP, | KR, | KZ, | LC, | LK, | |
| | | | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK | , MN | , MW, | MX, | MZ, | NA, | NI, | NO, | |
| | | | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC | , SD | , SE, | SG, | SK, | SL | SY, | TJ, | |
| | | | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | U2 | , vc | , VN, | YU, | ZA, | ZM, | , ZW | | |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SI | , SL | , SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑI | , BE | , BG, | CH, | CY, | CZ, | , DE, | DK, | |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | II | , LU | , MC, | NL, | PL, | PT, | RO, | SE, | |
| | | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CI. | 1, GA | , GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | | | TD, | | | | | | | | | | | | | | | |
| | | DE 10327439 | | | | | | | | | | | | | | 20030 | 618 | | |
| | | | | | | A1 20050203 | | | | | | | | | | | | | |
| | | | | | | A1 20041223 | | | | | | | | | | | | | |
| | ΕP | 1641 | 799 | | | A1 | | 2006 | 0405 | | 2004 | -7366 | 20040611 | | | | | | |
| | ΕP | 1641 | 799 | | | B1 | | 2008 | 0312 | | | | | | | | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | R, IT | , LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | | | | | | | , PL, | | | | | | |
| | | | | | | | | | | | | | -5158 | | | | | | |
| | | | | | | | | | | | | | -7366 | | | | | | |
| | | | | | | | | 2008 | 0701 | | | | -7366 | | | | 20040 | | |
| PRIOR | IT | APP: | LN. | INFO | . : | | | | | | | | -1032 | | | | 20030 | | |
| | | | | | | | | | | | | | -4873 | | | | 20030 | | |
| | | | | | | | | | | | WO | 2004 | -EP63 | 03 | | W 2 | 20040 | 611 | |
| THER | IER SOURCE(S). | | | | | | MARPAT 142.74589 | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 142:74585

GT

AB Title compds. I [R1 = alkvl substituted 3,4-dihydroguinolinyl, 3,4-dihydroisoquinolinyl, 1,4-dihydroquinazolinyl, etc.; R2 = H, F, C1, etc.; R3 = (un)substituted alkyl, e.g., cycloalkyl, cycloalkenyl, aryl, etc.; R4 = (un)substituted azetidin-1-yl, pyrrolidin-1-yl; Y = N, C-R5; R5 = H, alkyl] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-amine II (X = Boc) afforded claimed imidazopyridazinone II (X = H) in 63% yield. In dipeptidyl peptidase IV (DPP-IV) inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 3-58 nM, e.g., the IC50 value of imidazopyridazinone II (X = H) was 14 nM. Compds. I are claimed to be useful for the treatment of type I and type II diabetes mellitus.

ΙT 1066555-68-7 1066555-69-8 1066555-70-1 1066555-72-3 1066555-76-7 1066555-78-9 1066555-79-0 1066556-00-0 1066556-07-7 1066556-17-9 1066556-18-0 1066556-29-3 1066556-31-7 1066556-41-9 1066556-46-4 1066556-48-6 1066556-55-5 1066556-58-8 1066556-59-9 1066556-60-2 1066556-61-3 RL: PRPH (Prophetic)

> (Preparation of imidazopyridazinones and related compounds as dipeptidyl peptidase IV (DPP-IV) inhibitors for the treatment of diabetes) 1066555-68-7 CAPLUS

RN

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3.5-dihydro-5-[(8,9,10,11-tetrahydro-7H-cyclohept[c]isoquinolin-5vl)methvll- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-C} \subset \text{C-CH}_2 \\ \text{O} \\ \text{N} \\ \text{CH}_2 \\ \text{N} \\ \text{N$$

RN 1066555-69-8 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-5-[(3,4-dihydro-2H-pyrano[3,2-c]isoquinolin-6-y1)methy1]-3,5-dihydro- (CA

INDEX NAME)

RN 1066555-70-1 CAPLUS

CN 4H-Pyrano[3,2-c]isoquinolin-4-one, 6-[[2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,4-dihydro-4-oxo-5Hinidazo[4,5-c]pyridin-5-y1]methy1]-2,3-dihydro- (CA INDEX NAME)

RN 1066555-72-3 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(benzo[h]quinolin-6-ylmethy1)-3-(2-butyn-1-y1)-3,5-dihydro- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me-C} \subset \text{CH}_2 \\ & \text{O} \\ & \text{CH}_2 - \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{N} \end{array}$$

RN 1066555-76-7 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidinyl)-3-(2-butyn-1-yl)-3,5-dihydro-5-(thieno[3,2-b][1,4]benzoxazepin-9-ylmethyl)- (CA INDEX NAME)

RN

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)3,5-dihydro-5-[(5-methy1-5H-dibenzo[d,f][1,3]diazepin-6-y1)methy1]- (CA
INDEX NAME)

RN 1066555-79-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(dibenz[d,f][1,3]oxazepin-6-ylmethy1)-3,5-dihydro-7-methy1-3-(3-methy1-2-buten-1-v1)- (CA INDEX NAME)

RN 1066556-00-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidinyl)-3-(2E)-2-buten-1yl-5-[(3,4-dihydro-2-quinolinyl)methyl]-3,5-dihydro-6,7-dimethyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 1066556-07-7 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-5-(3,4-dihydro-3-methy1-2-quinazoliny1)methy1]-3,5-dihydro- (CA INDEX NAME)

- RN 1066556-17-9 CAPLUS
- CN 4H-Tmidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2E)-2-buten-1-yl-3,5-dihydro-5-[(5,6,7,8-tetrafluoro-1,4-dihydro-1-methyl-2-quinazoliny1)methyl]- (CA INDEX NAME)

Double bond geometry as shown.

- RN 1066556-18-0 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(4H-3,1-benzoxazin-2-ylmethy1)-3-(2-butyn-1-y1)-3,5-dihydro-7-methy1- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-}\text{C} = \text{C-}\text{CH}_2 \\ \text{O} \\ \text{O} \\ \text{CH}_2 - \text{N} \\ \text{N}$$

- RN 1066556-29-3 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \text{Me-C} = \text{C-CH}_2 \\ \text{O} \\ \text{N} \\ \text{CH}_2 = \text{N} \\ \text{N$$

RN

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(2-methylfuro[3,2-c]isoquinolin-5-y1)methyl]- (CA INDEX NAME)

- RN 1066556-41-9 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1066556-46-4 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2E)-2-buten-1yl-5-(dibenz[b,f][1,4]oxazepin-11-ylmethyl)-3,5-dihydro- (CA INDEX NAME)

Double bond geometry as shown.

- RN 1066556-48-6 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(1E)-1-buten-1y1-5-(dibenzo[b,f][1,4]thiazepin-11-y1methy1)-3,5-dihydro- (CA INDEX NAME)

Double bond geometry as shown.

RN 1066556-55-5 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(1E)-1-buten-1-yl-3,5-dihydro-5-(6-phenanthridiny1methy1)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1066556-58-8 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(benzo[f][1,7]naphthyridin-5-ylmethy1)-3-(2-butyn-1-y1)-3,5-dihydro- (CA INDEX NAME)

RN 1066556-59-9 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(pyrido[2,3-c]-1,5-naphthyridin-6-y1methy1)- (CA INDEX NAME)

RN 1066556-60-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(1,2,3,4-tetrahydro-6-phenanthridiny1)methy1]- (CA INDEX NAME)

- RN 1066556-61-3 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:795432 CAPLUS

DOCUMENT NUMBER: 142:8235

TITLE: Development of an Efficient and Scalable Process of a

Respiratory Syncytial Virus Inhibitor

AUTHOR(S): Provencal, David P.; Gesenberg, Kirsten D.; Wang, Hua;

Escobar, Carlos; Wong, Henry; Brown, Matthew A.;

Staab, Andrew J.; Pendri, Yadagiri R.

CORPORATE SOURCE: Process Research and Development, Bristol-Myers Squibb

Pharmaceutical Research Institute, Wallingford, CT,

06492, USA

SOURCE: Organic Process Research & Development (2004), 8(6),

903-908

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

LANGUAGE: Englis

OTHER SOURCE(S): CASREACT 142:8235

AB An improved process has been developed for compound 1, a respiratory syncytial virus (RSV) inhibitor. This improved process is convergent,

safe, efficient, and useful to prepare compound 1 in kilogram quantities.

IT 797032-07-6P 797032-08-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (development of efficient and scalable process of respiratory syncytial

virus inhibitor) RN 797032-07-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-5-[[1-[4-(2,2-dimethyl-1oxopropoxy]butyl]-1H-benzimidazol-2-yl]methyl]-2,3-dihydro-2-oxo-, chloride (1:1) (CA INDEX NAME)

● c1=

RN 797032-08-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-3,5-bis[[1-[4-(2,2-dimethyl-1-oxopropoxy)butyl]-1H-benzimidazol-2-yl]methyl]-2,3-dihydro-2-oxo-, chloride (1:1) (CA INDEX NAME)

● C1-

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:648512 CAPLUS

DOCUMENT NUMBER: 141:190795

TITLE: Preparation of 2.4-diaminopyrimidine derivatives as inhibitors of PKC-theta for treating diseases

associated with T cells activation, in particular

immunol. disorders and type II diabetes

INVENTOR(S): Cardozo, Mario G.; Cogan, Derek; Cywin, Charles

Lawrence; Dahmann, Georg; Disalvo, Darren; Ginn, John David; Prokopowicz, Anthony S.; Spero, Denice M.;

Young, Erick Richard Roush

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA;

Boehringer Ingelheim Pharma GmbH & Co. KG

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE · English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PAT | TENT 1 | 10. | | | KIND DATE | | | | APPL | ICAT | DATE | | | | | | | |
|------------------------|---------------|-------|------|------|-------------|-----|-------------|------|------|------|--------|------|----------|----------|-----|------|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO | WO 2004067516 | | | | | | A1 20040812 | | | WO 2 | 2004- | US22 | | 20040127 | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI | |
| CA | 25146 | 512 | | | A1 20040812 | | | | | CA 2 | 2004- | 2514 | 20040127 | | | | | |
| EP | 15903 | 334 | | | A1 20051102 | | | | | EP 2 | 2004- | 7056 | | 20040127 | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | | |
| JP | 20065 | 5150: | 14 | | T | | 2006 | 0518 | | JP 2 | 2005- | 5185 | 68 | | 2 | 0040 | 127 | |
| PRIORITY APPLN. INFO.: | | | | | | | | | | US 2 | 2003- | 4437 | 00P | 1 | P 2 | 0030 | 130 | |
| | | | | | | | | | | WO 2 | 2004-1 | US22 | 40 | 1 | W 2 | 0040 | 127 | |
| OTHER SC | MARI | TAG | 141. | 1907 | 95 | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 141:190795

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [wherein R1 = (un)substituted heteroaryl/aryl/cyclo/cycloalkyl/alkyl, naphthyl, quinolinyl, etc.; R2 = (un) substituted -NH-CH2-(CH2) n-CH2-NR4R5, -NH-(CH2)p-phenylene-(CH2)q-NR4R5, -NH(CH2)p-X-R4, etc.; X = pyridinyl; n = 3-8; p = 1-3; q = 0-3; R4, R5 = independently H, amidino,(un) substituted aryl/alkyl; R3 = halo, CN, NO2, aminocarbonyl, (un) substituted alkyl, alkyloxycarbonyl; their tautomers, pharmaceutically acceptable salts, solvates, or amino-protected derivs., with certain compds. excluded] were prepared as inhibitors of protein kinase C (PKC)-theta useful for treating immunol. disorders and type II diabetes. For example, II was prepared in 5 steps via amination of 2,4-dichloro-5-fluoropyrimidine with amine III and 2-chlorobenzylamine. Selected I inhibited PKC-theta with IC50 values ≤ 0.3 µM. Thus, I are useful for treating a disease or disorder associated with T cells

activation. 736055-76-8P, 2-(Benzylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5c]pyridin-5-yl)-5-trifluoromethylpyrimidine 736055-82-6P, 2-(4-Chlorobenzylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-

nitropyrimidine 736055-87-1P, 2-(2-Chlorobenzylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5nitropyrimidine 736055-90-6P,

2-Benzylamino-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-y1)-5-nitropyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PKC-theta inhibitor; preparation of diaminopyrimidines as PKC-theta inhibitors for treating diseases associated with T cells activation, in particular immunol. disorders and type II diabetes)

RN 736055-76-8 CAPLUS

CN 2-Pyrimidinamine, N-(phenylmethyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 736055-82-6 CAPLUS

CN 2-Pyrimidinamine, N-[(4-chlorophenyl)methyl]-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 736055-87-1 CAPLUS

CN 2-Pyrimidinamine, N-[(2-chlorophenyl)methyl]-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 736055-90-6 CAPLUS

CN 2-Pyrimidinamine, 5-nitro-N-(phenylmethyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

 $Ph-CH_2-NH$

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:589247 CAPLUS

DOCUMENT NUMBER: 141:140463

TITLE: Preparation of heterocyclic compounds as selective

phosphodiesterase V inhibitors

INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji;

Kikkawa, Kohei

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: Engl FAMILY ACC, NUM, COUNT: 3

PATENT INFORMATION:

| | NO. | | DATE | APPLICATION NO. | DATE | | | |
|---------|--|--|--|--|--|--|--|--|
| | 0142930 | A1 | 20040722 | | 20031104 | | | |
| | 012587 | A | | JP 2000-277652 | 20000913 | | | |
| | 083460 | | | WO 2001-JP2034 | 20010315 | | | |
| | CO, CR, CU HR, HU, ID LU, LV, MA SD, SE, SG YU, ZA, ZW GH, GM, KE DE, DK, ES | CZ, DE, IL, IN, MD, MG, SI, SK, LS, MW, FI, FR | , DK, DM, , IS, JP, , MK, MN, , SL, TJ, , MZ, SD, , GB, GR, | BA, BB, BG, BR, BY, BZ DZ, EE, ES, FI, GB, GD KE, KG, KR, KZ, LC, LK MM, MX, MZ, NO, NZ, PL TM, TR, TT, TZ, UA, UG SL, SZ, TZ, UG, ZW, AT IE, IT, LU, MC, NL, PT | , GE, GH, GM, , LR, LS, LT, , PT, RO, RU, , US, UZ, VN, , BE, CH, CY, , SE, TR, BF, | | | |
| | BJ, CF, CG 0229089 736 | A1 | 20031211 | GW, ML, MR, NE, SN, TD US 2002-258545 | | | | |
| US 2008 | 0027037 203475 LN. INFO.: | A1 A1 | 20080131 | US 2007-889749 AU 2008-203475 JP 2000-130371 JP 2000-277652 WO 2001-JP2034 US 2002-258545 JP 1999-261852 AU 2001-241142 US 2003-699804 AU 2005-203687 | 20080804 A 20000428 A 20000913 W 20010315 A2 20021025 A 19990916 A 20010315 A3 20031104 | | | |

OTHER SOURCE(S): MARPAT 141:140463

GT

AB The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH:N, N:CH, N:N, CH:CHC(:R5)N, CH:C(R5), N:C(R7); R1 = each (un) substituted lower alkoxy, amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing N atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally substituted by an (un) substituted by alkoxy group substituted by

an aromatic heterocyclic ring containing N atom(s), lower alkylamino group substituted by a (un)substituted heterocyclic ring, (un)substituted arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N atom(s), or NH2; R4-R7 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to form a lactone ring Q or Q1; when X = N, Y = CH:N, or N:CH, R2 = an amino group monosubstituted by an (un)substituted arylmethyl, and R3 = (un) substituted lower alkvl, amino monosubstituted by an (un) substituted heterocyclyl-lower alkyl containing N atom(s) in the ring, heterocyclylamino containing N atom(s) in the ring, or (un)substituted lower cycloalkylamino, R1 = each (un)substituted lower alkoxy, amino, heterocyclyloxy containing N atom(s) in the ring, or cyano group] or pharmacol. acceptable salts thereof are prepared These compds. have excellent selective PDE V inhibitory activity and therefore, are useful as therapeutic or prophylactic drugs for treating various diseases due to functional disorders on cGMP-signaling, such as erectile dysfunction, pulmonary hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4methoxybenzylamino)pyrimidine to give 2-(2-pvridvlmethoxv)-5-(3,4,5-trimethoxvphenvlcarbonvl)-4-(3-chloro-4-

methoxybenzylamino)pyrimidine. 372115-31-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on

cGMP-signaling) 372115-31-6 CAPLUS

RN

CN

5/21/3-3-4 CREBOS
5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3-hydroxypropyl)-2-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

L3 ANSWER 39 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:561477 CAPLUS

DOCUMENT NUMBER: 141:257272

TITLE: New broad-spectrum parenteral cephalosporins

exhibiting potent activity against both

methicillin-resistant Staphylococcus aureus (MRSA) and

Pseudomonas aeruginosa. Part 2: Synthesis and

structure-activity relationships in the S-3578 series

AUTHOR(S):

Yoshizawa, Hidenori; Kubota, Tadatoshi; Itani, Hikaru; Ishitobi, Hirovuki; Miwa, Hideaki; Nishitani, Yasuhiro

CORPORATE SOURCE: Shionogi Research Laboratories, Shionogi & Co., Ltd.,

Fukushima-ku, Osaka, 553-0002, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(15), 4211-4219

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Among prepared novel cephalosporin derivs. related to S-3578, a series of AB 7β -[2-(5-amino-1,2,4-thiadiazol-3-yl)-2-(Z)-ethoxyiminoacetamido]-3-[1-(aminoalkyl)-1H-pyrazolo[4,3-b]pyridinium-4-yl]methyl-3-cephem-4carboxylate showed potent activity against both methicillin-resistant Staphylococcus aureus and Pseudomonas aeruginosa and displayed good water solubility These included I→IV.

753483-29-3P 753483-30-6P 753483-31-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and structure-activity relations of S-3578 derivs.)

RN 753483-29-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-(3-aminopropy1)-5-[[(6R,7R)-7-[[(2Z)-2-(5amino-1, 2, 4-thiadiazol-3-yl)-2-(ethoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● C1-

RN 753483-30-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 3-(3-aminopropyl)-5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazo1-3-yl)-2-(ethoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● c1-

RN 753483-31-7 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 2-(3-aminopropyl)-5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazol-3-yl)-2-(ethoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● C1-

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 40 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:493705 CAPLUS

DOCUMENT NUMBER: 141:54352

TITLE: Production and use of novel substituted imidazopyridinones and imidazopyridazones as

medicaments

INVENTOR(S): Hauel, Norbert; Himmelsbach, Frank; Langkopf, Elke; Eckhardt, Matthias; Maier, Roland; Mark, Michael;

Tadavvon, Mohammad; Kauffmann-Hefner, Iris

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,

Germany

SOURCE: PCT Int. Appl., 123 pp.

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LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | KIND DATE | | | | | | ICAT | | DATE | | | | | |
|----------|--------------------------------|-----|------|-----|-----------|------|------|------|----------------------------------|------|------|----------|------|----------|-----|-------|-----|----|
| WO | O 2004050658 W: AE, AG, AL, | | | A1 | | 2004 | 0617 | | | | | 20031203 | | | | | | |
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| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NI, | NO, | |
| | | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ТJ, | |
| | | TM, | TN, | TR, | ΤT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | |
| | RW: | | | | | | MW, | | | | | | | | | | | |
| | | | | | | | ΤJ, | | | | | | | | | | | |
| | | | | | | | HU, | | | | | | | | | | | |
| | | | | | | | CI, | | | | | | | | | | | ΤG |
| | DE 10309927 | | | | | | | | DE 2002-10256264 | | | | | | | | | |
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| | 2006 | | | | Т | | 2006 | 0518 | | | | | | | | | | |
| PRIORIT: | Y APP | LN. | TNEO | . : | | | | | | | | | 6264 | | | | | |
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OTHER SOURCE(S): MARPAT 141:54352

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$$\overset{\mathsf{R}^3}{\underset{\mathsf{R}^4}{\bigvee}}\overset{\mathsf{O}}{\underset{\mathsf{N}}{\bigvee}}\overset{\mathsf{R}^2}{\underset{\mathsf{R}^2}{\bigvee}}$$

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AB The invention relates to substituted imidazo-pyridinones and imidazo-pyridazinones I [R1 = 5- to 7-membered cycloalkylenimino (optionally substituted with C1-3-alky1), 6- to 7-membered cycloalkylenimino (4-methylene substituted, to 7-membered cycloalkylamino, etc.; R2 = CH2Ph (F-, Cl-, Br-, CN-substituted Ph), (un)branched C3-8-alkenyl, C3-5-alkynyl, C3-7-cycloalkylmethyl, C5-7-cycloalkylmethyl, urylmethyl, thienylmethyl, pyrrolylmethyl, thiazolylmethyl, ; R3 = (un) branched C1-6-alkyl, C1-6-haloalkyl, C1-6-cyanoalkyl, CHMePh, CH2CH(OH)Ph, CH2COPh (optionally substituted Ph), 3-methyl-2-oxo-2,3-dihydrobenzoxazolyl)carbonylmethyl, thienylcarbonylmethyl, mono- or bicyclic heteroaryl-(C1-6-alkyl); R4 = H, C1-3-alkyl; X = N, CR5; R5 = H, Me; etc.], the tautomers thereof, the stereoisomers thereof, the mixts. thereof and the salts thereof, which have valuable pharmacol. properties, especially an inhibitory effect on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV). Thus, I·HCl [R1 = 3-aminopiperidino, R2 = 2-butynyl, R3 = (1-naphthyl) methyl, R4 = H, X = N] was prepared from 4.5-dichloro-3-hydroxy-2H-pyridazine (II; Y1 = Y2 = C1, Y3 = H) via N-alkylation with 1-(chloromethyl)naphthalene to give II [Y1 = Y2 = C1, Y3 = (1-naphthyl)methyl] , hydrolysis-nitration to II [Y1 = OH, Y2 = NO2, Y3 = (1-naphthyl)methyl], amination to give II [Y1 = NH2, Y2 = NO2, Y3 = (1-naphthyl) methyl], reduction to the 4,5-diamino derivative, cyclocondensation with thiocarbonyldiimidazole to give imidazopyridazone III [Z1 = SH, Z2 = H, Z3 = (1-naphthyl)methyl], S-methylation to III [Z1 = SMe, Z2 = H, Z3 = (1-naphthyl)methyl], N-alkylation with BrCH2C.tplbond.CMe to give III [Z1 = SMe, Z2 = CH2C.tplbond.CMe, Z3 = (1-naphthyl)methyl]; S-oxidation to give III [Z1 = SO2Me, Z2 = CH2C.tplbond.CMe, Z3 = (1-naphthy1)methy1],, amination with 3-(Boc-amino)piperidine and deprotection. The inhibitory effect of I [R1 = 3-aminopiperidino, R2 = 2-butynyl, R3 = (1-naphthyl) methyl, R4 = H] on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV) was tested [IC50 = 13 nM]. Formulations containing I in the forms of dragees, tablets, ampuls, hard-gel capsules, suppositories and suspensions are presented.

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1064165-01-0 1064165-02-1 1064165-03-2 1064165-04-3 1064165-05-4 1064165-13-6 1064165-13-6 1064165-13-6 1064165-13-6 1064165-13-6 1064165-13-6 1064165-23-6 1064165-23-6 1064165-23-6 1064165-23-6 1064165-24-7 1064165-25-8 1064165-60-1 1064165-61-2 1064165-60-1 1064165-61-2 1064165-63-4 1064165-68-7 1064165-88-7 1064165-80-1 1064165-86-1 1064165-83-6 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 1064165-80-1 10641
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(Production and use of novel substituted imidazopyridinones and imidazopyridazones as medicaments)

- RN 1042165-93-4 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(3-methyl-1-isoquinoliny1)methyl]- (CA INDEX NAME)

- RN 1064164-73-3 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(2-propen-1-y1)- (CA INDEX NAME)

- RN 1064164-74-4 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-[(2E)-2-methy1-2-buten-1-y1]- (CA INDEX NAME)

Double bond geometry as shown.

RN 1064164-75-5 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(3-methy1-2-buten-1-y1)- (CA INDEX NAME)

RN 1064164-76-6 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(1-isoquinoliny1methy1)- (CA INDEX NAME)

RN 1064164-77-7 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-cyclopenten-1-ylmethy1)-3,5-dihydro-5-(1-isoquinolinylmethy1)- (CA INDEX NAME)

RN 1064164-79-9 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(pheny1methy1)- (CA INDEX NAME)

RN 1064164-80-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-furany1methy1)-3,5-dihydro-5-(1-isoquinoliny1methy1)- (CA INDEX NAME)

RN 1064164-81-3 CAPLUS

CN 4H-Imidazo(4,5-c)pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(3-furany1methy1)-3,5-dihydro-5-(1-isoquinoliny1methy1)- (CA INDEX NAME)

- RN 1064164-84-6 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(2-methy1-2-propen-1-y1)- (CA INDEX NAME)

- RN 1064164-85-7 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2,3-dimethyl-2-buten-1-y1)-3,5-dihydro-5-(1-isoquinolinylmethyl)- (CA INDEX NAME)

- RN 1064164-86-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(2-propyn-1-y1)- (CA INDEX NAME)

RN 1064164-88-0 CAPLUS

RN 1064164-89-1 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(1-cyclohexen-1-ylmethy1)-3,5-dihydro-5-(1-isoquinolinylmethy1)- (CA INDEX NAME)

RN 1064164-90-4 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-[(3,5-difluoropheny1)methy1]-3,5-dihydro-5-(1-isoquinoliny1methy1)- (CA INDEX NAME)

- RN 1064164-91-5 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(2-thieny1methy1)- (CA INDEX NAME)

- RN 1064164-93-7 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-5-(1-isoquinoliny1methy1)-3-(3-thieny1methy1)- (CA INDEX NAME)

- RN 1064164-95-9 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(2-pyridiny1methy1)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-C} \subset \text{C-CH}_2 \\ \hline \\ \text{N} \\ \text{CH}_2 - \text{N} \\ \end{array}$$

- RN 1064164-96-0 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidinyl)-3-(2-butyn-1-yl)3,5-dihydro-5-(4-pyrimidinylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-} c = c - c H_2 \\ \hline N & N \\ \hline C H_2 - N & N \\ \hline N & N \\ N & N \\$$

RN 1064164-98-2 CAPLUS
CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)3,5-dihydro-5-(4-pyridinylmethy1)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-C} = \text{C-CH2} \\ \text{O} \\ \text{CH}_2 = \text{N} \\ \text{NH}_2 \end{array}$$

RN 1064164-99-3 CAPLUS
CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)3,5-dihydro-5-[(5-methyl-2-pyridiny1)methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}-\text{C} = \text{C}-\text{CH}_2 \\ \\ \text{O} \\ \text{N} \\ \\ \text{N} \\ \text{N} \\ \\ \text{N} \\ \text{N} \\ \\ \text{N} \\$$

RN 1064165-01-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidinyl)-3-(2-butyn-1-yl)3,5-dihydro-5-(4-isoquinolinylmethyl)- (CA INDEX NAME)

RN 1064165-02-1 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-5-(4-cinnolinylmethy1)-3,5-dihydro- (CA INDEX NAME)

- RN 1064165-03-2 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(8-quinoliny1methy1)- (CA INDEX NAME)

- RN 1064165-04-3 CAPLUS
- CN 2(1H)-Quinolinone, 4-[[2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin-5-y1]methyl]-1-methyl- (CA INDEX NAME)

- RN 1064165-05-4 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2E)-2-buten-1-yl-3,5-dihydro-5-(1-isoquinoliny1methy1)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 1064165-15-6 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidinyl)-3-(2-butyn-1-yl)-3,5-dihydro-5-(3-pyridinylmethyl)- (CA INDEX NAME)

- RN 1064165-17-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(6-methy1-2-pyridiny1)methy1]- (CA INDEX NAME)

- RN 1064165-18-9 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(4-methy1-1-isoquinoliny1)methy1]- (CA INDEX NAME)

- RN 1064165-19-0 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(4-quinazoliny1methy1)- (CA INDEX NAME)

- RN 1064165-20-3 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(4-quinoliny1methy1)- (CA INDEX NAME)

- RN 1064165-21-4 CAPLUS
- CN 2(1H)-Quinolinone, 4-[[2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin-5-y1]methyl]- (CA INDEX NAME)

- RN 1064165-22-5 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(2-quinoliny1methy1)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-C} = \text{C-CH2} \\ \text{O} \\ \text{N} \\ \text{CH2-N} \\ \text{N} \\ \text{N$$

- RN 1064165-23-6 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(4-methyl-2-quinazoliny1)methyl]- (CA INDEX NAME)

- RN 1064165-24-7 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[(1-methyl-1H-indazol-3-y1)methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{H_2N} & & & & \\ & & & & \\ & & & & \\ & & & \\ \mathbf{CH_2-C} = \mathbf{C-Me} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

- RN 1064165-25-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(1,2-

- RN 1064165-26-9 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[2-(3-thieny1)ethy1]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-C} \subset \text{C-CH}_2 \\ \\ \text{S} \subset \text{CH}_2 \subset \text{CH}_2 \\ \end{array}$$

- RN 1064165-28-1 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[2-oxo-2-(3-thieny1)ethy1]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me-C} = \text{C-CH}_2 \\ \hline \text{O} & \text{N} \\ \hline \text{C-CH}_2 - \text{N} & \text{N} \\ \end{array}$$

- RN 1064165-58-7 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(2-quinazoliny1methy1)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-}\text{C} = \text{C-}\text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{CH}_2 - \text{N} \\ \text{N}$$

- RN 1064165-60-1 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-(2-thiazolylmethy1)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me-C} = \text{C-CH}_2 \\ \text{O} \\ \text{N} \\ \text{S} \end{array}$$

RN 1064165-61-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-5-(1,2-benzisoxazol-3-ylmethyl)-3-(2-butyn-1-yl)-3,5-dihydro- (CA INDEX NAME)

RN 1064165-63-4 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2-butyn-1-y1)-3,5-dihydro-5-[2-(2-thieny1)ethy1]- (CA INDEX NAME)

RN 1064165-85-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-3-(3-methyl-2-buten-1-yl)-5-(2-quinazolinylmethyl)- (CA INDEX NAME)

RN 1064165-86-1 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3-(2E)-2-buten-1-yl-3,5-dihydro-5-(2-quinazolinylmethyl)- (CA INDEX NAME)

Double bond geometry as shown.

IT 705279-86-3P RL: PAG (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of novel substituted imidazopyridinones and imidazopyridazones as inhibitors of dipeptidylpeptidase IV)

RN 705279-86-3 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(3-amino-1-piperidiny1)-3,5-dihydro-3-(3methy1-2-buten-1-y1)-5-[(3-methy1-1-isoquinoliny1)methy1]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:467881 CAPLUS DOCUMENT NUMBER: 141:38631

TITLE: Imidazole derivative, process for producing the same,

INVENTOR(S): Kubo, Keiji; Kuroita, Takanobu; Imaeda, Yasuhiro;

Kawamura, Masaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| P | PATENT | NO. | | KIND DATE | | | | | ICAT | | | | | | | | | |
|--------|--------|-------|--------|-----------|------------------|-----|------|------|------|------|------|------|-----|-----|-----|------|-----|----|
| W | 0 200 | 40483 | 63 | | A1 | _ | 2004 | 0610 | | | | | | | 2 | 0031 | 120 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | GE, | |
| | | | | | | | IL, | | | | | | | | | | | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, | |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ΤJ, | TM, | TN, | |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw | | | | |
| | RW | : BW, | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | |
| | | BY, | KG, | ΚZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | |
| | | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | |
| | | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| C | A 250 | 7026 | | | A1 | | 2004 | 0610 | | CA 2 | 003- | 2507 | 026 | | 2 | 0031 | 120 | |
| A | U 200 | 32845 | 96 | | A1 | | 2004 | 0618 | | AU 2 | 003- | 2845 | 96 | | 2 | 0031 | 120 | |
| E | IP 156 | 4213 | | | A1 | | 2005 | 0817 | | EP 2 | 003- | 7740 | 86 | | 2 | 0031 | 120 | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | | |
| J | TP 200 | 41827 | 30 | | A | | 2004 | 0702 | | JP 2 | 003- | 3929 | 92 | | 2 | 0031 | 121 | |
| U | IS 200 | 70004 | 736 | | A1 | | 2007 | 0104 | | US 2 | 006- | 5352 | 68 | | 2 | 0060 | 519 | |
| PRIORI | TY API | PLN. | INFO | . : | | | | | | JP 2 | 002- | 3389 | 39 | | A 2 | 0021 | 122 | |
| | | | | | | | | | | WO 2 | 003- | JP14 | 793 | | W 2 | 0031 | 120 | |
| OTHER | SOURC | E(S): | | | MARPAT 141:38631 | | | | | | | | | | | | | |

$$A-W-S(0)_m-X-Y-N$$
 $A-Z_1-Z_2-Z_3-(-B)$

AB Imidazole derivs. represented by the formula (I) [wherein R = each optionally substituted cyclic hydrocarbon group or heterocyclic group; W = a bond, optionally substituted divalent chain hydrocarbon group; X = optionally substituted divalent hydrocarbon group; Y = CO, S(O), S(O)2, a bond; ring A = each optionally substituted pyrrolidine ring, piperidine ring, or perhydroazepine ring; Z1, Z3 = each independently a bond or optionally substituted divalent chain hydrocarbon group; 22 = N(R1), O, S(0), S(0)2, C0, CH(R1), a bond; ring B = an optionally substituted imidazole ring, provided that a substituent of the imidazole ring represented by B may be bonded to R1 to form an optionally substituted ring; m = 0, 1, 2] are prepared These imidazole derivs. are inhibitors of activated blood coagulation factor X (FXa) and useful as anticoagulants for the prevention and/or treatment of myocardial infarction, cerebral

infarction, deep venous thrombosis, pulmonary thromboembolism and embolism, obstructive arteriosclerosis, economy class syndromes, thromboembolism and embolism during or after surgery, or the second onset of deep venous thrombosis. Thus, 5-methyl-2-(4-piperidinyl)-1,2-dihydro-3H-midazo[1,5-c]|midazo[-3-ne was condensed with

3H-Imidazo[1,5-c]imidazo[-3-one was condensed with 3-[(6-chloro-2-naphthy])sulfonyl]propionic acid using HOBt, Et3N, and 1-ethyl-3-(3-dimethyl)aminopropyl)carbodiimide hydrochloride in CH2Cl2 to give 52% 2-[1-[3-[(6-chloro-2-naphthyl)sulfonyl]propanoyl]-4-piperidinyl]-5-methyl-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one (II). II showed IC50 of 5.6 nM for inhibiting FXa. Pharmaceutical formulations, e.g. a gelatine cansule containing II, were described.

701298-05-7P 701298-08-0P 701298-10-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

RN 701298-05-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthaleny1)sulfony1]-1-[4-(3,4-dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidiny1]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

● 2 HC1

RN 701298-08-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4,6,7,8,9-hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 701298-10-4 CAPLUS

CN 1-Propanone, 3-[(5-chloro-1H-indol-2-y1)sulfonyl]-1-[4-(3,4,6,7,8,9-hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-y1)-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- IT 701301-08-8P 701301-10-2P 701301-14-6P
 - 701301-16-8P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of imidazole derivs. as inhibitors of activated blood
- coagulation factor X and antithrombotics)
- RN 701301-08-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-(3,4-dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 701301-10-2 CAPLUS
- CN Pyrido[4',3':4,5]imidazo[1,2-a]pyridine, 1,2,3,4-tetrahydro-2-(4-piperidinyl)-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

- RN 701301-14-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-(3,4,6,7,8,9-hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-,1,1-dimethylethyl ester (CA INDEX NAME)

RN 701301-16-8 CAPLUS

CN Pyrido[4',3':4,5]imidazo[1,2-a]pyridine, 1,2,3,4,6,7,8,9-octahydro-2-(4-piperidiny1)-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

REFERENCE COUNT:

26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:292024 CAPLUS

DOCUMENT NUMBER: 140:303665

TITLE: Preparation of pyrazole amides for treating HIV

infections

INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, David Anthony; Selby, Matthew Duncan; Stupple, Paul Anthony

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | KIND DATE | | | | | | | | | DATE | | | |
|----------|-------|------|------|-----|-----------|-----|------|------|-----|------|-------------------------|------|-----|------|-----|-------|-----|
| | | | | | | | | | | | 2003- | | | | | 0030 | 915 |
| | | | | | | | | | | | , BG, | | | | | | |
| | | | | | | | | | | | EE, | | | | | | |
| | | | | | | | | | | | KG. | | | | | | |
| | | | | | | | | | | | MW, | | | | | | |
| | | | | | | | | | | | SK, | | | | | | |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | , TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | , CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | , NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | | | | | | | | | , GW, | | | | | | |
| CA | 2495 | 338 | | | A1 | | 2004 | 0408 | | CA : | 2003- | 2495 | 338 | | 2 | 0030 | 915 |
| AU | 2003 | 2634 | 55 | | A1 | | 2004 | 0419 | | AU 2 | 2003- | 2634 | 55 | | 2 | 0030' | 915 |
| BR | 2003 | 0147 | 59 | | A | | 2005 | 0726 | | BR : | 2003- 2003- | 1475 | 9 | | 2 | 0030 | 915 |
| EP | 1556 | 381 | | | A1 | | 2005 | 0727 | | EP 2 | 2003- | 7982 | 95 | | 2 | 0030 | 915 |
| EP | 1556 | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | | , IT, | | | | | | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | , TR, | BG, | CZ, | EE, | HU, | SK | |
| JP | 2006 | 5056 | 25 | | T | | 2006 | 0216 | | JP 2 | 2005- | 5019 | 39 | | 21 | 0030 | 915 |
| AT | 3867 | 41 | | | T | | 2008 | 0315 | | AT : | 2005- 2003- 2003- | 7982 | 95 | | 2 | 0030 | 915 |
| ES | 2298 | 615 | | | Т3 | | 2008 | 0516 | | ES : | 2003- | 7982 | 95 | | 2 | 0030 | 915 |
| | 2005 | | 129 | | AI | | | | | US A | 2003- | 6697 | 94 | | 2 | 0030 | 923 |
| | 7157 | | | | B2 | | 2007 | 0102 | | | 0005 | | | | | | |
| | | | | | А | | 2005 | 0428 | | MX . | 2005- | 2004 | - | | . 2 | 0050 | 518 |
| PRIORIT: | I APP | LN. | TNEO | . : | | | | | | | 2002- | | | | | | |
| | | | | | | | | | | | 2002- 2002- | | | | | | |
| | | | | | | | | | | | 2002- 2003- | | | | | | |
| | | | | | | | | | | WO . | 2003- | 1040 | / 1 | | n 2 | 0030 | 213 |

OTHER SOURCE(S): MARPAT 140:303665

GI

- AB The title compds. [I; WXY = (un)substituted 5-6 membered partially saturated or aromatic ring containing 0-3 N atoms wherein X = CH or N and Y = CH, or, when
 - X=CH, may also be N; R1 = a bond, alkylene, R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted Ph, naphthyl, pyridyl; n = 0-2] which bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof, were prepared and formulated. Thus reacting [4-(3,5-dichlorophenoxy)-3-methyl-IH-pyrazol-5-yl]acetic acid (preparation given) with 5,6,7,8-tetrahydro-[1,6]naphthyridine afforded II. The compds. I were tested for inhibition of HIV-I reverse transcriptase enzyme (data were given for representative compds. I). The compds. I are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodificiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS).
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of pyrazole amides for treating HIV infections) RN 676994-37-9 CAPLUS
- CN Ethanone, 2-[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]-1-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]ovridin-5-vl)- (CA INDEX NAME)

ΙT

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:41468 CAPLUS

DOCUMENT NUMBER: 140:94047

TITLE: Preparation of imidazopyridines as viral inhibitors INVENTOR(S): Neyts, Johan; Puerstinger, Gerhard; De Clercq, Erik

PATENT ASSIGNEE(S): K.U.Leuven Research & Development, Belg.; Gilead Sciences, Inc.

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT : | NO. | | | | | | | | APE | LICAT | ION | NO. | | DATE | | |
|----------|--|--------------|-----|-----|-----|-----|------|-------|---------------|-----|---|------|------------|-----|------------|------|-----|
| WO | | 0052 | 86 | | A2 | | 2004 | 0115 | | | 2003- | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BE | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | | | | | | | | | | C, EE, | | | | | | |
| | | | | | | | | | | | KG, | | | | | | |
| | | | | | | | | | | | I, MW, | | | | | | |
| | | | | | | | | | | | , SL, | ТJ, | TM, | TN, | TR, | TT, | TZ, |
| | | | | | | | | | | | 1, ZW | | | | | | |
| | RW: | | | | | | | | | | , TZ, | | | | | | |
| | | | | | | | | | | | , CH, | | | | | | |
| | | | | | | | | | | | , NL, | | | | | | |
| 0.3 | 0.101 | | | | | | | | | | 2, GW, | | | | | | |
| CA | 2491 | 243 | 1.0 | | AI | | 2004 | 0172 | | CA | 2003- | 2491 | 443 | | | 0030 | 703 |
| AU | CA 2491243 AU 2003243846 AU 2003243846 | | | | | | 2004 | 1120 | | AU | 2003- | 2438 | 40 | | | 0030 | /03 |
| AU FD | 1521 | 2430. 754 | 40 | | 7.2 | | 2005 | 0.413 | | FD | 2003- | 7623 | <i>c</i> 1 | | 2 | 0030 | 703 |
| | | | | | | | | | | | 2005- | | | | | | |
| | 14. | | | | | | | | | | , TR, | | | | | | |
| BR | 2003 | 0125 | 47 | 21, | A | , | 2005 | 0426 | 01, | BR | 2003- | 1254 | 7 | ш, | 2 | 0030 | 703 |
| CN | 1678 | 612 | | | A | | 2005 | 1005 | | CN | 2003- 2003- 2004- 2004- 2004- | 8201 | 42 | | 2 | 0030 | 703 |
| CN | 1328 | 279 | | | C | | 2007 | 0725 | | | | | | | | | |
| JP | 2005 | 5372 | 48 | | T | | 2005 | 1208 | | JP | 2004- | 5182 | 95 | | 2 | 0030 | 703 |
| NZ | 5374 | 73 | | | A | | 2007 | 0223 | | NZ | 2003- | 5374 | 73 | | 2 | 0030 | 703 |
| MX | 2004 | 0129 | 65 | | A | | 2005 | 0516 | | MX | 2004- | 1296 | 5 | | 2 | 0041 | 217 |
| NO | 2004 | 0057 | 31 | | A | | 2005 | 0317 | | NO | 2004- | 5731 | | | - 2 | 0041 | 230 |
| US | 2005 | 0239 | 821 | | A1 | | 2005 | 1027 | | US | 2004- | 5197 | 56 | | 2 | 0041 | 230 |
| HK | 1082 | 734 | | | A1 | | 2008 | 0118 | | HK | 2006- | 1019 | 65 | | 2 | 0060 | 215 |
| PRIORIT | PRIORITY APPLN. INFO.: | | | | | | | | | GB | 2002- | 1529 | 3 | | A 2 | 0020 | 703 |
| | | | | | | | | | | | 2003- | | | | | | |
| | | | | | | | | | WO 2003-BE117 | | | | | | W 20030703 | | |

OTHER SOURCE(S): MARPAT 140:94047

Ι

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AB

or prevention of viral infections comprising as an active principle at least one imidazo[4,5-c]pyridine I [Rl = H, (un)substituted aryl, heterocyclyl, cycloalkyl, cycloalkenyl; Y = a bond, 0, SOm, (un)substituted NH, etc.; R2, R4 = H, alkyl, alkenyl, alkoxy, halo, etc.; X = divalent (un)saturated (un)substituted hydrocarbon group optionally including one or more heteroatoms; m = 0-2; R3 = (un)substituted aryl, aryloxy, arylthio, etc.; R5 = H, alkyl, alkoxy, etc.; R6, R7 = H, alkyl, cycloalkyl, Ph, etc.]. The invention also relates to processes for the preparation of compds. I and their use as a medicine or to treat or prevent viral infections. Thus, treating 2-(2,6-difluorophenyl)-1(3)H-imidazo[4,5-c)pyridine (preparation given) with 50% NoOH in DMF followed by addition of 2,6-difluorophenyl bromide afforded 65% 2-(2,6-difluorophenyl)-5-(12,6-difluorophenyl)methyl)-5-H-imidazo[4,5-c)pyridine. The compds. I were tested for their anti-BVDV, anti-HCV, and anti-coxsackie activity (data given).

IT 645420-73-1P 645420-76-4P 645420-79-7P

645420-80-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridines as viral inhibitors)

RN 645420-73-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[(5-chloro-2-thienyl)methyl]-2-phenyl- (CA INDEX NAME)

$$\texttt{C1} \qquad \texttt{S} \qquad \texttt{CH}_2 \qquad \texttt{N} \qquad \overset{\texttt{Ph}}{\longrightarrow} \qquad \texttt{N}$$

RN 645420-76-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(4-pyridinylmethyl)- (CA INDEX NAME)

RN 645420-79-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 645420-80-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-phenyl-5-(3-pyridinylmethyl)- (CA INDEX NAME)

7

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:940967 CAPLUS

DOCUMENT NUMBER: 140:241867

TITLE: Formation equilibria of nickel complexes with glycyl-histidyl-lysine and two synthetic analogues

AUTHOR(S): Conato, Chiara; Kozlowski, Henryk; Swiatek-Kozlowska, Jolanta; Mlynarz, Piotr; Remelli, Maurizio; Silvestri,

Sergio

CORPORATE SOURCE: Department of Chemistry, University of Ferrara,

Ferrara, I-44100, Italy

SOURCE: Journal of Inorganic Biochemistry (2004), 98(1), 153-160

CODEN: JIBIDJ; ISSN: 0162-0134

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: Journal Language: English

AB Complex-formation equilibrium between the Ni(II) ion and the natural tripeptide glycyl-l-histidyl-L-lysine have been investigated. Two synthetic analogs,

where the histidine residue has been substituted with L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid ((L-Spinacine) and L-1,2,3,4-tetrahydroisoguinoline-3-carboxylic acid

(Tic), resp., have been considered, as well. Different exptl. techniques have been employed: potentiometry, calorimetry, visible spectrophotometry and CD spectroscopy. Structural hypotheses on the main complex species are suggested. Evidences on the formation of tetrameric species with the first ligand are shown. No involvement of the side-chain amino group of

lysine residue in metal ion coordination was found.

667914-81-0

RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)

(thermodn. of nickel(2+) complexation with glycyl-histidyl-lysine and its synthetic analogs)

RN 667914-81-0 CAPLUS

CN Nickel, bis[N2-[[(6S)-5-[(amino-κN)acetv1-κ0]-4,5,6,7-

tetrahydro-lH-imidazo[4,5-c]pyridin-6-yl]carbonyl]-L-lysinato]diaqua-, (OC-6-12)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:931364 CAPLUS

DOCUMENT NUMBER: 139:395937
TITLE: Preparation of imidazole derivatives as GABAA receptor agonists for treatment of human central nervous system

disorders

INVENTOR(S): Maynard, George D.; Yuan, Jun; Luke, George P.;

Currie, Kevin

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patient

LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | | | | | KIND DATE A1 20031127 | | | | | APPLICATION NO. | | | | | | |
|------|----|------|------|------|-----|-----|-----|------|------------------------------|-----|------|----------------|------|-----------------|-----|-----|-------|-----|--|--|
| | | | | | | | | | | | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | | |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC | EE, | ES, | FI, | GB, | GD, | GE, | GH, | | |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | | |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | | |
| | | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | | |
| | | | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | . ZW | | | | | | | | |
| | | RW: | GH, | GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | | |
| | | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑT, | ΒE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | | |
| | | | ΓI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC. | NL, | PT, | RO, | SE, | SI, | SK, | TR, | | |
| | | | | | | | | | | | | . GW, | | | | | | | | |
| (| CA | 2486 | 339 | | | A1 | | 2003 | 1127 | | CA 2 | 2003- | 2486 | 339 | | 2 | 0030 | 515 | | |
| | | | | | | | | | | | | 2003- | | | | | | | | |
| | | | | | | | | | | | US 2 | 2003- | 4384 | 96 | | 2 | 0030 | 515 | | |
| | | 6916 | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | EP 2 | 2003- | 7366 | 38 | | 2 | 0030 | 515 | | |
| E | ΞP | 1506 | 194 | | | B1 | | 2006 | 0719 | | | | | | | | | | | |
| | | R: | | | | | | | | | | IT, | | | | | | PT, | | |
| | | | | | | | | | | | | TR, | | | | | | | | |
| | JΡ | 2006 | 5029 | 74 | | T | | 2006 | 0126 | | JP : | 2004- | 5053 | 75 | | 2 | 0030. | 515 | | |
| I | AΤ | 3334 | 55 | | | T | | 2006 | 0815 | | AT 2 | 2003- | 7366 | 38 | | 2 | 0030 | 515 | | |
| E | ΞS | 2273 | 800 | | | Т3 | | 2007 | 0501 | | ES 2 | 2003- 2003- | 7366 | 38 | | 2 | 0030 | 515 | | |
| RIOR | IΤ | APP | LN. | INFO | . : | | | | | | US 2 | 2002- | 3813 | 02P | 1 | P 2 | 0020 | 517 | | |
| | | | | | | | | | | | | 2003- | US15 | 578 | 1 | 7 2 | 0030 | 515 | | |
| munn | | | | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 139:395937

AB The title compds. I [wherein R1 = (un)substituted aryl or heteroaryl; R2 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkylalkyl; A and B = independently (un)substituted CH2 or NH3 or pharmaceutically acceptable salts thereof are prepared as GABAA receptor agonists, and are useful for the treatment of central nervous system (CNS) disorders (no data). For example, the compound II was prepared in a multi-step synthesis.

Some of compds. I showed Ki of <10 nM against GABAA receptor in rat.

627077-97-8P 627077-98-9P 627077-99-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazole derivs. as GABAA receptor agonists for treatment of human central nervous system disorders)

RN 627077-97-8 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 3-ethyl-4,5,6,7-tetrahydro-5-(3-nitro-2-pyridinyl)-2-[[2-(2-thiazolyl)-1H-imidazol-1-yl]methyl]- (CA INDEX NAME)

RN 627077-98-9 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[1-ethyl-2-[[2-(6-fluoro-2-pyridinyl)-1H-imidazol-1-yl]methyl]-1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl]-(CA INDEX NAME)

RN 627077-99-0 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[1-ethyl-1,4,6,7-tetrahydro-2-[[2-(2-thiazolyl)-1H-imidazol-1-yl]methyl]-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

IT 627078-04-0P 627078-05-1P 627078-06-2P

627078-07-3P 627078-08-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of imidazole derivs. as GABAA receptor agonists for treatment of human central nervous system disorders) $\,$

- RN 627078-04-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-(3-nitro-2-pyridiny1)(CA INDEX NAME)

- RN 627078-05-1 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine, 1-ethyl-4,5,6,7-tetrahydro-5-(3-nitro-2-pyridinyl)- (CA INDEX NAME)

- RN 627078-06-2 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 3-ethyl-4,5,6,7-tetrahydro-5-(3-nitro-2pyridinyl)- (CA INDEX NAME)

- RN 627078-07-3 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine-2-methanol, 1-ethyl-4,5,6,7-tetrahydro-5-(3-nitro-2-pyridinyl)- (CA INDEX NAME)

- RN 627078-08-4 CAPLUS
- CN 3-Pyridinecarbonitrile, 2-[1-ethyl-1,4,6,7-tetrahydro-2-(hydroxymethyl)-5Himidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:757715 CAPLUS

DOCUMENT NUMBER: 139:261088

TITLE: Preparation of broad-spectrum cephem compounds

INVENTOR(S): Nishitani, Yasuhiro; Yamano, Yoshinori

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan SOURCE: PCT Int. Appl., 209 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | TENT : | NO. | | | KIN | D | DATE | | | API | LIC | CAT: | ION I | NO. | | Ι | DATE | |
|----------|----------------|------|------|-----|------|-----|------|------|------|-----|------|------|-------|-----|-----|-----|-------|-----|
| WO | 2003 | 0784 | 40 | | A1 | | 2003 | 0925 | | WO | 200 | 03- | JP32 | 49 | | 2 | 0030 | 318 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BE | 3, 1 | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC |), I | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | K | Ε, Ι | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MV | ī, 1 | MX, | ΜZ, | NI, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SI | ۲, ۱ | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZI | 1, 3 | ZW | | | | | | |
| | RW: | | | | | | | | | | | | | | | | AZ, | |
| | | KG, | ΚZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BO | 3, 0 | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC | ١, ١ | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | | | | | | | | | | | | | | | TD, | |
| | 2479 | | | | | | | | | | | | | | | | | |
| | 2003 | | | | | | | | | | | | | | | | | |
| EP | 1489 | | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | | | | | | | | MC, | |
| | | | | | | | | | | | | | | | | | SK | |
| | 2003 | | | | | | | | | | | | | | | | | |
| CN | 1653 2004 | 072 | | | A | | 2005 | 0810 | | CN | 200 | 03-8 | 3109 | 69 | | 2 | 20030 | 318 |
| | | | | | | | | | | | | | | | | | | |
| | 2005 | | | | | | | | | US | 200 | 04- | 5075 | 02 | | - 2 | 20040 | 913 |
| | 7384 | | | | B2 | | 2008 | 0610 | | | | | | | | | | |
| PRIORIT: | Y APP | LN. | INFO | . : | | | | | | | | | | | | | 0020 | 318 |
| | | | | | | | | | | WO | 200 | 03- | JP32 | 49 | | W 2 | 0030 | 318 |
| OTHER SO | IER SOURCE(S): | | | | MARI | PAT | 139: | 2610 | 1088 | | | | | | | | | |

AB Cephem compds. I (T is S, SO, or O; X is halogeno, CN, carbamoyl which may be substituted with lower alkyl, lower alkyl, lower alkoxy, or lower alkylthio; A is substituted lower alkylene (wherein the substituent is optionally substituted mono-lower alkyl, optionally substituted lower alkylidene, or optionally substituted lower alkylene); and Z+ is an

Ι

optionally substituted nitrogenous heterocyclic group having a cationic group), their ester, protected 7-aminothiazole, or pharmaceutically acceptable salts or solvates, are prepared I [X = Me, A = Me2C, T = S, Z = 1-(3-methylaminopropyl)-1H-imidazole,5-blpyridinium-4-yl-] was prepared and showed antibacterial activities superior to that of ceftzaidime.

IT 603999-83-3P 603999-95-7P 604000-13-7P

604000-15-9P 604000-23-9P 604000-44-4P

604000-46-6P 604000-50-2P 604000-52-4P 604000-54-6P 604000-56-8P 604000-62-6P

604000-34-0F 604000-36-0F 60400

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of broad-spectrum cephem compds.)

RN 603999-83-3 CAPLUS

CN

1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 603999-95-7 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(1S)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(1S)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-3-(3-aminopropy1)-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 604000-15-9 CAPLUS
- CN IH-Imidazo(4,5-c)pyridinium, 5-[(6R,7R)-7-[((2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[([15]-1-carboxyethoxy] jamino] acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo(4.2.0]oct-2-en-3-yl]methyl]-1-(3-aminopropyl)-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

- NH 2

RN 604000-23-9 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 2-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-

chloro-4-thiazoly1)-2-[[(18)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 604000-44-4 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 2-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(18)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 604000-46-6 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(18)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2-(methylamino)-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 604000-50-2 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(15)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2-(dimethylamino)-, inner salt (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

- RN 604000-52-4 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 2-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-[2-(methylamino)ethyl]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

NHMe

RN 604000-54-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(18)-1-carboxyethoxy]imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2-[(2-aminoethy1)methy1amino]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

- RN 604000-56-8 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-[[2-(methylamino)ethyl]amino]-, inner salt (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

NHMe

- RN 604000-62-6 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridinium, 2-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-3-methyl-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 604000-84-2 CAPLUS
- $\begin{array}{lll} \text{CN} & 1 \\ \text{H-Imidazo}(4,5-c) \\ \text{pyridinium,} & 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazoly1)-2-[[(1S)-1-carboxyethoxy]imino]acety1] \\ \text{amino}]-2-carboxy-8-oxo-5-thiazoly1) \\ \end{array}$

thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-[(Z)[(dimethylamino)methylene]amino]-1-[2-(methylamino)ethyl]-, inner salt
(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

NHMe

RN 604001-10-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-[[(18)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxye-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-1-[2-(methylamino)ethyl]-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

NHMe

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:570644 CAPLUS

DOCUMENT NUMBER: 139:133575

TITLE: Preparation of bicyclic pyrimidinyl derivatives as

adenosine receptor ligands

INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan

PATENT ASSIGNEE(S): OSI Pharmaceuticals Inc., USA SOURCE: U.S. Pat. Appl. Publ., 105 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| | | | | |
| US 20030139427 | A1 | 20030724 | US 2002-227378 | 20020823 |
| PRIORITY APPLN. INFO.: | | | US 2002-227378 | 20020823 |
| OTHER SOURCE(S): | MARPAT | 139:133575 | | |
| GI | | | | |

R² R¹

R4

AB Title compds. I [Y = N, CR5 and X = N, CR6 wherein X, Y are both N or when Y = CR5, X = N or when X = CR6, Y = N, R1-2 = H, alkoxy, aminoalkyl, etc; R3-4 = H, alkyl, aryl, alkylaryl) are prepared For instance, 3-amino-4-carbamoylpyrazole is acylated with benzoyl chloride (Pyridine, 50°, 5-6 h), cyclized to the corresponding pyrazolopyrimidine (water, K2CO3, 100°, 16 h), converted to the chloride (POC13, 106°, 2 h) and used for reactions with various amines to give the example compds, e.g., II. II has Ki = 76.7 nM for the adenosine Al receptor, Ki = 242.7 nM for A2a and Ki = 1480.5 nM for A2b. I are useful for the treatment of.

T 565236-32-0P 565236-33-1P 565236-34-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic pyrazolo- imidazo- and triazolopyrimidinyl derivs. as adenosine receptor ligands)

ΙI

RN 565236-32-0 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidine,

5-phenyl-7-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 565236-33-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 6-phenyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 565236-34-2 CAPLUS

CN 9H-Purine, 2-phenyl-6-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-(CA INDEX NAME)

L3 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:454286 CAPLUS

DOCUMENT NUMBER: 139:36534

TITLE: Preparation of arylpyrrolopyrimidines as adenosine Al

and A3 receptor inhibitors

INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Werner,

Douglas S.; Witter, David
PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA' | TENT : | NO. | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|---------|------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|----------------------|---------------------------------|--------------------------|-------------------|-------------------|-------------------|-------------------|-------------------|
| | 2003 2003 | | | | | | 2003 2003 | | | WO : | 2002- | US38 | 055 | | | 200211 | |
| | W: | CO, GM, LS, PL, | CR, HR, LT, PT, | CU, HU, LU, RO, | CZ, ID, LV, RU, | DE, IL, MA, SC, | DK, IN, MD, SD, | DM, IS, MG, SE, | DZ, JP, MK, SG, | EC KE MN SI | BG, EE, KG, MW, SK, | ES, KP, MX, SL, | FI, KR, MZ, | GB, KZ, NO, | GD, LC, NZ, | GE, LK, OM, | GH, LR, PH, |
| | RW: | GH, KG, FI, CG, | GM, KZ, FR, CI, | KE, MD, GB, CM, | LS, RU, GR, GA, | MW, TJ, IE, GN, | MZ, TM, IT, GQ, | SD, AT, LU, GW, | SL, BE, MC, ML, | SZ BG NL MR | TZ, CH, PT, NE, | UG, CY, SE, SN, | CZ, SK, TD, | DE, TR, TG | DK, BF, | EE, BJ, | ES, CF, |
| | 2468 | | | | A1 | | | | | | 2002- | | | | | | |
| | | | | | | | | | | | 2002-: 2002-: | | | | | | |
| LP | | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | | |
| TD | 2005 | | | | | | | | | | TR, 2003- | | | | | 0021 | 107 |
| | | | | | | | | | | | 2003- | | | | | 0021 | |
| PRIORIT | PRIORITY APPLN. INFO.: | | | | | | US 2001-335273P | | | | | | | | 130 | | |
| | | | | | | | | | | | 2001-: 2002- | | | | | 0011 | |

OTHER SOURCE(S): MARPAT 139:36534

AB Arylpyrrolopyrimidines I [m = 0-3; R = halogen, alkyl, alkoxy, OH, NH2, alkylamino; Rl = H, (un)substituted alkyl, aryl, aralkyl; R2 = (un)substituted imidazole, pyrazole, attached through C] which specifically inhibit the adenosine Al and A3 receptors were prepared Thus, 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine was treated with histamine to give the 4-[2-(1H-imidazol-2-yl)ethyl]amino analog which had A3

inhibiting activity $\geq\!10$ times greater than that of reference compds.

IT 541503-89-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrrolopyrimidines as adenosine A1 and A3 receptor inhibitors)

RN 541503-89-3 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 3a,4,5,6,7,7a-hexahydro-5-(2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-vl)- (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 49 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:319721 CAPLUS

DOCUMENT NUMBER: 138:321292

TITLE: Preparation of 2,4,5-trisubstituted pyrimidines as

cyclin dependent kinase inhibitors

INVENTOR(S): Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter,

Martin; Schoop, Andreas; Steurer, Steffen; Spevak,

Walter Boehringer Ingelheim Pharma K.-G., Germany; Boehringer PATENT ASSIGNEE(S):

Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim

International G.m.b.H. PCT Int. Appl., 278 pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE . German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | | | | | APPLICATION NO. | | | | | | | | |
|---------|------|-------|------|-----|-----|-----|------|------|-----------------|------|--------|------|-----|-----|------|------|-----|
| | | | | | | | | | | | 2002-1 | | | | | 0021 | 014 |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | SK, | TR, | BF, | ВJ, | CF, |
| | | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| | | | | | | | | | | | 2002- | | | | | | |
| | | | | | | | | | | | 2002- | | | | | | |
| | | | | | | | | | | EP 2 | 2002- | 7747 | 10 | | 2 | 0021 | 014 |
| EP | 1438 | 053 | | | B1 | | 2008 | 0910 | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | | | | | | | TR, | | | | | | |
| | | 5096: | 24 | | T | | 2005 | 0414 | | JP 2 | 2003- | 5358 | 00 | | 2 | 0021 | 014 |
| | 4076 | 78 | | | T | | 2008 | 0915 | | AT 2 | 2002- | 7747 | 10 | | 2 | 0021 | 014 |
| | | | | | | | | | | US 2 | 2002- | 2717 | 63 | | 2 | 0021 | 016 |
| | 7173 | | | | | | | | | | | | | | | | |
| | | | | | A1 | | 2006 | 0511 | | | 2005- | | | | | | |
| RIORITY | APP: | LN. | INFO | . : | | | | | | | 2001- | | | | | | |
| | | | | | | | | | | | 2002-1 | | | | | 0021 | |
| | | | | | | | | | | US 2 | 2002- | 2717 | 63 | | A3 2 | 0021 | 016 |

OTHER SOURCE(S): MARPAT 138:321292

GΙ

AB Title compds. I [R1 = H, alkyl; R2 = (un)substituted alkyl; R3 = H, alkyl; R4 = (un) substituted alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepared For example, condensation of thiocyanatopyrimide II, e.g., prepared from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation. 514830-63-8P, 2-(4-Chlorophenylamino)-4-(1,4,6,7tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-trifluoromethylpyrimidine 514830-64-9P, 2-(3-Chlorophenylamino)-4-(1,4,6,7tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-trifluoromethylpyrimidine 514830-65-0P 514830-69-4P, 2-(4-Chlorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-v1)-5nitropyrimidine 514830-73-0P. 2-(3,5-Dichlorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5yl)-5-trifluoromethylpyrimidine 514830-74-1P, 2-(3-Chloro-4-fluorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5c]pyridin-5-yl)-5-nitropyrimidine 514830-76-3P, 2-(4-Carboxyphenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-trifluoromethylpyrimidine 514830-78-5P, 2-(4-Bromophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-v1)-5trifluoromethylpyrimidine 514830-81-0P, 2-(3-Aminocarbonylphenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-nitropyrimidine 514830-82-1P, 2-[(4-(2-Carboxyethyl)phenyl)amino]-4-(1,4,6,7-tetrahydroimidazo[4,5-

2-((4-Phenylaminocarbonylphenyl)amino)-4-(1,4,6,7-tetrahydroimidazo[4,5-

2-(4-Nitrophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-

2-(4-Carboxyphenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-y1)-

2-(4-Cyanophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-

2-(3-Bromophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-

clpvridin-5-v1)-5-trifluoromethylpvrimidine 514830-85-4P,

c]pyridin-5-yl)-5-nitropyrimidine 514830-86-5P,

nitropyrimidine 514830-88-7P,

nitropyrimidine 514830-97-8P,

5-nitropyrimidine 514830-91-2P,

```
trifluoromethylpyrimidine 514831-19-7P,
2-(3,4-Dichlorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-
v1)-5-trifluoromethylpyrimidine 514831-57-3P,
2-(3-Cyanophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
nitropyrimidine 514831-72-2P.
2-[(4-(2-Carboxyethyl)phenyl)amino]-4-(1,4,6,7-tetrahydroimidazo[4,5-
c]pyridin-5-yl)-5-nitropyrimidine 514831-73-3P,
2-(4-Amino-3,5-dichlorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-
c|pvridin-5-vl)-5-nitropvrimidine 514831-74-4P,
2-(4-Aminocarbonylphenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-
5-v1)-5-nitropyrimidine 514831-76-6P.
2-(4-Bromophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
nitropyrimidine 514831-77-7P,
2-Phenylamino-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
trifluoromethylpyrimidine 514831-78-8P,
2-(3-Bromophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
nitropyrimidine 514831-81-3P,
2-(3-Nitrophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
nitropyrimidine 514831-84-6P,
2-(4-Fluorophenylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
nitropyrimidine 514832-22-5P,
2-(2-Naphthylamino)-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-
trifluoromethylpyrimidine 514837-05-9P 514837-74-2P,
N, N-Dimethy1-4-[4-(3,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-y1)-5-
trifluoromethylpyrimidine-2-ylamino]phenylsulfonamide 514839-83-9P
, [5-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)pyrimidin-2-
vl](1H-indazol-6-vl)amine 514839-86-2P,
4-[5-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)pyrimidin-2-
ylamino]-N, N-dimethylphenylsulfonamide 514839-90-8P,
(1H-Indazo1-6-y1)-[5-methy1-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-
yl)pyrimidin-2-yl]amine 514839-92-0P,
[5-Methoxy-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)pyrimidin-2-
v1](4-piperidin-1-vlmethylphenyl)amine 514839-93-1P,
(3,4-Dichlorophenyl)-[5-methoxy-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-
5-y1)pyrimidin-2-y1]amine 514839-97-5P,
[5-Bromo-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)pyrimidin-2-
yl](1H-indazol-6-yl)amine 514839-99-7P,
N, N-Dimethyl-4-[5-methyl-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-
yl)pyrimidin-2-ylamino]phenylsulfonamide 514840-02-9P
514840-03-0P, [5-Isopropy1-4-(1,4,6,7-tetrahydroimidazo[4,5-
c]pyridin-5-yl)pyrimidin-2-yl](4-piperidin-1-ylmethylphenyl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of trisubstituted pyrimidines as cyclin
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(drug candidate; preparation of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514830-63-8 CAPLUS

CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514830-64-9 CAPLUS

CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514830-65-0 CAPLUS

CN 2-Pyrimidinamine, N-[4-(1-piperidinylmethyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514830-69-4 CAPLUS

CN 2-Pyrimidinamine, N-(4-chloropheny1)-5-nitro-4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

RN 514830-73-0 CAPLUS

CN 2-Pyrimidinamine, N-(3,5-dichlorophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514830-74-1 CAPLUS

CN 2-Pyrimidinamine, N-(3-chloro-4-fluorophenyl)-5-nitro-4-(3,4,6,7tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 514830-76-3 CAPLUS
- CN Benzoic acid, 4-[[4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-5-(trifluoromethyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 514830-78-5 CAPLUS
- CN 2-Pyrimidinamine, N-(4-bromophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

- RN 514830-81-0 CAPLUS
- CN Benzamide, 3-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 514830-82-1 CAPLUS
- CN Benzenepropanoic acid, 4-[[4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN

CN Benzamide, 4-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidiny1]amino]-N-pheny1- (CA INDEX NAME)

RN 514830-86-5 CAPLUS

CN 2-Pyrimiddinamine, 5-nitro-N-(4-nitrophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514830-88-7 CAPLUS

CN Benzoic acid, 4-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 514830-91-2 CAPLUS

CN Benzonitrile, 4-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 514830-97-8 CAPLUS

CN 2-Pyrimidinamine, N-(3-bromophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514831-19-7 CAPLUS

CN 2-Pyrimidinamine, N-(3,4-dichlorophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

- RN 514831-57-3 CAPLUS
- CN Benzonitrile, 3-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 514831-72-2 CAPLUS
- CN Benzenepropanoic acid, 4-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 514831-73-3 CAPLUS
- CN 1,4-Benzenediamine, 2,6-dichloro-N4-[5-nitro-4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-v1)-2-pyrimidinyl]- (CA INDEX NAME)

- RN 514831-74-4 CAPLUS
- CN Benzamide, 4-[[5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 514831-76-6 CAPLUS
- CN 2-Pyrimidinamine, N-(4-bromopheny1)-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- RN 514831-77-7 CAPLUS
- CN 2-Pyrimidinamine, N-pheny1-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-5-(trifluoromethy1)- (CA INDEX NAME)

- RN 514831-78-8 CAPLUS
- CN 2-Pyrimidinamine, N-(3-bromopheny1)-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME)

- RN 514831-81-3 CAPLUS
- CN 2-Pyrimidinamine, 5-nitro-N-(3-nitrophenyl)-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514831-84-6 CAPLUS

CN 2-Pyrimidinamine, N-(4-fluorophenyl)-5-nitro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514832-22-5 CAPLUS

CN 2-Pyrimidinamine, N-2-naphthalenyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514837-05-9 CAPLUS

CN 2-Pyrimidinamine, N-[4-[(1,2,4,5-tetrahydro-3H-3-benzazepin-3-y1)methyl]phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 514837-74-2 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-5-(trifluoromethyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 514839-83-9 CAPLUS

CN 1H-Indazol-6-amine, N-[5-chloro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 514839-86-2 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-2-pyrimidiny1]amino]-N,N-dimethy1- (CA INDEX NAME)

RN 514839-90-8 CAPLUS

CN 1H-Indazol-6-amine, N-[5-methyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 514839-92-0 CAPLUS

CN 2-Pyrimidinamine, 5-methoxy-N-[4-(1-piperidinylmethyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514839-93-1 CAPLUS

CN 2-Pyrimidinamine, N-(3,4-dichlorophenyl)-5-methoxy-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514839-97-5 CAPLUS

CN 1H-Indazo1-6-amine, N-[5-bromo-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 514839-99-7 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[5-methyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 514840-02-9 CAPLUS

CN 2,5-Pyrimidinediamine, N5,N5-dimethyl-N2-[4-(1-piperidinylmethyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514840-03-0 CAPLUS

CN 2-Pyrimidinamine, 5-(1-methylethyl)-N-[4-(1-piperidinylmethyl)phenyl]-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

- IT 514842-53-6P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-chioropyrimidine 514842-74-1P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-methoxypyrimidine 514842-77-4P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-bromopyrimidine 514842-79-6P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-dimethylaminopyrimidine 514842-80-9P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-isopropylpyrimidine 514842-44-8P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-methylpyrimidine 514843-44-8P, 2-Chloro-4-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-5-methylpyrimidine 614845-44-8P, 2-Chloro-4-(1,4,6
 - (Reactant of reagent) (intermediate; preparation of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)
- RN 514842-53-6 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 5-(2,5-dichloro-4-pyrimidiny1)-4,5,6,7tetrahydro- (CA INDEX NAME)

- RN 514842-74-1 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 5-(2-chloro-5-methoxy-4-pyrimidinyl)-4,5,6,7tetrahydro- (CA INDEX NAME)

- RN 514842-77-4 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 5-(5-bromo-2-chloro-4-pyrimidiny1)-4,5,6,7tetrahydro- (CA INDEX NAME)

RN 514842-79-6 CAPLUS

CN 5-Pyrimidinamine, 2-chloro-N, N-dimethyl-4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 514842-80-9 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 5-[2-chloro-5-(1-methylethyl)-4-pyrimidinyl]-4,5,6,7-tetrahydro- (CA INDEX NAME)

RN 514843-44-8 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine, 5-(2-chloro-5-methyl-4-pyrimidinyl)-4,5,6,7tetrahydro- (CA INDEX NAME)

L3 ANSWER 50 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:238711 CAPLUS

DOCUMENT NUMBER: 138:395424

TITLE: Design and Structure-Activity Relationships of

2-Alkyl-3-aminomethyl-6-(3-methoxyphenyl)-7-methyl-8-(2-fluorobenzyl)imidazolo[1,2-a]pyrimid-5-ones as

Potent GnRH Receptor Antagonists

AUTHOR(S): Zhu, Yun-Fei; Guo, Zhiqiang; Gross, Timothy D.; Gao, Yinghong; Connors, Patrick J., Jr.; Struthers, R.

Scott; Xie, Qiu; Tucci, Fabio C.; Reinhart, Greg J.;

Wu, Dongpei; Saunders, John; Chen, Chen
CORPORATE SOURCE: Department of Medicinal Chemistry and Department of

Exploratory Discovery, Neurocrine Biosciences Inc.,

San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemi

SOURCE: Journal of Medicinal Chemistry (2003), 46(9),

1769-1772

CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society

DOCUMENT TYPE: American

LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:395424

AB SAR studies of 7-phenylpyrrolo[1,2-a]pyrimid-4-ones and

2-phenylimidazolo[1,2-alpyrimidnes as nonpeptide human GnRH receptor antagonists, lead us to believe that the aromatic ring at position-2 of the pyrimidines is no longer crucial for the binding once an aryl group is incorporated at position-6. We report here the use of a 2-alkyl group on the imidazolo[1,2-alpyrimidone core to generate potent GnRH receptor antagonists. This discovery enabled us to obtain smaller but equally potent GnRH receptor antagonists.

T 528859-54-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationships of imidazolopyrimidones as GnRH receptor antagonists)

RN 528859-54-3 CAPLUS

CN Pyrido[4',3':4,5]imidazo[1,2-a]pyrimidin-4(1H)-one,

1-[(2-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-(3-methoxyphenyl)-2,9,9-trimethyl-7-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:154378 CAPLUS

DOCUMENT NUMBER: 138:205082

TITLE: Preparation of bicyclic hydroxamates as inhibitors of

matrix metalloproteinases and/or TNF-α converting enzyme (TACE) for treating inflammatory

disorders

INVENTOR(S): Sheppeck, James; Duan, Jingwu

PATENT ASSIGNEE(S): Bristol-Mvers Squibb Company Patent Department, USA

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA | PATENT NO. | | | | | | DATE | | | APPL | ICAT | ION : | DATE | | | | |
|------------------------|-------------|-------------|-----|-----|-----|-------------|------|------|-----|------|------|-------|----------|----------|-----|------|-----|
| | | | | | | | | | | | | | | | | | |
| WO | 2003 | 2003016248 | | | | A2 20030227 | | | | WO 2 | 002- | US26 | 20020815 | | | | |
| WO | 2003 | A3 20031023 | | | | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | LS, LT, LU, | | | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM. | PH, | |
| | | PL, PT, RO, | | | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, UG, UZ, | | | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SK, | TR, | BF, | ВJ, | CF, |
| | | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| AU | 2002 | 3247 | 16 | | A1 | | 2003 | 0303 | | AU 2 | 002- | 3247 | 16 | | 2 | 0020 | 815 |
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| US | 6770 | 647 | | | B2 | | 2004 | 0803 | | | | | | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | | | US 2 | 001- | 3130 | 52P | | P 2 | 0010 | 817 |
| | | | | | | | | | | WO 2 | 002- | US26 | 018 | | W 2 | 0020 | 815 |
| OTHER SOURCE(S): GI | | | | | MAR | PAT | 138: | 2050 | | _ | _ | | | | _ | | - |

AB The title compds. [I; A = CONHOH, CONHORS, CONHORS, N(OH)CORS, N(OH)CHO, CH2SH; ring B, including B1 and B2, = (un)substituted 5-7 membered heterocyclic ring; B1, B2 consist of 0-3 carbon atoms and 0-1 heteroatoms

II

selected from O, N, and SOp and are substituted with 0-1 carbonyl groups; ring C = (un)substituted 5-10 membered aromatic ring consisting of 1-9 carbon atoms and 0-4 heteroatoms selected from O, N, and SOp; Rl = $\{4-\{(2-\text{methyl}-4-\text{quinolinyl})\text{methoxylphenyl}\}\text{sulfonyl}, \text{ etc.}; R5 = \{4-\{(2-\text{methyl}-4-\text{quinolinyl})\text{methoxylphenyl}\}\text{sulfonyl}, \text{ etc.}; R5 = \{\text{un}\}\text{substituted alkyl}; R6 = Ph, naphthyl, cycloalkyl, etc.}], useful as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof, were prepared and formulated E.g., a 5-step synthesis of II as bis-TPA salt, starting from 2,3-dimethylpyrazine, was given. A number of compds. I were found to exhibit Ki's of $10 uM in MMP assavs.$

IT 1064661-27-3 1064661-29-5

RL: PRPH (Prophetic) (Preparation of bicyclic hydroxamates as inhibitors of matrix metalloproteinases and/or TNF- α converting enzyme (TACE) for treating inflammatory disorders)

RN 1064661-27-3 CAPLUS

CN

CN

3H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[[1,2,3,4-tetrahydro-6-[(2-methyl-4quinolinyl)methyl]-1-isoquinolinyl]carbonyl]- (CA INDEX NAME)

RN 1064661-29-5 CAPLUS

3H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[[1,2,3,4-tetrahydro-6-[(2-methyl-4quinolinyl)methoxy]-1-isoquinolinyl]carbonyl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:641082 CAPLUS

DOCUMENT NUMBER: 138:170028

TITLE: Tetrahydrothienopyridylbutyltetrahydrobenzindoles: new

selective ligands of the 5-HT7 receptor

Kikuchi, Chika; Hiranuma, Toyokazu; Koyama, Masao AUTHOR(S): Pharmaceutical Research Center, Meiji Seika Kaisha, CORPORATE SOURCE:

Kohoku-ku, Yokohama, 222-8567, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),

12(18), 2549-2552

CODEN: BMCLE8; ISSN: 0960-894X Elsevier Science Ltd.

PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:170028

The synthesis and the affinity for the 5-HT7 receptor and other receptors AR of a novel series of fused-ring tetrahydropyridine derivs. are described. Some of the compds. showed high affinity for the 5-HT7 receptor.

Tetrahydrothienopyridylbutyltetrahydrobenzindoles are potent ligands for the 5-HT7 receptor, with high selectivity over the 5-HT2 receptor and other receptors. These compds. should be useful tools for clarifying the biol, role of the 5-HT7 receptor.

230301-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of tetrahydrothienopyridylbutyltetrahydrobenzindolesand related compds. as selective ligands for the 5-HT7 receptor)

230301-50-5 CAPLUS RN

CN Benz[cd]indol-2(1H)-one, 2a,3,4,5-tetrahydro-2a-[4-(3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)butyl]- (CA INDEX NAME)

REFERENCE COUNT:

31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 53 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:521731 CAPLUS

DOCUMENT NUMBER: 137:78966

TITLE: Preparation of substituted 3H-quinazolin-4-ones and 2H-benzo[1,2,4]thiadiazine-1,1-dioxides as alpha 1A/B

adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain Becker, Cyrus Kephra; Caroon, Jon Marie; Melville,

Chris Richard; Padilla, Fernando; Pfister, Juerg Roland; Zhang, Xiaoming

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

INVENTOR(S):

| PA | TENT 1 | | | | | | | APPLICATION NO. | | | | | | | | | | | |
|---------|-------------------------|------------|------|-----|-------------|-----------------------------|------|-----------------|---|-------------------|-------|----------|---------------|-------|----------|----------|-----|--|--|
| MO | | | | | A1 2002 | | | | | | | | | | | 20011 | 217 | | |
| WO | | | | | | | | | | A, BB, BG, BR, B) | | | | | | | | | |
| | w • | CO, CR, CU | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | , KR, | | | | | | |
| | | | | | | | | | | | | | , MZ, | | | | | | |
| | | | | | | | | | | | | | | | | | | | |
| | | | | | | SD, SE, SG, SI, S ZA, ZW | | | | O. | , 10 | , 111 | , 111, | , | 14 | , оп, | 00, | | |
| | RW: | | | | | | MZ. | SD. | SI | S | 7. T2 | . UG | , ZM, | 7.W. | ΑТ | . BE. | CH. | | |
| | 1411 | | | | | | | | | | | | MC. | | | | | | |
| | | | | | | | | | | | | | , MR, | | | | | | |
| CA | 2432 | 578 | 20, | 02/ | A1 | 01/ | 2002 | 0711 | 0117 | CA, | 2001 | -243 | 2578 | , | 0 | 20011217 | | | |
| CA | 2432 | 578 | | | C | | 2008 | 0401 | | | | | | | 20011217 | | | | |
| AU | 20023 | 2345 | 86 | | A1 | | 2002 | 0716 | AU 2002-234586 BR 2001-16662 EP 2001-985417 | | | | | | 20011217 | | | | |
| BR | 20010 | 0166 | 62 | | A | | 2003 | 0923 | | BR | 2001 | -166 | 62 | | | 20011 | 217 | | |
| EP | 13638 | 899 | | | A1 | | 2003 | 1126 | | EP | 2001 | -985 | 417 | | | 20011 | 217 | | |
| EP | 13638 | 899 | | | B1 20050511 | | | | | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | R, II | , LI | , LU, | NL, | SE | , MC, | PT, | | |
| | | | | | | | | | CY, AL, TR | | | | | | | | | | |
| JP | 20045 | 5194 | 54 | | T | JP 2002-554677 | | | | | | 20011217 | | | | | | | |
| JP | 40313 2953 22418 | 363 | | | B2 | | | | | | | | | | | | | | |
| AT | 29536 | 62 | | | T | | 2005 | 0515 | | | | | 417 | | | | | | |
| ES | 22418 | 891 | | | Т3 | | 2005 | 1101 | | | | | | 20011 | | | | | |
| CN | 12370 | 060 | | | С | | 2006 | | CN 2001-821713 | | | | | | | | | | |
| US | 20030 69002 | 0069: | 230 | | A1 | | 2003 | | | US | 2002 | -403 | 19 | | | 20020 | 102 | | |
| US | 69002 | 220 | | | B2 | | 2005 | 0531 | | | | | | | | | | | |
| MX | 20030 20030 20050 | 0058 | 54 | | A | | 2003 | 0910 | | MX | 2003 | -585 | 4 8 522 | | | 20030 | 626 | | |
| ZA | 20030 | 0050 | 38 | | A | | 2004 | 0927 | | z_{A} | 2003 | 5-503 | 8 | | | 20030 | 628 | | |
| US | 20050 | 0107 | 365 | | A1 | | 2005 | 0519 | | US | 2004 | 1-971 | 522 | | | 20041 | 022 | | |
| | 70912 | | | | B2 | | 2006 | 0815 | | | | | | | | | | | |
| PRIORIT | Y APPI | LN. | INFO | .: | | | | | | US | 2001 | 259 | 337P | | P | 20010 | 102 | | |
| | | | | | | | | | | US | 2001 | -325 | 267P | | P | 20010 | 927 | | |
| | | | | | | | | | | | | | 4885 | | | | | | |
| | | | | | | | | | | US | 2002 | -403 | 19 | | АЗ | 20020 | 102 | | |

OTHER SOURCE(S): MARPAT 137:78966

GI

AB Title compds. I [wherein X = C or N; Y = C; A = fused 5-6 membered (hetero)aromatic ring; Z = CO or SO2; R = alkyl; R1 = H , alkyl, or (un) substituted aryl(alkyl) or arylaminocarbonyl; R2, R3, and R4 = independently H, alkyl, hydroxy(alkyl), alkoxy(alkyl), halo(alkyl), cyano(alkyl), or (un)substituted cycloalkyl(alkyl), aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), amino(alkyl), ureido, sulfamoyl, acyl, carbamoyl, etc.; or C2R2R3 = (un)substituted (hetero)aryl; and isomers, pharmaceutically acceptable salts, or solvates thereof] were prepared as selective alpha-1A/B adrenoceptor antagonists. For example, 3-chloro-6, 7-dimethoxy-2H-benzo[1,2,4]thiadiazine-1,1-dioxide and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline were refluxed in methoxyethanol for 72 h to give II. In [3H]prazosin binding assays, the latter exhibited pKi values of 8.15, 8.79, and 7.18, resp., for binding toward α1A, α1B, and α1D adrenoceptor transfected CHO-K1 cells. Thus, I are useful for the treatment of urinary tract disorders and their symptoms, sexual dysfunction, or pain (no data). In addition, the subtype selectivity of I is expected to reduce the incidence of dose-limiting side effects, such as cardiovascular and CNS effects. ΙT

Ι

1T 441065-08-3P, 2-(1-Benzyl-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-6,7-dimethoxy-3H-quinazolin-4-0ne 441065-09-4P, 6,7-Dimethoxy-2-(1-(m-tolyl)-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-1H-quinazolin-4-one 441065-12-9P, 6,7-Dimethoxy-2-(1-phenyl-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-3Hquinazolin-4-one 441065-13-0P.

6,7-Dimethoxy-2-(3-phenyl-3,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-3H-quinazolin-4-one 441065-14-1P,

6, 7-Dimethoxy-2-(1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-3H-quinazolin-4-one 441065-16-3P,

 $\tilde{2}-[1-(4-Chlorophenyl)-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy-3H-quinazolin-4-one 441065-17-4P,$

6,7-Dimethoxy-2-[1-(naphthalen-2-yl)-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl]-3H-quinazolin-4-one 441065-18-5P,

6,7-Dimethoxy-2-[1-(4-methoxyphenyl)-1,4,6,7-tetrahydroimidazo[4,5-

c]pyridin-5-yl]-3H-quinazolin-4-one 441065-19-6P,

2-[1-(2-Chlorophenyl)-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl]-6,7dimethoxy-3H-quinazolin-4-one 441065-20-9P, 2-[1-(3-Chlorophenyl)-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl]-6,7-

dimethoxy-3H-quinazolin-4-one 441065-21-0P, 6,7-Dimethoxy-2-[1-(3-trifluoromethylphenyl)-1,4,6,7-tetrahydroimidazo[4,5-

c]pyridin-5-y1]-3H-quinazolin-4-one 441065-22-1P, 2-(1-Benzo[1,3]dioxo1-5-y1-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-y1)-6,7-dimethoxy-3H-quinazolin-4-one 441065-23-2P, 2-(1-Isobutyl-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-6,7-dimethoxy-1H-quinazolin-4-one 441065-24-3P. 6,7-Dimethoxy-2-[1-(3-methoxypropy1)-1,4,6,7-tetrahydroimidazo[4,5c]pyridin-5-yl]-1H-quinazolin-4-one 441065-25-4P, 2-(1-Cycloheptyl-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl)-6,7dimethoxv-1H-quinazolin-4-one 441065-26-5P, 2-(1-sec-Butvl-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-vl)-6,7-dimethoxy-1H-quinazolin-4-one 441065-27-6P. 6,7-Dimethoxy-2-[1-(1-methylbutyl)-1,4,6,7-tetrahydroimidazo[4,5-d]pyridin-5-y1]-1H-quinazolin-4-one 441065-28-7P, 6,7-Dimethoxy-2-[1-(2-methylbutyl)-1,4,6,7-tetrahydroimidazo[4,5-d]pyridin-5-y1]-1H-quinazolin-4-one 441065-29-8P, 2-(1-Cyclohexyl-1, 4, 6, 7-tetrahydroimidazo[4, 5-c]pyridin-5-yl)-6, 7dimethoxy-1H-quinazolin-4-one 441065-30-1P, 6,7-Dimethoxy-2-[1-(tetrahydrofuran-2-ylmethyl)-1,4,6,7tetrahydroimidazo[4,5-c]pyridin-5-yl]-1H-quinazolin-4-one 441065-31-2P, 2-(1-Cyclopentyl-1,4,6,7-tetrahydroimidazo[4,5c|pvridin-5-v1)-6,7-dimethoxv-1H-quinazolin-4-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (al adrenergic receptor antagonist; preparation of quinazolinones and benzothiadiazines as al adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain)

4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(phenylmethyl)-

441065-08-3 CAPLUS

RN 441065-09-4 CAPLUS

RN

CN

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(3-methylphenyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

5H-imidazo(4.5-clpvridin-5-vll- (CA INDEX NAME)

RN 441065-12-9 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-(1,4,6,7-tetrahydro-1-phenyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 441065-13-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-(3,4,6,7-tetrahydro-3-phenyl-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 441065-14-1 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN 441065-16-3 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-(4-chlorophenyl)-1,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-17-4 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(2-naphthalenyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-18-5 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(4-methoxyphenyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-19-6 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-(2-chlorophenyl)-1,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-20-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-(3-chlorophenyl)-1,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-21-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-[3-(trifluoromethyl)phenyl]-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-22-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-(1,3-benzodioxol-5-yl)-1,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-23-2 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(2-methylpropyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-24-3 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(3-methoxypropyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-25-4 CAPLUS

CN 4(3H)-Quinazolinone, 2-(1-cycloheptyl-1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-26-5 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(1-methylpropyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-27-6 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(1-methylbuty1)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-28-7 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-(2-methylbutyl)-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-29-8 CAPLUS

CN 4(3H)-Quinazolinone, 2-(1-cyclohexyl-1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-6,7-dimethoxy- (CA INDEX NAME)

RN 441065-30-1 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[1,4,6,7-tetrahydro-1-[(tetrahydro-2-furanyl)methyl]-5H-imidazo[4,5-c]pyridin-5-yl]- (CA INDEX NAME)

RN 441065-31-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-(1-cyclopenty1-1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)-6,7-dimethoxy- (CA INDEX NAME)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 54 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:315471 CAPLUS

DOCUMENT NUMBER: 136:325431

TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having

muscarinic receptor antagonist activity

INVENTOR(S): Mammen, Mathai; Oare, David

PATENT ASSIGNEE(S): Theravance, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S.

Ser. No.456,170, abandoned.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 31

PATENT INFORMATION:

| | TENT | | | | | | DATE | | | APPLICATION NO. | | | | | DATE | | | |
|---------|--------|-------|------|-----|------|------|------|----------------|-----|-----------------|----------|------|-----|----------|------|-------|-----|--|
| US | 2002 | 0049 | 195 | A1 | | 2002 | | US 2000-732514 | | | | | | 20001207 | | | | |
| | 6693 | | | | | | 2004 | | US | 2000- | 20000825 | | | | | | | |
| EP | 1457 | 488 | | | A1 | | 2004 | 0915 | | EP | 2004- | 1285 | 9 | | | 207 | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GI | R, IT, | LI, | LU, | NL, | SE | , MC, | PT, | |
| | | | FI, | | | | | | | | | | | | | | | |
| ES | 2225 | 275 | | | Т3 | | 2005 | 0316 | | ES | 2000- | 9824 | 93 | | | 20001 | 207 | |
| ES | 2243 | 3333 | | | Т3 | | 2005 | 1201 | | ES | 2000- | 9839 | 91 | | | 20001 | 207 | |
| CN | 1271 | .054 | | | С | | 2006 | 0823 | | CN | 2000- | 8167 | 02 | | | 20001 | 207 | |
| ZA | 2002 | 20045 | 53 | | A | | 2003 | 0908 | | ZA | 2002- | 4553 | | | | 20020 | 606 | |
| ZA | 2002 | 20045 | 57 | | A | | 2003 | 0908 | | ZA | 2002- | 4557 | | | | 20020 | 606 | |
| US | 2004 | 0110 | 229 | | A1 | | 2004 | 0610 | | US | 2003- | 4253 | 68 | | | 20030 | 429 | |
| US | 7456 | 203 | | | B2 | | 2008 | 1125 | | | | | | | | | | |
| | 2004 | | | | | | 2004 | 0318 | | US | 2003- | 4263 | 64 | | | 20030 | 430 | |
| US | 2004 | 0116 | 706 | | A1 | | 2004 | 0617 | | US | 2003- | 4262 | 70 | | | 20030 | 430 | |
| PRIORIT | Y APE | LN. | INFO | . : | | | | | | | 1999- | | | | | 19991 | 207 | |
| | | | | | | | | | | IIS | 1999- | 1202 | 87P | | P | 19990 | 216 | |
| | | | | | | | | | | | 1999- | | | | | 19990 | | |
| | | | | | | | | | | | 2000- | | | | | 20000 | | |
| | | | | | | | | | | | 2000- | | | | | 20001 | | |
| | | | | | | | | | | | 2000- | | | | | 20001 | | |
| OTUED C | OLIDOR | /01. | | | MADE | TES | 126. | 325/1 | 2.1 | | 2000 | .020 | | | | 20001 | | |

OTHER SOURCE(S): MARPAT 136:325431

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II

Ι

AB The title compds. LiXL2 [L1 = I (wherein A = (hetero)ary1; B2 = NRa; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroary1, etc.; K1 = a bond, alkylene; K2 = a bond, C0, S0n, etc.; n = 0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary, or tertiary aminel which are muscarinic receptor antagonists and agonists (biol. data given), were prepared and formulated. E.g., a 2-step preparation of the intermediate II [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. II [R = XL2] such as II [X = CL2CH(OH)CH2; L2 = 4-[2-(N-phenyl-N-methylamino)-2-oxoethyl]piperazin-1-yl], were presented. II 344433-19-8P

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity)

RN 344433-19-8 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[9-[4-[([1,1'-biphenyl]-2-ylamino]carbonyl]amino]-1-piperidinyl]nonyl]-4,5,6,7-tetrahydro-, (6S)- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 55 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:270662 CAPLUS

DOCUMENT NUMBER: 136:294827

TITLE: Preparation of imidazothiazole derivatives as ligands

for metabotropic glutamate receptor

Hayashibe, Satoshi; Itahana, Hirotsune; Okada, Shoji; INVENTOR(S): Ohara, Atsuyuki; Negoro, Kenji; Nozawa, Shigenori;

Kamikubo, Takashi; Sakamoto, Shuichi

Yamanouchi Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkvo Koho, 23 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent. LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| | | | | |
| JP 2002105085 | A | 20020410 | JP 2000-296124 | 20000928 |
| PRIORITY APPLN. INFO.: | | | JP 2000-296124 | 20000928 |
| OTHER SOURCE(S): | MARPAT | 136:294827 | | |

GI

AR The title compds. [I; R1, R2 = H, lower alkyl, cycloalkyl; R3 = H, lower alkyl; R4, R5 = H, halo, NO2, (un) substituted lower alkyl, aryl, heteroaryl, COR9, NHCO-O-lower alkyl, CR8:CR6R7, CR8R5aC(:CH2)R7; or R4 and R5 together represent Q, Q1; ring A = (un)substituted carbocyclic or aromatic heterocyclic ring optionally possessing 1 or 2 double bond(s), wherein the ring atoms are carbon atoms or may contain 1-3 heteroatoms; R6, R7 = H, (un)substituted lower alkyl, arvl, or heteroarvl, lower alkoxycarbonyl, COR9, or R6 and R7 are combined together to represent cycloalkyl or (un)saturated heterocyclic ring; R6a = NR10R11; wherein R10, R11 = H, (un)substituted lower alkyl or R10 and R11 together form (un) substituted heteroaryl or saturated heterocyclic ring; X = O, H] or pharmacol. acceptable salts thereof are prepared These compds. are useful as agonists and/or antagonists for metabotropic glutamate receptor (mGluR1), in particular in the prevention or treatment of cerebral infarction (no data). Thus, a solution of 2.5 g Et imidazo[2,1-b]thiazole-2-carboxylate in 100 mL methanol was treated with

30 mL 1 M aqueous NaOH, stirred at room temperature for 2 h, refluxed for 15 min,

cooled to room temperature, and treated with 1 M aqueous HCl followed by distilling off the solvent under reduced pressure, to give crude

imidazo[2,1-b]thiazole-2-carboxylic acid hydrochloride (II). II was dissolved in 30 mL DMF, treated with 3.3 mL N-methylmorpholine and 1.43 mL Et chloroformate at -10° , and stirred at the same temperature for 3 h to give, after workup and conversion into the HCl salt, N-cyclohexyl-N-methylimidazo[2,1-b]thiazole-2-carboxamide hydrochloride.

409062-75-5P 409062-76-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN

(preparation of imidazothiazole derivs. as ligands for metabotropic glutamate receptor in prevention or treatment of cerebral infarction) 409062-75-5 CAPLUS

CN Thiazolo[2',3':2,3]imidazo[4,5-c]pyridine-2-carboxamide, N-cyclohexyl-5,6,7,8-tetrahydro-N-methyl-6-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

● 2 HCl

RN 409062-76-6 CAPLUS CN Thiazolo[2',3':2,3]

Thiazolo[2',3':2,3]imidazo[4,5-c]pyridine-2-carboxamide, N-cyclohexyl-5,6,7,8-tetrahydro-N-methyl-6-(2-thiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L3 ANSWER 56 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:816647 CAPLUS

DOCUMENT NUMBER: 135:357948

TITLE: Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors

INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji;

Kikkawa, Kohei

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Tapanage

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PA: | TENT : | NO. | | | KIN |) | DATE | DATE | | APE | PLICAT | | DATE | | | | | | |
|----------|--|-------|------|-------------|------|-----|------|-------|--|-------|---|------|------|----------|----------|-------|--------|--|--|
| | | | | | | | | | | | | | | | | | 010315 | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BE | 3, BG, | BR, | BY, | BZ, | CA | , CH, | CN, | | |
| | CO, CR, | | | | CZ, | DE, | DK, | DM, | DZ, | EE | E, ES, | FI, | GB, | GD, | GE | , GH, | GM, | | |
| | | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG | , KR, | KZ, | LC, | LK, | LR | , LS, | LT, | | |
| | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MΣ | MZ, | NO, | NZ, | PL, | PT | , RO, | RU, | | |
| | | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TF | R, TT, | TZ, | UA, | UG, | US | , UZ, | VN, | | |
| | | YU, | ZA, | ZW | | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | , TZ, | UG, | ZW, | AT, | BE | , CH, | CY, | | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | 17 | r, LU, | MC, | NL, | PT, | SE | , TR, | BF, | | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GW, | MI | , MR, | NE, | SN, | TD, | TG | | | | |
| AU | AU 2001041142 | | | | | | 2001 | 1112 | AU 2001-41142 CA 2001-2407231 EP 2001-912373 | | | | | | | 20010 | 315 | | |
| CA | CA 2407231 | | | | | | 2002 | 1023 | | CA | 2001- | 2407 | 231 | | 20010315 | | | | |
| EP | 1277 | 741 | | A1 20030122 | | | | | EΡ | 2001- | 9123 | 73 | | 20010315 | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | R, IT, | LI, | LU, | NL, | SE | , MC, | PT, | | |
| | IE, SI, LT | | | | | FI, | RO, | MK, | CY, | ΑI | , TR | | | | | | | | |
| NZ | 5222 | 17 | | | A | | 2004 | 0430 | | NZ | 2001- | 5222 | 17 | | | 20010 | 315 | | |
| CN | NZ 522217 CN 1657523 AU 2001241142 US 20030229089 US 7220736 | | | | | | 2005 | 0824 | | CN | 2004- | 1009 | 8098 | | | 20010 | 315 | | |
| AU | 2001 | 2411 | 42 | | B2 | | 2005 | 0922 | | ΑU | 2001- | 2411 | 42 | | | 20010 | 315 | | |
| US | 2003 | 0229 | 089 | | A1 | | 2003 | 1211 | | US | 2002- | 2585 | 45 | | | 20021 | 025 | | |
| US | 7220 | 736 | | | B2 | | 2007 | 0522 | | | | | | | | | | | |
| MX | 2002 | 0.106 | 93 | | A. | | 2003 | 0310 | | MX | 2002- | 1069 | 3 | | | 20021 | 028 | | |
| US | 2004 | 0142 | 930 | | A1 | | 2004 | 0722 | | US | 2003- | 6998 | 04 | | | 20031 | 104 | | |
| US | 7273 | 868 | | | B2 | | 2007 | 0925 | | | | | | | | | | | |
| AU | 2005 | 2036 | 87 | | A1 | | 2005 | 0908 | | ΑU | 2005- | 2036 | 87 | | | 20050 | 817 | | |
| US | 2008 | 0027 | 037 | | A1 | | 2008 | 0131 | | US | 2007- 2008- | 8897 | 49 | | | 20070 | 816 | | |
| AU | 2008 | 2034 | 75 | | A1 | | 2008 | 0828 | | AU | 2008- | 2034 | 75 | | | 20080 | 804 | | |
| PRIORIT: | Y APP | LN. | INFO | . : | | | | | | JP | 2000- | 1303 | 71 | | A. | 20000 | 428 | | |
| | | | | | | | | | | JΡ | 2000- | 2776 | 52 | | A | 20000 | 913 | | |
| | | | | | | | | | | AU | 2001- | 2411 | 42 | | A | 20010 | 315 | | |
| | | | | | | | | | | AU | 2001- | 4114 | 2 | | A3 | 20010 | 315 | | |
| | | | | | | | | | | WO | 2001- | JP20 | 34 | | W | 20010 | 315 | | |
| | | | | | | | | | | US | 2002- | 2585 | 45 | | Α2 | 20021 | 025 | | |
| | | | | | | | | | | US | 2003- | 6998 | 04 | | А3 | 20031 | 104 | | |
| | | | | | | | | | | AU | 2000- 2001- 2001- 2001- 2002- 2003- 2005- | 2036 | 87 | | A3 | 20050 | 817 | | |
| OTHER SO | OURCE | (S): | | | MARI | PAT | 135: | 35794 | 18 | | | | | | | | | | |

- Compds. of the general formula (I) or pharmacol. acceptable salts thereof AB [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous aromatic heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepared These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated wit NaH in THF at room temperature for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-
- methoxybenzylamino)pyrimidine (preparation given) in THF at room temperature for 1 h $\,$
- to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.
 - 372115-31-6P
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological Study); PREP (Preparation); USES (Uses)
 - (preparation of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)
- RN 372115-31-6 CAPLUS
- CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3-hydroxypropyl)-2-(3, 4, 6, 7-tetrahydro-5H-imidazo[4, 5-c]pyridin-5-yl)- (CA INDEX NAME)

16

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 57 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:676266 CAPLUS

DOCUMENT NUMBER: 135:226997

TITLE: Preparation of benzimidazolyl- or imidazopyridinyl-substituted phenyl

dimethylpropionates as elastase inhibitors

INVENTOR(S): Statkow, Pierre; Straumann, Danielle; Chatterjee, Shyam; Alvarez-builla, Gomez Julio; Sunkel, Letelier

Carlos; Fau, De Casa-juana Munoz Miguel; Minguez,

Ortega Jose M.; Paz, Matia Martin M.

PATENT ASSIGNEE(S): Cermol S.A., Switz.

SOURCE: Eur. Pat. Appl., 43 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: Facent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | | | APPL | ICAT | | DATE | | | | | | | |
|--------------------|-----|-----|-----|-------------|-----------|------|------|-----|------|----------------|----------|----------|------|-----|-----|------------|-----|--|--|--|
| | | | | | | - | | | | | | | | | | | | | | |
| EP 1132381 | | | | A1 20010912 | | | | | EP 2 | 000- | 20000308 | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | | |
| | | ΙE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | | | | |
| WO 2001066526 | | | | A1 | | 2001 | 0913 | | WO 2 | 001- | | 20010306 | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | | | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FΙ, | GB, | GD, | GE, | GH, | GM, | | | |
| | | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΡ, | KR, | ΚZ, | LC, | LK, | LR, | LS, | | | |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | | | |
| | | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ΤJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UΖ, | | | |
| | | VN, | YU, | ZA, | zw | | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | | | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | | | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | | | | | |
| RITY APPLN. INFO.: | | | | | | | | | | EP 2000-104916 | | | | | | A 20000308 | | | | |
| | | | | | | | | | | | | | | | | | | | | |

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 135:226997
GI

$$x_1 \xrightarrow{V_{\bigotimes_W} N} x_1 \xrightarrow{Y} x_2 \xrightarrow{V_1} x_1 \xrightarrow{OCOCMe3}$$

AB The title esters [I; X, XI = H, alkyl, halo, NO2; Y, YI = H, alkyl, alkoxy, halo, dialkylamino; Z = H, dialkylaminoalkyl, piperidinylalkyl; V, W = CH, (un)substituted N] and their pharmacol. acceptable salts having an inhibitory activity of elastase (biol. data given), were prepared Thus, reacting 2-(4-hydroxyphenyl)benzimidazole with 2,2-dimethylpropionyl chloride in the presence of Et3N in CH2Cl2 afforded 85% I [X, X1, Y, Y1 = H; V, W = CH; Z = H; the ester function is attached to Ph ring at para-position].

Ι

IT 359772-39-7P 359772-42-2P 359772-44-4P
359772-46-6P 359772-48-8P 359772-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzimidazoly1- or imidazopyridiny1-substituted Ph dimethylpropionates as elastase inhibitors)

RN 359772-39-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[2-(1-piperidinyl)ethyl]-5Himidazo[4,5-c]pyridin-2-yl]phenyl ester (CA INDEX NAME)

RN 359772-42-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[3-(1-piperidinyl)propyl]-5H-imidazo[4,5-c]pyridin-2-yl]phenyl ester, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 359772-41-1 CMF C25 H32 N4 O2

CM 2

CRN 144-62-7

CMF C2 H2 O4

RN 359772-44-4 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]ethyl]-5H-imidazo[4,5-c]pyridin-2-yl]phenyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 359772-46-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[3-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]propyl]-5H-imidazo(4,5-c)pyridin-2-yl]phenyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 359772-48-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[2-[4-[bis(4-fluorophenyl)methyl]-l-piperazinyl]ethyl]-5H-imidazo[4,5-c]pyridin-2-yl]phenyl ester (CA INDEX NAME)

RN 359772-50-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[5-[3-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]propyl]-5H-imidazo[4,5-c]pyridin-2-yl]phenyl ester (CA INDEX NAME)

IT 359772-95-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzimidazolyl- or imidazopyridinyl-substituted Ph dimethylpropionates as elastase inhibitors)

RN 359772-95-5 CAPLUS

CN Phenol, 4-[5-[2-(1-piperidinyl)ethyl]-5H-imidazo[4,5-c]pyridin-2-yl]-, hydrobromide (1:1) (CA INDEX NAME)

HBr

IT 359772-57-9P 359772-58-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolyl- or imidazopyridinyl-substituted Ph

dimethylpropionates as elastase inhibitors)

- RN 359772-57-9 CAPLUS
- CN Phenol, 4-[5-[2-[4-[bis(4-fluoropheny1)methy1]-1-piperaziny1]ethy1]-5H-imidazo[4,5-c]pyridin-2-y1]- (CA INDEX NAME)

- RN 359772-58-0 CAPLUS
- CN Phenol, 4-[5-[3-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]propyl]-5Himidazo[4,5-c]pyridin-2-yl]- (CA INDEX NAME)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 58 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:619582 CAPLUS

DOCUMENT NUMBER: 135:338737

TITLE: Comparative QSAR: Angiotensin II Antagonists AUTHOR(S): Kurup, Alka; Garg, Rajni; Carini, D. J.; Hansch,

CORPORATE SOURCE: Department of Chemistry, Pomona College, Claremont,

CA, 91711, USA

SOURCE: Chemical Reviews (Washington, D. C.) (2001), 101(9),

2727-2750

CODEN: CHREAY; ISSN: 0009-2665

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

A QSAR study was carried out on nonpeptide angiotensin II antagonists which included a review of the literature on bioactivity and derivation of QSAR equations. The QSAR were divided into 4 groups according to the test system: rabbit, rat, guinea pig and human. Within each group, these are arranged according to potency (log I/C). Also listed is the CMR (calculated molar refractivity) which is similar to molar volume but contains a small element for polarizability, and Clog P values which give an assessment of the hydrophobic effects. The authors also used π as a measure of local hydrophobic binding sites. All the QSAR reported in the study were derived by the authors. The physicochem, parameters were autoloaded from their C-QSAR databases and the QSAR regression anal. was executed with a C-QSAR program. The authors derived 39 QSAR equations which provide an overview of the structure-activity relationship for a variety of compds. To the authors knowledge, these are the first QSAR for angiotensin antagonists. The most important conclusion reached is the lack of importance of hydrophobic interactions with the receptors. The relevance of the biphenyl moiety for hydrophobicity is discussed and a model of the pharmacophore is presented.

IT 156222-13-8 156222-17-2 177263-98-8 177264-18-5 193753-32-1 193753-33-2

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (comparative QSAR of nonpeptide angiotensin II antagonists)

RN 156222-13-8 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-2-(1pyrrolidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-(CA INDEX NAME)

RN 156222-17-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-oxo-2-(1piperidinyl)ethyl]-3-[[2'-(2H-tetrazo1-5-y1)[1,1'-biphenyl]-4-y1]methyl](CA INDEX NAME)

- RN 177263-98-8 CAPLUS
- CN Benzenepropanamide, N-[[4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny])ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

- RN 177264-18-5 CAPLUS
- CN Carbamic acid, N=[(4'-[(2-buty)-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)=3+l-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

- RN 193753-32-1 CAPLUS
- CN Benzenepropanamide, N-[[4'-[[4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny1)ethy1]-2-propy1-3H-imidazo[4,5-c]pyridin-3-y1]methy1]-3'-fluoro[1,1'-bipheny1]-2-y1]sulfony1]- (CA INDEX NAME)

RN 193753-33-2 CAPLUS

CNC Carbamic acid, N-[14'-[14,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny1)ethy1]-2-propy1-3H-imidazo[4,5-c]pyridin-3-y1]methy1]-3'-fiuoro[1,1'-bipheny1]-2-y1]sulfony1]-, phenylmethy1 ester (CA INDEX NAME)

REFERENCE COUNT:

73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 59 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:494661 CAPLUS

DOCUMENT NUMBER: 135:242187

TITLE: Synthesis of phenothiazine derivatives of spinaceamine

and 2-azaspinaceamine

AUTHOR(S): Yutilov, Yu. M.; Smolyar, N. N.; Abramyants, M. G.;

Tyurenkov, I. N. Litvinenko Institute of Organic Chemistry, National

Academy of Sciences of the Republic of Ukraine,

Donetsk, Ukraine
SOURCE: Pharmaceutical Chemistry Journal (Translation of

Khimiko-Farmatsevticheskii Zhurnal) (2001), 35(1),

15-17

CODEN: PCJOAU; ISSN: 0091-150X PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:242187

AB Triazolo[4,5-c]pyridines and imidazo[4,5-c]pyridines are added to 2-chloro-10-(β-chloropropionyl)phenothiazine, then reduced to give

the spinaceamine and azaspinaceamine phenothiazine derivs.

T 360794-55-4P 360794-56-5P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT

(Reactant or reagent)
(synthesis of phenothiazine derivs. of spinaceamine and

2-azaspinaceamine) RN 360794-55-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[3-(2-chloro-10H-phenothiazin-10-yl)-3-oxopropyl]-1-methyl-, chloride (1:1) (CA INDEX NAME)

● C1-

RN 360794-56-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[3-(2-chloro-10H-phenothiazin-10-yl)-3oxopropyl]-1,2-dimethyl-, chloride (1:1) (CA INDEX NAME)

● c1=

IT 360794-59-8P 360794-60-1P 360794-61-2P
RL: SPN (Synthetic preparation), PREP (Preparation)
 (synthesis of phenothiazine derivs. of spinaceamine and
 2-azaspinaceamine)

RN 360794-59-8 CAPLUS

CN 1-Propanone, 1-(2-chloro-10H-phenothiazin-10-y1)-3-(1,4,6,7-tetrahydro-1-methy1-5H-imidazo[4,5-c]pyridin-5-y1)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 360794-60-1 CAPLUS

CN 1-Propanone, 1-(2-chloro-10H-phenothiazin-10-y1)-3-(1,4,6,7-tetrahydro-1,2-dimethy1-5H-imidazo[4,5-c]pyridin-5-y1)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN
- 360794-61-2 CAPLUS 1-Propanone, 1-(2-chloro-10H-phenothiazin-10-y1)-3-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)- (CA INDEX NAME) CN

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 60 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:435045 CAPLUS

DOCUMENT NUMBER: 135:46100

TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having

muscarinic receptor antagonist activity

INVENTOR(S): Mammen, Mathai; Oare, David

PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA SOURCE:

PCT Int. Appl., 162 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE:

English FAMILY ACC. NUM. COUNT: 31

| PATENT | INFO | RMATI | : NC |
|--------|------|-------|------|

| PATENI | INFOR | MAII | ON: | | | | | | | | | | | | | | |
|-----------|--|-------|------|-----|---------|------|------|------|------|------|--|--------------|------|-----|------|-------|-------|
| P | ATENT | | | | KIN |) | DATE | | | APP | LICAT | ION | NO. | | Ι | DATE | |
| - | 0 2001 | | | | | | | | | | 2000- | | | | | | |
| W | 0 2001 W: | | | | A1 | | | | | | 2000- | | | | | 20001 | |
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| | | | | | | | | | | | , KR, | | | | | | |
| | | | | | | | | | | | , MZ, | | | | | | |
| | | | | | | | | | | | TT, | | | | | | |
| | | | ZA. | | 01, | DI., | OL, | 10, | 111, | 111 | ,, | 14, | OII, | 00, | 00, | 04, | V.11, |
| | RW: | | | | LS. | MW. | M7. | SD. | SI | S7 | , TZ, | IIG. | 7.W. | AT. | BE. | CH. | CY. |
| | | | | | | | | | | | LU. | | | | | | |
| | | | | | | | | | | | , MR, | | | | | , | , |
| U | S 6693 | | | | B1 | | 2004 | 0217 | | US | 2000- | 6456 | 09 | | 2 | 0000 | 825 |
| | A 2392 | | | | A1 | | 2001 | 0614 | | CA | 2000- 2000- | 2392 | 030 | | 2 | 20001 | 207 |
| В | R 2000 P 1235 | 0159 | 63 | | A | | 2002 | 0806 | | BR | 2000- | 1596 | 3 | | 2 | 20001 | 207 |
| | | 803 | | | A1 | | 2002 | 0904 | | EΡ | 2000- | 9824 | 93 | | 2 | 20001 | 207 |
| E | P 1235 | | | | | | 2004 | | | | | | | | | | |
| | R: | | | | | | | | | | , IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, | AL | , TR | | | | | | |
| Н | U 2002 P 2003 | 0036 | 77 | | A2 | | 2003 | 0328 | | HU | 2002- | 3677 | | | - 2 | 20001 | 207 |
| J | P 2003 | 5163 | 91 | | T | | 2003 | 0513 | | JP | 2001- | 5435 | 14 | | - 4 | 10001 | 207 |
| N. | Z 2187 | 22 | | | A | | 2004 | 0326 | | NZ | 2000- | 218/ | 22 | | 4 | 10001 | 207 |
| A | Z 5187 T 2710 P 1457 | 100 | | | 7.1 | | 2004 | 0/15 | | ED. | 2000- | 9824 1905 | 93 | | - 4 | 10001 | 207 |
| Ľ | R: | AT | BE | CH | DE | DK | FS | EB | CB | CD | , IT, | 1.T | LII | MT. | SE | MC | DT. |
| | | TE | TO T | CV | TD | | шо, | 111, | OD, | OI | , 11, | шт, | шо, | ип, | UL, | ric, | 11, |
| E | S 2225 U 7822 S 2243 N 1271 R 7481 O 2002 O 3235 A 2002 A 2002 | 275 | , | , | Т3 | | 2005 | 0316 | | ES | 2000- | 9824 | 93 | | 2 | 0001 | 207 |
| Ā | U 7822 | 32 | | | B2 | | 2005 | | | AU | 2000- 2001- 2000- 2000- 2002- 2002- | 1951 | 8 | | 2 | 20001 | 207 |
| E | S 2243 | 333 | | | Т3 | | 2005 | 1201 | | ES | 2000- | 9839 | 91 | | 2 | 20001 | 207 |
| C | N 1271 | 054 | | | С | | 2006 | 0823 | | CN | 2000- | 8167 | 02 | | 2 | 20001 | 207 |
| K | R 7481 | 50 | | | B1 | | 2007 | | | KR | 2002- | 7071 | 47 | | 2 | 20020 | 604 |
| N | 0 2002 | 0026 | 83 | | A | | 2002 | | | NO | 2002- | 2683 | | | 2 | 20020 | 606 |
| N | 0 3235 | 44 | | | B1 | | 2007 | | | | | | | | | | |
| Z | A 2002 | 0045 | 53 | | A | | 2003 | | | ZA | 2002- | 4553 | | | 2 | 0020 | 606 |
| Z | A 2002 | 0045 | 57 | | A | | 2003 | | | ZA | 2002- 2002- 2002- 2002- | 4557 | | | 2 | 20020 | 606 |
| | | 0000 | 02 | | A B1 | | 2004 | | | MX | 2002- 2002- | 5602 | | | 4 | 20020 | 606 |
| | R 2002 | | /4 | | BI | | 2007 | | | HK | 2002- | 5/4 1016 | 72 | | - | 0020 | 202 |
| n | K 1049 S 2004 | 0110 | 220 | | 7.1 | | 2003 | 0610 | | HIC. | 2003- 2003- | 1012 | 60 | | - | 0030 | 120 |
| | S 7456 | 202 | 223 | | D2 | | 2004 | 1125 | | US | 2003- | 4233 | 00 | | | .0030 | 427 |
| PRIORI | | I.N : | TNFO | | DZ | | 2000 | 1140 | | IIS | 1999- | 4561 | 70 | | A2 1 | 9991 | 207 |
| - 1120111 | | | | | | | | | | | 1999- | | | | | | |
| | | | | | | | | | | US | 1999- | 3257 | 25 | | B2 1 | 9990 | 604 |
| | | | | | | | | | | US | 1999- 2000- | 6456 | 09 | | A1 2 | 20000 | 825 |
| | | | | | | | | | | EΡ | 2000- 2000- | 9824 | 93 | | A3 2 | 20001 | 207 |
| | | | | | | | | | | WO | 2000- | US33 | 155 | | W 2 | 0001 | 207 |
| | | | | | | | | | | | | | | | | | |

AB The title compds. L1XL2 [I; L1 = II (wherein A = (hetero)aryl; B2 = NRa; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroaryl, etc.; K1 = a bond, alkylene; K2 = a bond, C0, S0n, etc.; n = <math>0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary, or tertiary aminel which are muscarinic receptor antagonists and agonists (biol. data given), were prepared and formulated. E.g., a 2-step preparation of the intermediate III [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. III [R = XL2] were presented.

III

IT 344433-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity)

RN 344433-19-8 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[9-[4-[[(1,1'-biphenyl]-2-ylamino]carbonyl]amino]-1-piperidinyl]nonyl]-4,5,6,7-tetrahydro-, (65)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 61 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:756706 CAPLUS

DOCUMENT NUMBER: 133:321882

TITLE: Preparation of substituted fused imidazoles for

treatment and/or prevention of diseases and disorders

related to the histamine H3 receptor

INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik; Jorgensen, Tine Krogh; Peschke, Bernd; Wulff, Birgitte

Schjellerup; Pettersson, Ingrid; Rudolf, Klaus;

Stenkamp, Dirk; Hurnaus, Rudolf; Muller, Stephan

Georg; Krist, Bernd

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim

International, G.m.b.H.

SOURCE: PCT Int. Appl., 169 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| P | | ENT I | | | | KIN | D | DATE | | | | ICAT | | | | D | ATE | |
|--------|----|-------|-----|------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|------|-------|-----|
| W | | | | | | A1 | | | | | | 000- | | | | 2 | 0000 | 413 |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, |
| | | | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, |
| | | | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, |
| | | | | | | | | | | | | NZ, | | | | | | |
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| | | RW: | | | | | | | | | | UG, | | | | | | |
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| | | | | | CM, | | | | | | | SN, | | | | _ | | |
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| E | P | | | | | | | | | | | 000- | | | | | | |
| | | R: | | | | | | | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | SI, | | | | | | | | | | | | _ | | |
| | | | | | | | | 2002 | 1210 | | | 000- | | | | | 0000 | |
| PRIORI | TY | APP: | LN. | INFO | . : | | | | | | | 999- | | | | | 9990 | |
| | | | | | | | | | | | | 999- | | | | | 99909 | |
| | | | | | | | | | | | | 000- | | | | | 0000: | |
| | | | | | | | | | | | US 1 | 999- | 1301 | 92P | | | 9990. | 120 |
| | | | | | | | | | | | US 1 | 999- | 1564 | 96P | I | P 1 | 99909 | 928 |
| | | | | | | | | | | | US 2 | 000- | 1767 | 09P | I | P 2 | 0000 | 118 |
| | | | | | | | | | | | WO 2 | 000- | DK17 | 9 | 1 | vi 2 | 0000 | 413 |
| | | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 133:321882

GI

The title compds. [I; Rl = H, a functional group which can be converted to H in vivo; R2 = H, alkyl, halo, etc.; R3-R6 = H, CO2H, alkoxycarbonyl, etc.; m, n, p, q = 0-2; X = a bond, CH2, CO, etc.; Y = a bond, O, NR12 (R12 = H, alkyl, aryl, etc.); A = a bond, alkylene, alkenylene, etc.; Z = R13, OR13, SR13, etc. (R13 = H, alkyl, aryl, etc.)], useful for the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor (more particularly, useful for the treatment and/or prevention of diseases and disorders, in which an interaction with the histamine H3 receptor is beneficial), were prepared and formulated. E.g., treatment of 5-cyclohexylpentanoic acid with carbonyldiimidazole in DCM followed by addition of 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine in DCM afforded 24% II. Compds. I are effective at 0.05-10 mg/kg/day.

Ι

303019-87-6P 303020-61-3P 303020-64-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted fused imidazoles for treatment and/or prevention of diseases and disorders related to the histamine H3 receptor) 303019-87-6 CAPLUS

RN

CN 1-Propanone, 3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-(3,4,6,7tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

RN

CN Methanone, [4-(phenylmethyl)-1-piperidinyl] (3,4,6,7-tetrahydro-5Himidazo[4,5-c]pyridin-5-yl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 303020-60-2 CMF C19 H24 N4 O

CM :

CRN 144-62-7 CMF C2 H2 O4

RN 303020-64-6 CAPLUS

CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) (3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:421114 CAPLUS

DOCUMENT NUMBER: 133:58803

TITLE: Preparation of 2-arylindole- or

-benzimidazolecarboxamidines and analogs as serine

protease inhibitors

Allen, Darin Arthur; Hataye, Jason M.; Hruzewicz, Witold N.; Kolesnikov, Aleksandr; Mackman, Richard Laurence; Rai, Roopa; Spencer, Jeffrey R.; Verner,

Laurence; Rai, Roopa; Spencer, Jeffrey R.; V

Erik J.; Young, Wendy B.
PATENT ASSIGNEE(S): Axvs Pharmaceuticals, In-

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 187 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

INVENTOR(S):

| | TENT | | | | | | DATE | | | | LICAT | | | | | ATE | |
|------|--|------|------|-----|-----|-----|------|------|-----|------|----------------|------|-----|-----|-----|--------------|-----|
| WO | | 0358 | 86 | | A2 | | | | | | 1999- | | | | | 9991 | 217 |
| | W: | ΑE, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | , BR, | BY, | CA, | CH, | CN, | CU, | CZ, |
| | | DE, | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | , GM, | HR, | HU, | ID, | IL, | IN, | IS, |
| | | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | , LS, | LT, | LU, | LV, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | , SD, | SE, | SG, | SI, | SK, | SL, | TJ, |
| | | TM, | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | , ZA, | ZW | | | | | |
| | RW: | | | | | | | | | | , UG, | | | | | | |
| | | DK, | ES, | FΙ, | FR, | GB, | GR, | ΙE, | IT, | LU, | , MC, | NL, | PT, | SE, | BF, | ВJ, | CF, |
| | | | | | | | | | | | | | | | | | |
| | CG, CI, C CA 2355249 | | | | | | | | | | | | | | | | |
| ΕP | CA 2355249 EP 1140859 | | | | | | | | | | | | | | | | |
| | A 2355249 P 1140859 R: AT, BE, (| | | | | | | FR, | GB, | GR, | , IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | |
| BR | 9916 | 363 | | | A | | 2001 | 1211 | | BR 1 | 1999- 2001- | 1636 | 3 | | 1 | 9991 | 217 |
| HU | 2001 | 0049 | 87 | | A2 | | 2002 | 0729 | | HU 2 | 2001- | 4987 | | | 1 | 9991 | 217 |
| HU | 2001 | 0049 | 87 | | A3 | | 2002 | 0930 | | | | | | | | | |
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| NZ | 5123 | 75 | | | A | | | | | | 1999- | | | | | | |
| | 7791 | | | | | | | 0106 | | | 2000- | | | | | 9991 | |
| | 2001 | | | | | | | 0621 | | | 2001- | | | | | 9991 | |
| | 2001 | | | | | | | | | | 2001- | | | | | | |
| | 2001 | | | | | | | | | | 2001- 2002- | | | | | | |
| | 6867 | | | | BI | | 2005 | 0315 | | | | | | | | 0020 | |
| CIT: | Y APP | LIV. | TMEO | . : | | | | | | | 1998- 1999- | | | | | 9981 9991 | |
| | | | | | | | | | | WU . | エンジラー | 0530 | 302 | | M T | コンコエ | 21/ |

OTHER SOURCE(S): MARPAT 133:58803

PR

- AB RIZIZZRZ [I; Rl = H2NC(:NH), etc.; R2 = halo, OH, CO2H, phenyl(alkyl)oxy, etc.; Z1 = (un)substituted indolylene, -benzimidazolylene, etc.; Z2 = (un)substituted phenylene, pyridinediyl, etc.] were prepared Thus, 1-(3-bromo-2-hydroxy-5-methylphenyl)-3-(4-nitrophenyl)-1-propanone was condensed with 4-(H2NHN)C6H4C:NH)NH2 and the product cyclized to give, after reduction, title compound II. Data for biol. activity of I were given. IT 277311-63-4P
 - RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-arylindole- or -benzimidazolecarboxamidines and analogs as serine protease inhibitors)

- RN 277311-63-4 CAPLUS
- CN 1H-Benzimidazole-6-carboximidamide,

2-[3-[5-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-iminoethyl]-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridin-2-yl]-2-hydroxyphenyl]- (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 63 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:460401 CAPLUS

DOCUMENT NUMBER: 131:87906

TITLE: Preparation of tetrahydrobenzindole derivatives for

treatment and prevention of diseases caused by

abnormality in serotonin regulatory system

Kikuchi, Chika; Ando, Takashi; Fuji, Kazuyuki; Okuno, INVENTOR(S):

Masayo; Satoh, Eriko; Shiiyama, Masako; Ushiroda,

Osamu; Kovama, Masao; Hiranuma, Tovokazu

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

OTHER SOURCE(S):

GI

| PATE | NO. | | | KIN | D | DATE | | | API | PLI | ICAT | ION I | NO. | | | DATE | |
|------------|-----------------------------|------|-----|-----|------|------|------|-----|------|-----|------|-------|-----|-----|-------|-------|-----|
| | 933804 | | | | | | 0708 | | WO | 19 | 998- | JP58 | 27 | | | 19981 | 222 |
| | W: CA, | CN, | JP, | KR, | NO, | . US | | | | | | | | | | | |
| | RW: AT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, | , FI | R, | GB, | GR, | IE, | IT, | LU | , MC, | NL, |
| | PT, | SE | | | | | | | | | | | | | | | |
| JP 1 | 1189585 | ō | | A | | 1999 | 0713 | | JΡ | 19 | 997- | 3583 | 81 | | | 19971 | 225 |
| CA 2 | 316388 | | | A1 | | 1999 | 0708 | | CA | 19 | 998- | 2316 | 388 | | | 19981 | 222 |
| | 057814 | | | | 2000 | | | | | | | | | | 19981 | | |
| | | | | | | 2005 | | | | | ,,, | | , , | | | 10001 | |
| | EP 1057814 R: AT, BE, CH | | | | | | | | CI | ь | TT | TT | TIT | NIT | C. | MC | DT |
| | R: AT, BE, CI | | | | | 20, | | UD, | | , | / | 22, | 20, | , | 01 | 110, | / |
| ат 2 | 90527 | | | т | | 2005 | 0315 | | ат | 10 | 000_ | 9614 | 0.3 | | | 19981 | 222 |
| | 0000032 | | | | | | 0823 | | | | | 3285 | | | | 20000 | |
| | | .00 | | | | | | | 140 | 20 | 000- | 3203 | | | | 20000 | 022 |
| | | | | | | | 1004 | | | | | | | | | | |
| | 498251 | | | BI | | 2002 | 1224 | | | | | 5824 | | | | 20010 | |
| PRIORITY . | APPLN. | INFO | . : | | | | | | | | | | | | | 19971 | |
| | | | | | | | | | JP | 19 | 997- | 3583 | 81 | | A | 19971 | 225 |
| | | | | | | | | | JΡ | 19 | 998- | 8591 | 3 | | A | 19980 | 331 |
| | | | | | | | | | JΡ | 19 | 998- | 1368 | 72 | | A | 19980 | 519 |
| | | | | | | | | | JP | 19 | 998- | 2297 | 09 | | A | 19980 | 814 |
| | | | | | | | | | JP | 19 | 998- | 3193 | 36 | | A | 19981 | 110 |
| | | | | | | | | | WO | 19 | 998- | JP58: | 27 | | W | 19981 | 222 |

MARPAT 131:87906

AB Compds. I [α = Q1, etc.; R1 = H, alkyl, etc.; R2 = H, halo, etc.; X = NR10, etc. (R10 = H, etc.); n = 2 to 6; R3 = H, etc.] are prepared Thus, 2a-(4-bromobuty1)-2a,3,4,5-tetrahydro-1H-benz[cd]indo1-2-one 150 mg was reacted with 2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole 168 mg to give 2a-[4-(2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole)buty1]-2a,3,4,5tetrahydro-1H-benz[cd]indol-2-one 73 mg, showing Ki values 227 nM in

affinity test to $5-\mathrm{HT}7$ receptor, and $7~\mathrm{nM}$ in affinity test to $5-\mathrm{HT}2$ receptor.

IT 230301-50-5P 230301-52-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tetrahydrobenzindole derivs. for treatment and prevention of diseases caused by abnormality in serotonin regulatory system)

RN 230301-50-5 CAPLUS

CN Benz[cd]indol-2(1H)-one, 2a,3,4,5-tetrahydro-2a-[4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)butyl]- (CA INDEX NAME)

RN 230301-52-7 CAPLUS

CN Benz[cd]indol-2(1H)-one, 2a,3,4,5-tetrahydro-2a-[4-(3,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)butyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 64 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:375402 CAPLUS

DOCUMENT NUMBER: 131:19011

TITLE: Preparation of imidazolylmethylthienopyridines,
-azabenzimidazoles, and related compounds as

inhibitors of farnesyl-protein transferase.

INVENTOR(S): Halczenko, Wasyl; Stump, Craig A.

INVENTOR(S): Halczenko, Wasyl; Stump, Craig
PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATEN: | r no. | | | KIN | D | DATE | | | | ICAT | | | | _ | ATE | |
|-------------|-------------------------------------|-----|-----|-----|-----|----------|------|-----|------|------|------|-----|-----|------|------|-----|
| WO 993 | | | | A1 | _ | 1999 | 0610 | | | | | | | | | |
| W | AL, | AM, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GD, | GE, |
| | HR, | HU, | ID, | IL, | IS, | JP, | KG, | KR, | KZ, | LC, | LK, | LR, | LT, | LV, | MD, | MG, |
| | MK, | MN, | MX, | NO. | NZ, | PL, | RO, | RU, | SG, | SI, | SK, | SL, | TJ, | TM, | TR. | TT. |
| | UA, | US, | UZ, | VN, | YU | | | | | | | | | | | |
| RI | : GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | DK, | ES, |
| | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | BJ, | CF, | CG, | CI, |
| | CM. | GA, | GN. | GW, | ML, | MR. | NE. | SN. | TD, | TG | | | | | | |
| US 60: | 15817 | | | A | | 2000 | 0118 | | US 1 | 997- | 9847 | 32 | | 1 | 9971 | 204 |
| CA 23: | 11923 | | | A1 | | 1999 | 0610 | | CA 1 | 998- | 2311 | 923 | | 1 | 9981 | 130 |
| AU 99: | 16110 | | | A | | 1999 | 0616 | | AU 1 | 999- | 1611 | 0 | | 1 | 9981 | 130 |
| EP 103 | 35850 | | | A1 | | 2000 | 0920 | | EP 1 | 998- | 9605 | 29 | | 1 | 9981 | 130 |
| R | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | PT, | IE, |
| | SI, | LT. | LV, | FI. | RO | | | | | | | | | | | |
| PRIORITY A | SI, LT, LV RIORITY APPLN. INFO.: | | | | | | | | US 1 | 997- | 9847 | 32 | | A1 1 | 9971 | 204 |
| | | | | | | | | | WO 1 | 998- | US25 | 324 | 1 | w 1 | 9981 | 130 |
| OTHER SOURC | CE(S): | | | MAR | PAT | 131: | 1901 | 1 | | | | | | | | |

AB Title compds. [I; Y = (R4)rVAl[C(R1a)2]na2[C(R1a)2]n[W(R5)s]t[C(R1b)2]px [C (R1c)2]qx Z = (R2bR2c)u; R1a, R1b, R1c = H, Gusbstituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, cyano, NO2, N3, R8O, N(R8)2, R8CONR8, etc.; R2a, R2b, R2c = H, (C(R1)2)2/W31[C(R12)2]wR13; R2bR2c = O; R3a, R3b = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, alkynyl, halo, perfluoroalkyl, cyano, R8CO, NO2, N3, N(R8)2, etc.; R4 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, C1, Br, R8O, cyano, NO2, R8CO, NO3, R8CO, NO3, M3, N(R8)2, N3, etc.; R5 = H, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, F, C1, Br, R8O, R8O2C, N3, N(R8)2, NO2, R8CO, N3, etc.; R8 = H, alkyl, PhCH2, F3CCH2, aryl, R11, R12 = H, (substituted) alkyl, aryl, heterocyclyl,

cycloalkyl, alkenyl, halo, R8O, N3, N(R8)2, etc.; R13 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, allyloxy, cyano, N02, R8CO, N3, N(R8)2, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, COO, S, SO, SO2, etc.; J, SO, SO2, etc.; A3 = bond, CH:CH, C.tplbond.C, COO, S, SO, SO2, etc.; J, K, L = N, NH, S, O, CH; V = H, heterocyclyl, aryl, alkenyl, (heteroatom-interrupted) alkyl; W = heterocyclyl; X = bond, S, SO, SO2, O, CO; dotted lines = optional double bonds; r = 0-5; n, p, q = 0-4; s = 1, 2; t = 0, 1; u = 0-2; with provisos], were prepared as drugs (no data). Thus, 4,5,6,7-tetrahydrothieno(3,2-c)pyridine hydrochloride, 1-(4-cyanobenzyl)-5-imidazolecarboxalehyde, E3N, 4Å mol. sieves, and NaBH(OAc)3were stirred in CHC12CHC12 for 16 h to give 5-[1-(4-cyanobenzyl)-5-imidazoleylmethyl]-4,5,6,7-tetrahydrothieno[3,2-c)pyridine.

IT 226386-45-4P 226386-49-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRBP (Preparation); USES (Uses)

(preparation of imidazolylmethylthienopyridines, -azabenzimidazoles, and related compds. as inhibitors of farnesyl-protein transferase) 226386-45-4 CAPLUS

RN 226386-45-4 CAPLUS CN Benzonitrile, 4-[[5-

Benzonitrile, 4-[[5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-1H-imidazol-1-yl]methyl]- (CA INDEX NAME)

RN 226386-49-8 CAPLUS

CN Benzonitrile, 4-[[5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-1H-imidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:120508 CAPLUS DOCUMENT NUMBER: 128:249173

DOCUMENT NUMBER: 128:249173 ORIGINAL REFERENCE NO.: 128:49249a

TITLE: Synthesis of glycyl-L-spinacine and study of its

protonation and Cu(II) complex-formation equilibria in

aqueous solution
AUTHOR(S): Conato, Chiara;

(S): Conato, Chiara; Remelli, Maurizio; Guerrini, Remo;

Pulidori, Fernando

CORPORATE SOURCE: Department of Chemistry, University of Ferrara,

Ferrara, I-44100, Italy

SOURCE: Annali di Chimica (Rome) (1998), 88(1-2), 91-102

CODEN: ANCRAI; ISSN: 0003-4592

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal LANGUAGE: English

AB A new dipeptide, glycyl-L-spinacine, was synthesized and fully characterized. Protonation consts. were determined and binary Cu(II) complex formation equilibrium investigated in an aqueous solution (25°, I = 0.1 mol dm-3, KNO3) using the potentiometric and spectrophotometric techniques.

dm-3, KNO3) using the potentiometric and spectrophotometric techniques. Formation of mononuclear and binuclear complex species was found. Binding sites and structure hypotheses are discussed from exptl. and literature data available.

IT 205066-93-9

RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)

(stability constant)

RN 205066-93-9 CAPLUS CN Copper, bis[5-[(amino-κN)acetyl-κO]-4,5,6,7-tetrahydro-1H-

imidazo[4,5-c]pyridine-6-carboxylato]-, [SP-4-1-(S),(S)]- (9CI) (CA INDEX NAME)

46

REFERENCE COUNT:

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L.3 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:481748 CAPLUS DOCUMENT NUMBER: 127:205517

127:39955a,39958a ORIGINAL REFERENCE NO .:

TITLE: Synthesis of 'A' ring isomazole oxypropanolamines via

hydrolysis of 1H-imidazo[4,5-c]pyridine

oxazolidin-2-ones

AUTHOR(S): Barraclough, Paul; Gillam, Janet; King, W. Richard;

Nobbs, Malcolm S.; Vine, Susan J.

CORPORATE SOURCE: Department Medicinal Chemistry, Wellcome Research

Ι

Laboratories, Beckenham, Kent, BR3 3BS, UK Journal of Chemical Research, Synopses (1997), (6),

SOURCE: 196-197

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:205517

GΙ

The base-catalyzed hydrolysis of oxazolidin-2-one I gives an AB oxypropanolamine II and 4,5-dihydro-1H-imidazo[4,5-c]-pyridin-4-ones, e.g., III, and may occur by a BAL mechanism.

ΙT 194732-33-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of isomazole oxypropanolamines via hydrolysis of imidazopyridine oxazolidinone)

RN 194732-33-7 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-(2,4-dimethoxypheny1)-3,5-dihydro-5-[1-(1-methylethyl)-3-azetidinyl]- (CA INDEX NAME)

III

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

T. 3 ANSWER 67 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:478037 CAPLUS DOCUMENT NUMBER: 127:161749

ORIGINAL REFERENCE NO .: 127:31359a,31362a

TITLE: Novel 4.5-dihydro-4-oxo-3H-imidazo[4.5-c]pyridines. Potent angiotensin II receptor antagonists with high

affinity for both the AT1 and AT2 subtypes

AUTHOR(S): Mederski, WWKR; Dorsch, D.; Osswald, M.; Schwartz, H.;

Beier, N.; Christadler, M.; Minck, KO; Schelling, P.; Schmitges, CJ

CORPORATE SOURCE: Preclinical Pharmaceutical Research, Medicinal

Chemistry, Merck KGaA, Darmstadt, 64271, Germany

SOURCE: European Journal of Medicinal Chemistry (1997), 32(6), 479-491

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

AB The synthesis and pharmacol. activity of balanced high affinity non-peptide angiotensin II antagonists of the AT1 and AT2 subtype receptors have been presented. A series of previously prepared AT1 selective 4.5-dihvdro-4-oxo-3H-imidazo[4.5-c]-pvridines were modified at four different positions in order to increase the AT2 binding affinity by maintaining the nanomolar activity for the AT1 receptor. The targeted AT2/AT1 IC50 binding ratio of .apprx. 1 was achieved with a number of compds. possessing a small alkyl chain at C-2, different acetamide groups at N-5 and a 3-fluoro and 2'-carboxamidosulfonyl substituent at the biphenylmethyl moiety. These modifications led to an analog which exhibited an AT2/AT1 ratio of 0.74, a subnanomolar AT1 antagonistic potency (0.18 nM) and a high metabolic stability in rat and monkey liver microsomes in vitro. After oral administration of 3 mg/kg to cynomolgus monkeys, EMD 90423 (potassium salt of the active analog) demonstrated good

efficacy and a long duration of action as an antihypertensive agent. 177263-98-8P 177264-18-5P 193753-32-1P 193753-33-2P

study, unclassified); SPN (Synthetic preparation); BIOL (Biological

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation) (preparation of 4-oxo-3H-imidazo[4,5-c]pyridines as angiotensin II receptor antagonists with high affinity for both AT1 and AT2 subtypes)

177263-98-8 CAPLUS RN CN Benzenepropanamide, N-[[4'-[[2-butvl-4,5-dihvdro-4-oxo-5-[2-oxo-2-(1piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'biphenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

177264-18-5 CAPLUS RN

CN Carbamic acid, N-[[4'-[[2-buty1-4,5-dihydro-4-oxo-5-[2-oxo-2-(1piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'biphenyl]-2-yl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

RN 193753-32-1 CAPLUS

CN Benzenepropanamide, N-[[4'-[[4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-2-propyl-3+l-imidazo[4,5-c]pyridiny-3-yl]methyl]-3'-fluoro[[1,1'-biphenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

RN 193753-33-2 CAPLUS

CN Carbamic acid, N-[[4'-[[4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidiny1)ethy1]-2-propy1-3H-imidazo[4,5-c]pyridin-3-y1]methy1]-3'-fluoro[1,1'-bipheny1]-2-y1]sulfony1]-, phenylmethy1 ester (CA INDEX NAME)

IT 193753-08-1P 193753-17-2P 193753-36-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-oxo-3H-imidazo[4,5-c]pyridines as angiotensin II receptor antagonists with high affinity for both AT1 and AT2 subtypes)

RN 193753-08-1 CAPLUS CN [1,1'-Biphenv1]-2-s:

[1,1'-Biphenyl]-2-sulfonamide, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-N-(1,1-dimethylethyl)-3'-fluoro-(CA INDEX NAME)

RN 193753-17-2 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-2-propyl-3-H-imidazo[4,5-c]pyridin-3-yl]methyl]-N-(1,1-dimethylethyl)-3'-fluoro- (CA INDEX NAME)

RN 193753-36-5 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 3-[(4-bromo-2-fluorophenyl)methyl]-3,5-dihydro-5-[2-oxo-2-(1-piperidinyl)ethyl]-2-propyl- (CA INDEX NAME)

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:4343 CAPLUS DOCUMENT NUMBER: 126:75181

ORIGINAL REFERENCE NO.: 126:14557a,14560a

TITLE: Preparation of erythromycins as bactericides

INVENTOR(S): Agouridas, Constantin; Chantot, Jean Francois; Denis, Alexis; Gouin d'Ambrieres, Solange; Le Martret, Odile

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Fr. Demande, 50 pp.

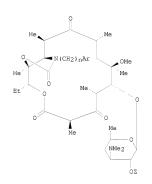
CODEN: FRXXBL DOCUMENT TYPE: Patent

LANGUAGE: French FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. DATE | APPLICATION NO. | DATE |
|------------------------|--------|-------------|----------------------------|-----------------|----------|
| | | | | | |
| FR 2732684 | A1 | 19961011 | FR 1995-4089 19950406 | FR 1995-4089 | 19950406 |
| FR 2732684 | B1 | 19970430 | | | |
| IN 1995DE01167 | A | 20070112 | IN 1995-DE1167 19950623 | IN 1995-DE1167 | 19950623 |
| IN 2008DE01348 | A | 20080725 | IN 2008-DE1348 20080605 | IN 2008-DE1348 | 20080605 |
| PRIORITY APPLN. INFO.: | | | FR 1995-4089 A 19950406 | FR 1995-4089 | 19950406 |
| | | | IN 1995-DE1167 A3 19950623 | IN 1995-DE1167 | 19950623 |
| OTHER SOURCE (S) . | MADDAT | 126 • 75191 | | | |

THER SOURCE(S): MARPAT 126:7518



AB Title erythromycins I (R = substituted heterocycle, n = 3-5, Z = H, carboxylate) were prepared as bactericides. Thus,

imidazol-1-yl)butyl)imino))erythromycin was prepared and tested for its antibacterial activity.

IT 173838-26-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)

- (preparation of erythromycins as bactericides)
- RN 173838-26-1 CAPLUS
- CN Erythromycin, 3-de[{2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-11,12-dideoxy-11,12-[[[4-(5H-iidazo[4,5-c]pyridin-5-yl)butyl]imino]carbonyloxy]-6-O-methyl-3-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 69 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:466897 CAPLUS DOCUMENT NUMBER: 125:142545

ORIGINAL REFERENCE NO.: 125:26677a,26680a

TITLE:

Preparation of heterocyclic LTA4 hydrolase inhibitors INVENTOR(S): Chandrakumar, Nizal Samuel; Chen, Barbara Baosheng; Clare, Michael; Desai, Bipinchandra Nanubhai; Djuric, Steven Wakefield; Docter, Stephan Hermann; Gasiecki,

Alan Frank; Haack, Richard Arthur; Liang, Chi-Dean; et

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

PCT Int. Appl., 342 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | | | | DATE | | | | | | | | | | | |
|-------|----|--------------|-------------|-------------------|------------|------------|-----|---------------------|--------------|------------|----------|------------|--------------|------------|------------|------------|----------------|--------------|------------|
| | | | | | | | | 1996 | | | | | | | | | | | |
| | | | AL, FI, | AM, GB, MG, | AT, GE, | AU, HU, | BB, | BG, JP, MX, | BR, KE, | BY, KG, | CA KF | , CI | H, (| CN, KZ, | CZ, LK, | DE, LR, | DK, LT, | EE, LU, | ES, LV, |
| | | RW: | LU, | | NL, | | | AT, BF, | | | | | | | | | | | |
| | US | 5585 | 492 | , | | A | | 1996 | 1217 | | US | 199 | 4-3 | 211 | 83 | | 1 | 9941 | 011 |
| | US | 5719 | 306 | | | A | | 1996 1998 | 0217 | | US | 199 | 5-4 | 660 | 10 | | 1 | 9950 | 606 |
| | | | | | | | | 1996 | | | | | | | | | | | |
| | ΑU | 9536 | 865 | | | A | | 1996 | 0502 | | AU | 199 | 5-3 | 686 | 5 | | 1 | 9951 | 010 |
| | ΕP | 8044 | 27 | | | A1 | | 1997 | 1105 | | ΕP | 199 | 5-9 | 345 | 54 | | 1 | 9951 | 010 |
| | ΕP | 8044 | 27 | | | B1 | | 2002 | 0918 | | | | | | | | | | |
| | ΕP | 1051 1221 | 2848 441 | · | · | T A2 | Ī | ES, 1998 2002 | 1208 0710 | į | JP EP | 199 200 | 6-5: 2-6 | 126 764 | 08 | · | 1: | 9951 9951 | 010 010 |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | (, I | Ι, . | ъΙ, | LU, | NL, | SE, | PT, | TE |
| | AT | 2243 | 8.T | | | T | | 2002 2003 | 1015 | | AT | 199 | 5-9. | 345 | 54 | | 11 | 9951 | 010 |
| | PT | 8044 | 21 | | | T | | 2003 | 0131 | | PT | 199 | 5-9. | 345 | 54 | | 1 | 9951 | 010 |
| PRIOR | | | | | | | | | | | US EP | 199 199 | 4-3: 5-9: | 211 345 | 83 54 | ; ; | A1 1: A3 1: | 9941 9951 | 011 010 |
| | | | | | | 1/2 D | | 100 | 1 405 | | | 100 | J .O. | U 1 2. | 505 | | . 1 | ,,,, | 010 |

OTHER SOURCE(S): MARPAT 125:142545 GT

The title compds. Ar1QAr2YRZ [Ar1, Ar2 = (un)substituted aryl; Z = (un) substituted nitrogen-containing moiety which may be an acyclic, cyclic or bicyclic amine or (an) (un) substituted monocyclic or bicyclic nitrogen-containing heteroarom. moiety; Q, Y = linking group; R = alkylene], useful in the treatment of inflammatory diseases which are mediated by LTB4 production [e.g., psoriasis (no data), ulcerative colitis (no data), irritable bowel syndrome (no data), and asthma (no data)], are prepared Thus, 4-phenoxyphenol was condensed with 1-(2-chloroethyl)pyrrolidine

hydrochloride, producing pyrrolidine I, which demonstrated a IC50 of 30 $\rm nM$ in a recombinant human LTA4 hydrolase assay.

IT 179399-04-3P
RN: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic LTA4 hydrolase inhibitors)

RN 179399-04-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[2,3-dihydro-5-(phenylmethyl)-2-benzofuranyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L.3 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:452004 CAPLUS DOCUMENT NUMBER: 125:142725

ORIGINAL REFERENCE NO.:

125:26717a,26720a TITLE: LTA4-Hydrolase inhibitors, pharmaceutical

compositions, and methods of use

INVENTOR(S): Chandrakumar, Nizal Samuel; Chen, Barbara Baosheng; Clare, Michael; Desai, Bipinchandra Nanubhai; Djuric, Steven Wakefield; Docter, Stephan Hermann; Gasiecki,

> Alan Frank; Haack, Richard Arthur; Liang, Chi-Dean; et al.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 362 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | ENT: | | | | | | DATE | | | APPL | ICAT | ION I | NO. | | D. | ATE | |
|----------|-----------------------|------------|------------|-----|-----|-----|-------------------|------|-----|------|--------------|-------|-----|-----|-----|------|-----|
| WO | 9610 9610 | 999 | | | A2 | | | | | WO 1 | 995- | US12 | 367 | | 1 | 9951 | 010 |
| | W: | FI, | GB, MG, | GE, | HU, | IS, | BG, JP, MX, | KE, | KG, | KP, | KR, | KZ, | LK, | LR, | LT, | LU, | LV, |
| | RW: | KE, LU, | MW, | NL, | | | AT, BF, | | | | | | | | | | |
| US | 6506 | | | | B1 | | 2003 | 0114 | | US 1 | 994- | 3211 | 84 | | 1 | 9941 | 011 |
| US | 5723 | 492 | | | A | | 1998 | 0303 | | US 1 | 995- | 4696 | 06 | | 1 | 9950 | 606 |
| | 2202 | | | | | | | | | | | | | | | | |
| | 9536 | | | | | | | | | | | | | | | | |
| EP | 7869 | | | | | | | | | | | | | | | | |
| | | | | | | | ES, | | | | | | | | | | |
| | 1051 | | | | T | | 1998 | 1202 | | | | | | | | | |
| PRIORITY | RIORITY APPLN. INFO.: | | | | | | | | | | 994- 995- | | | | | | |
| OTHER SO | URCE | (S): | | | MAR | PAT | 125: | 1427 | 25 | | | | | | | | |

The invention provides compds. Ar1-Q-Ar2-Y-R-Z and pharmaceutically acceptable salts thereof [wherein Ar1 and Ar2 = (un) substituted

@ HC1

III

(heterolaryl moieties; Z = (un)substituted N-containing moiety which may be an acyclic, cyclic, or bicyclic amine, or an (un)substituted monocyclic or bicyclic, N-containing, heteroarom. moiety; Q = 0, CH2, CCH2, CH20, NH, NHCH2, CH2NH, CF2, CHCH, CH2CH2, or bond; R = alkylene moiety; Y = 0, S, NH, S(0), S(0)2; Z is bound to R through a N atom]. I and their pharmaceutical compns. are useful in the treatment of inflammatory diseases which are mediated by LTB4 production, such as psoriasis, ulcerative colitis, inflammatory bowel disease, and asthma. Over 500 examples cover syntheses of various I and precursors, plus results of 3 bioassays. For instance, etherification of 1-(2-hydroxyethyl)pyrrolidine with 2-bromothiazole and NHH gave 74% 2-(2-pyrolidinoethoxy)thiazole, which was lithiated with Buli and treated with PhCHO to give the $5-(\alpha-hydroxybenzyl)$ derivative in 66% yield. This was reduced with EtSSH and CP3COZH to give 74% title compound II. In a recombinant human LTAA hydrolase assay, title compound III had ICSO of 2 nM.

IT 179022-94-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)aryloxyalkylamines and analogs as LTA4 hydrolase inhibitors)

RN 179022-94-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[2,3-dihydro-5-(phenylmethyl)-2-benzofuranyl]methyl]- (CA INDEX NAME)

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 71 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:337875 CAPLUS DOCUMENT NUMBER: 125:10809

DOCUMENT NUMBER: 125:10809 ORIGINAL REFERENCE NO.: 125:2373a,2376a

TITLE: Preparation of imidazopyridines as cardiovascular

agents
INVENTOR(S): Mederski, Werner; Osswald, Mathias; Schelling, Pierre;

Minck, Klaus-Otto; Beier, Norbert; Lues, Ingeborg; Dorsch, Dieter

Dorsen, Dieter

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GI

| PATENT NO. | | DATE | APPLICATION NO. | |
|-------------------------|--------|-----------|-------------------------|------------|
| | | | | |
| EP 702013 | | | EP 1995-113840 | 19950904 |
| EP 702013 | | | | |
| EP 702013 | | | | |
| | | | GB, GR, IE, IT, LI, LU, | |
| DE 4432860 | A1 | 19960321 | DE 1994-4432860 | |
| ES 2159589 PT 702013 | Т3 | 20011016 | ES 1995-113840 | |
| PT 702013 | T | 20011030 | PT 1995-113840 | |
| AU 9531715 | | | AU 1995-31715 | 19950908 |
| AU 702722 | B2 | 19990304 | | |
| SK 282116 | B6 | 20011106 | SK 1995-1123 | 19950911 |
| CA 2158225 | A1 | 19960316 | CA 1995-2158225 | 19950913 |
| CN 1129702 | A | 19960828 | CN 1995-116867 | 19950913 |
| CN 1046942 | С | 19991201 | | |
| CZ 286739 | B6 | 20000614 | CZ 1995-2362 | 19950913 |
| NO 9503624 | A | 19960318 | NO 1995-3624 | 19950914 |
| JP 08081466 | A | 19960326 | | 19950914 |
| ZA 9507754 | A | 19960409 | ZA 1995-7754 | 19950914 |
| HU 74939 | A2 | 19970328 | HU 1995-2688 | 19950914 |
| HU 220042 | В | 20011028 | | |
| US 5684015 | A | 19971104 | US 1995-528305 | 19950914 |
| RU 2156251 | C2 | 20000920 | RU 1995-115970 | 19950914 |
| GR 3036339 | Т3 | 20011130 | GR 2001-401191 | 20010807 |
| PRIORITY APPLN. INFO.: | | | DE 1994-4432860 | A 19940915 |
| OTHER SOURCE(S): | MARPAT | 125:10809 | | |

- AB 3,4-R1(RH2C)C6H4C6H4R2-2 [I; R = imidazopyridinyl group Q; Rl = halo, alkyl, CF3; R2 = alkanoylaminosulfonyl, (un)substituted SO2NHBz, etc.; R3 = (alkoxy)alkyl, alkoxy, alkenyl, etc.; H, (un)substituted alkyl, etc.; were pred. as angiotensin II inhibitors (no data). Thus, QH (R3 = Bu, R4 = H) was alkylated by I (R = Br, R1 = F, R2 = SO2NHCMe3) and the product converted in 3 addnl. steps to title compound II.
- IT 177263-98-8P 177264-10-7P 177264-18-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of imidazopyridines as cardiovascular agents) RN 177263-98-8 CAPLUS
- RN 177263-98-8 CAPLUS (Assembly Companies) (Asse

- RN 177264-10-7 CAPLUS
- CN Cyclopentanepropanamide, N-[[4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biohenyl]-2-yl]sulfonyl]- (CA INDEX NAME)

- RN 177264-18-5 CAPLUS
- CN Carbamic acid, N=[(4'-[(2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

L3 ANSWER 72 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:119185 CAPLUS

DOCUMENT NUMBER: 124:317157 ORIGINAL REFERENCE NO.: 124:58821a,58824a

TITLE: Platelet activating factor antagonists:

imidazopyridine indoles INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin,

Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.

PATENT ASSIGNEE(S): Abbott Laboratories, USA U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 324,631. SOURCE:

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|--|----------------------------|---|----------------------------------|
| US 5486525 CA 2176247 | | | |
| WO 9516687 W: AU, CA, JP, | A1 19950622 | | |
| | DE, DK, ES, FR, | GB, GR, IE, IT, LU, MC AU 1995-13036 | |
| EP 734386 EP 734386 | A1 19961002 B1 20020206 | EP 1995-904287 | |
| R: AT, BE, CH, AT 212992 PT 734386 ES 2173171 PRIORITY APPLN. INFO.: | | | 19941208 19941208 19941208 |
| | | US 1994-324631 US 1994-347528 WO 1994-US14112 | A 19941205 |

OTHER SOURCE(S): CASREACT 124:317157; MARPAT 124:317157

GI

ΔR The present invention relates to compds. of formula I wherein: R1 = one or more of the groups independently selected from, e.g., H, halo, OH, cyano; R2 is selected from the group consisting of, e.g., H, alkyl of one to 6 C atoms; R3 is selected from the group consisting of H and alkyl of one to six C atoms; L1 = e.g., CO, COCH2NR4 where R4 = e.g., H, alkyl of one to six C atoms; Arl is radical II where Y is O, S, or CH:CH, Z is N or CH, R11 = e.g., H, alkyl of one to six C atoms; L2 is selected from, e.g., a valence bond, (un) substituted straight-chain alkylene of one to six C atoms; Ar2 is selected from, e.g., substituted benzimidazol-1-yl, imidazopyridine group III where R13 = e.g., alkyl of one to six C atoms, alkenyl of two to six C atoms; R14 and R15 are independently selected from, e.g., H, alkyl of one to six C atoms, alkenyl of two to six C atoms; and the pharmaceutically acceptable salts thereof which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., carbamoylation of 6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (preparation given) with dimethylcarbamoyl chloride afforded 1-N, N-dimethylcarbamoyl-6-(4-fluorophenyl)-3-{4-[(1H-2methylbenzimidazolyl)methyl]benzoyl}indole (IV) which exhibited Ki = 56 nM for inhibition of specific [3H]C18-PAF binding. 170498-03-0P

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (imidazopyridine indoles as platelet activating factor antagonists) RN

170498-03-0 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluoropheny1)-N,N-dimethy1-3-[6-(2-methy1-5Himidazo[4,5-c]pyridin-5-yl)-1-oxohexyl]- (CA INDEX NAME)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 73 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:997457 CAPLUS 124:176809

DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 124:32815a,32818a

TITLE: Erythromycin derivatives, their process of preparation

and their use as medicaments.

Patent

INVENTOR(S): Agouridas, Constantin; Chantot, Jean-Francois; Denis, Alexis; Gouin d'Ambrieres, Solange; Le Martret, Odile

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE: French FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

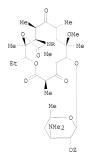
| PA: | TENT NO. | | | KIN |) | DATE | | A | PPL | ICAT | I NOI | NO. | | D | ATE | | |
|----------|---|------|------|----------|-----|--------------|--------------|-----|------|-----------------|---------|------|-----|------|---------------|-----|----|
| EP EP | 680967 680967 | | | A1 B1 | | 1995 1998 | 1108 1014 | Е | P 1 | .995- | 40091 | 87 | | 1 | 9950 | 502 | |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | ΙT, | LI, | LU, | MC, | NL, | PT, | SE |
| FR | R: AT, 2719587 2719587 113245 5635485 08053489 2992540 2189271 2189271 9529929 | | | A1 | | 1995 | 1110 | F | 'R 1 | .994- | 5368 | | | 1 | 9940 | 503 | |
| FR | 2/1958/ | | | BI | | 1996 | 0/12 | - | | 005 | | 4.5 | | | 0050 | | |
| 11 | 113245 | | | A | | 1999 | 1130 | 1 | ь 1 | .995- | 1132 | 45 | | 11 | 9950 | 404 | |
| US | 5635485 | | | A | | 1997 | 0603 | U | 12 1 | 995- | 42606 | b / | | 10 | 9950 | 421 | |
| JP | 20025489 | | | A D2 | | 1996 | 1220 | J | P 1 | .995- | 1287 | 91 | | 1 | 9950 | 201 | |
| 02 | 2992340 | | | 3.1 | | 1005 | 1220 | _ | | 005 | 2100 | 271 | | - 1 | 0050 | -00 | |
| CA | 2189271 | | | AI | | 1995 | 1109 | - | .A 1 | .995 | 2189 | 2/1 | | 1 | 9950 | 502 | |
| WO. | 0520020 | | | 7.1 | | 1005 | 1100 | T-I | 0 1 | 005 | DD E.C. | | | 1. | 0050 | 502 | |
| WO | W: AM, | 211 | DD | BC WI | DО | 1993 | 1102 | CN | 07 | יים פו | ET. | CF. | шп | .TD | KC | VD. | |
| | | | | | | LV, | | | | | | | | | | | |
| | | | | | | UA, | | | | | 110, | 1127 | , | 110, | 110, | 00, | |
| | | | | | | | | | | | TT | T.II | MC | NIT. | PT | SE | |
| | BF. | B.T. | CF. | CG. | CT. | CM, | GA. | GN. | MI. | MR. | NE. | SN. | TD. | TG | / | ~=, | |
| AU | 9524499 | | O. , | Α, | 0, | 1995 | 1129 | Α., | II 1 | 995- | 24499 | 9 | , | 11 | 9950 | 502 | |
| AU | 684027 | | | В2 | | 1997 | 1127 | | | | | | | | | | |
| ZA | 9503501 | | | A | | 1996 | 0502 | Z | A 1 | 995- | 3501 | | | 1 | 9950 | 502 | |
| HU | 75698 | | | A2 | | 1997 | 0528 | Н | IU 1 | 996- | 3038 | | | 1 | 9950 | 502 | |
| CN | 1151746 | | | A | | 1997 | 0611 | С | N 1 | 995- | 1939 | 11 | | 1 | 9950 | 502 | |
| CN | 1052984 | | | С | | 2000 | 0531 | | | | | | | | | | |
| BR | 9507700 | | | A | | 1997 | 0819 | В | R 1 | 995- | 7700 | | | 1 | 9950 | 502 | |
| RO | 113350 | | | B1 | | 1998 | 0630 | R | 0 1 | 996- | 2081 | | | 1 | 9950 | 502 | |
| AT | 172203 | | | T | | 1998 | 1015 | A | T 1 | 995- | 4009 | 87 | | 1 | 9950 | 502 | |
| ES | 2122472 | | | Т3 | | 1998 | 1216 | E | S 1 | 995- | 4009 | 87 | | 1 | 9950 | 502 | |
| RU | 2144036 | | | C1 | | 2000 | 0110 | R | U 1 | 996- | 12312 | 29 | | 1 | 9950 | 502 | |
| EE | 3263 | | | B1 | | 2000 | 0417 | E | E 1 | .996- | 151 | | | 1 | 9950 | 502 | |
| HU | 219599 | | | В | | 2001 | 0528 | H | IU 1 | 999- | 4687 | | | 1 | 9950 | 502 | |
| SK | 281707 | | | В6 | | 2001 | 0710 | S | K 1 | .996- | 1402 | | | 1 | 9950 | 502 | |
| PL | 182034 | | | В1 | | 2001 | 1031 | P | L 1 | .995- | 3170 | 71 | | 15 | 9950 | 502 | |
| CZ | 293455 | | | В6 | | 2004 | 0512 | C | Z 1 | .996- | 3214 | | | 11 | 9950 | 502 | |
| F.1 | 9604395 | | | A | | 1996 | 1031 | F. | 1 1 | 996- | 4395 | | | 1 | 9961 | 031 | |
| E.T | 111263 | | | BI | | 2003 | 0630 | | ** 4 | 000 | 401 | | | | 0001 | 101 | |
| LV | 11/39 | | | B | | 2001 | 0220 | L | V I | 996- | 1000 | C 1 | | 11 | 9961 | 101 | |
| NO. | RW: AT, BT, 9524499 (84027 9503501 75698 1151746 1052984 9507700 113350 172203 2122472 2144036 3263 219599 8110263 11739 63087 9604654 6100404 11152828 1010732 1229082 1010732 1229082 | | | BT | | 1006 | 1104 | B | 10 1 | .996- | 16 E 1 | DΙ | | 11 | 9961. 9961 | 101 | |
| NO | 2004034 | | | A D1 | | 1220 | 1106 | N | 10 1 | . , , , , , , , | 4034 | | | 1 | 770I | 104 | |
| IIC | 6100404 | | | y DT | | 2000 | 1100 | 11 | re a | 997 | 78084 | 6.1 | | 1.0 | 9970 | 100 | |
| TD | 11152296 | | | n N | | 1000 | 0000 | .T | D 1 | 998- | 7000t | 17 | | 1 | 227U. | R24 | |
| JP. | 3998828 | | | R2 | | 2007 | 1031 | J | . 1 | . , , , , , , , | ۵٫10. | 1 / | | 1 | ,,00 | 024 | |
| HK | 1010732 | | | Δ1 | | 2000 | 0519 | н | IK 1 | 998- | 1117 | 5.8 | | 1. | 9981 | 105 | |
| CN | 1229082 | | | A | | 1999 | 0922 | C | N 1 | 998- | 1230 | 72 | | 1 | 9981 | 207 | |
| 214 | | | | ~ ~ | | | | _ | | | | | | | | | |

| CN 1088709 | C | 20020807 | | | | |
|------------------------|---|----------|----|-------------|----|----------|
| JP 2007045847 | A | 20070222 | JP | 2006-314281 | | 20061121 |
| JP 2007269810 | A | 20071018 | JP | 2007-150991 | | 20070606 |
| PRIORITY APPLN. INFO.: | | | FR | 1994-5368 | A | 19940503 |
| | | | US | 1995-426067 | A3 | 19950421 |
| | | | JP | 1995-128791 | A3 | 19950501 |
| | | | HU | 1996-3038 | A | 19950502 |
| | | | WO | 1995-FR565 | W | 19950502 |
| | | | JP | 1998-251817 | A3 | 19980824 |

OTHER SOURCE(S): MARPAT 124:176809

Ι

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- AB Erythromycin cyclic carbamates I [R = (CH2)nR1; R1 = heteroary1; Z = H, ester group; n = 3-5] were prepared Thus, I [n = 4, R1 = 4-phenyl-1-imidazolcy1, Z = Ac, II] was obtained by treating the 12-imidazolcearboxylate with 4-(4-phenyl-1-imidazolcy1)-1-butanamine. II had a min. inhibitory concentration against Staphylococcus aureus 011UC4 of 0.04
- $\mu g/mL$ and also had activity against Haemophilus influenzae (no data).
- IT 173838-26-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation and bactericidal activity of erythromycin cyclic carbamates) RN 173838-26-1 CAPLUS
- CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-0-methyl-α-L-ribo-hexopyranosyl)oxy]-11,12-dideoxy-11,12-[[(4-(5H-imidazo(4,5-c)pyridin-5-yl)butyl]imino]carbonyloxy]-6-0-methyl-3-oxo-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L3 ANSWER 74 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:959351 CAPLUS DOCUMENT NUMBER: 124:175940

ORIGINAL REFERENCE NO.: 124:32627a,32630a

TITLE: 4,5-Dihydro-4-oxo-3H-imidazo[4,5-c]pyridines: potent arylacetic acid-derived AT1 antagonists with improved

affinity for the AT2 receptor

AUTHOR(S): Mederski, Werner W. K. R.; Dorsch, Dieter; Osswald,
Mathias; Beier, Norbert; Lues, Ingeborg; Minck,

Mathias; Beier, Norbert; Lues, Ingeborg; Minck,
Klaus-Otto; Schelling, PIerre; Ladstetter, Bernhard J.

CORPORATE SOURCE: Preclinical Pharmaceutical Res., Inst.

Pharmacokinetics and Metab., Grafing, 85567, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995),

5(22), 2665-70

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

AB The replacement of dimethylacetamide with arylacetic acid esters and acetamides at the imidazo[4,5-c]pyridine 5-position of EMD 66684 (I, R = K, R! = H, R2 = NMe2) imparts affinity for the AT2 receptor. The highest affinity was found with the phenylacetic acid iso-Pr ester moiety, which led to compound I (R = H, R! = Ph, R2 = isopropoxy) with an IC50 value of 32 nM (AT2) and an AT2/At1 ratio of 5.

IT 173542-04-6P 173542-05-7P 173542-06-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and angiotensia antagonist activity of)

RN 173542-04-6 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-(4-morpholinyl)-2oxo-1-phenylethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl](CA INDEX NAME)

- RN 173542-05-7 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-1-phenyl-2-(1pyrrolidinyl)ethyl]-3-[(2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-(CA INDEX NAME)

- RN 173542-06-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl)-4-yl]methyl](CA INDEX NAME)

L3 ANSWER 75 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:928154 CAPLUS

DOCUMENT NUMBER: 123:340121

ORIGINAL REFERENCE NO.: 123:61043a,61046a TITLE: Preparation of 3-

TITLE: Preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists
INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin,
Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu,

Lianhong; Carrera, George M., Jr.; Garland, Robert B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | PATENT NO. | | | | | D | DATE | | API | PLICAT | CION | DATE | | | | |
|---------|------------|-----|------|-----|-----------|-------|-------|------|-------|--------|-------|------|-----|------|------|-----|
| | | | | | | _ | | | | | | | | | | |
| WO | WO 9516687 | | | | A1 199506 | | | 0622 | WO | 1994- | -US14 | | 1 | 9941 | 208 | |
| | W: | AU, | CA, | JP, | KR | | | | | | | | | | | |
| | | | BE, | CH, | DE, | DK, | | | GB, G | | | | | | | |
| US | 5486 | 525 | | | A | | 1996 | 0123 | US | 1994- | -3475 | 28 | | 1 | 9941 | 205 |
| CA | 2176 | 247 | | | A1 | | 1995 | 0622 | CA | 1994- | -2176 | 247 | | 1 | 9941 | 208 |
| AU | 9513 | 036 | | | A | | 1995 | 0703 | AU | 1995- | -1303 | 6 | | 1 | 9941 | 208 |
| AU | 6906 | 20 | | | B2 | | 1998 | 0430 | | | | | | | | |
| EP | 7343 | 86 | | | A1 | | 1996 | 1002 | EP | 1995- | -9042 | 87 | | 1 | 9941 | 208 |
| EP | 7343 | 86 | | | B1 | | 2002 | 0206 | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, G | R, IE, | IT, | LI, | LU, | NL, | PT, | SE |
| AT | 2129 | 92 | | | T | | 2002 | 0215 | AT | 1995- | -9042 | 87 | | 1 | 9941 | 208 |
| PRIORIT | Y APP: | LN. | INFO | . : | | | | | US | 1993- | -1685 | 64 | P | 1 | 9931 | 216 |
| | | | | | | | | | US | 1994- | -3246 | 31 | P | 1 | 9941 | 018 |
| | | | | | | | | | US | 1994- | -3475 | 28 | P | 1 | 9941 | 205 |
| | | | | | WO | 1994- | -US14 | 112 | V | 7 1 | 9941 | 208 | | | | |

OTHER SOURCE(S): MARPAT 123:340121

AB Title compds. [I R = Z12Z3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (carboxy)alkyl, aminoalkyl, etc.; R3 = H, alkyl; R4 = (hetero)anellated imidazolyl, etc.; Z1 = CO, CONH, C(:NNH2), etc.; Z2 = bond, phenylene, heteroarylene, etc.; Z3 = bond, (un)substituted alkylene] were prepared Thus, 4-bromoindole was converted in 4 steps to I (R = COGH4CH2NH2, R1 = 4-Br, R2 = CONMe2, R3 = H) which was N-alkylated by 4-ethoxy-3-nitropyridine and the product converted in 2 steps to title compound II (R1 = Br). The latter was alkylated by Me3SnC.tplbond.CSiMe3 to give, after deprotection, II (R1 = C.tplbond.CH) which had Ki of 0.6nM for platelet activating factor inhibition in vitro

IT 170498-03-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

RN 170498-03-0 CAPLUS

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REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 76 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:896125 CAPLUS

DOCUMENT NUMBER: 123:313956

ORIGINAL REFERENCE NO.: 123:56283a

TITLE: Preparation of imidazo[4,5-c]pyridine pharmaceuticals INVENTOR(S): Mederski, Werner; Dorsch, Dieter; Osswald, Mathias;

Beier, Norbert; Schelling, Pierre; Minck, Klaus-Otto; Lues, Ingeborg

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------|--------|--------------|-----------------------|------------|--|--|
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| R: AT, BE, CH, | DE, DK | , ES, FR, GB | , GR, IE, IT, LI, LU, | NL, PT, SE | | |
| HU 72595 | A2 | 19960528 | HU 1994-3451 | 19941201 | | |
| CA 2137213 | A1 | 19950607 | CA 1994-2137213 | 19941202 | | |
| AU 9479190 | A | 19950615 | AU 1994-79190 | 19941202 | | |
| NO 9404689 | A | 19950607 | NO 1994-4689 | 19941205 | | |
| ZA 9409664 | A | 19950815 | ZA 1994-9664 | 19941205 | | |
| CN 1109056 | A | 19950927 | CN 1994-119893 | 19941205 | | |
| US 5532276 | A | 19960702 | US 1994-353309 | 19941205 | | |
| JP 07196656 | A | 19950801 | JP 1994-302170 | 19941206 | | |
| PRIORITY APPLN. INFO.: | | | DE 1993-4341453 | A 19931206 | | |
| OTHER SOURCE(S): | MARPAT | 123:313956 | | | | |
| CT | | | | | | |

AB The title compds. [I; Al = (un)substituted Ph, (un)substituted naphthyl, heterocyclyl, etc.; Rl = alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted CO2H, CN, NO2, 1H-5-tetrazolyl; R3 = (un)substituted NH2, cycloalkoxy, naphthyloxy, etc.; R4 = H, halogen; X = NHCO, CONH, CCH(CO2H), etc.; Y = O, S1 [e.g., 2-butyl-3-(2'-carboxybiphenylyl-4-

 $\label{eq:methyload} $$ methyl)-4,5-dihydro-4-oxo-5-(\alpha-N,N-dimethylcarbamoylbenzyl)-3H-imidazo[4,5-c]pyridine], useful as pharmaceuticals (no data), are prepared to the statement of the state$

and I-containing formulations presented. 169755-10-6P 169755-49-1P 169755-50-4P

169755-51-5P 169755-53-7P 169755-54-8P

169755-55-9P 169755-56-0P 169755-57-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazo[4,5-c]pvridine pharmaceuticals)

RN 169755-10-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-1-phenyl-2-(1-pyrrolidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-(CA INDEX NAME)

RN 169755-49-1 CAPLUS

CN 4R-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-1-phenyl-2-(1pyrrolidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, potassium salt (1:1) (CA INDEX NAME)

K

RN 169755-50-4 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, potassium salt (1:1) (CA INDEX NAME)

169755-51-5 CAPLUS

RN

RN

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-(4-morpholinyl)-2-oxo-1-phenylethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-potassium salt (1:1) (CA INDEX NAME)

● K

169755-53-7 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, potassium salt (1:1) (CA INDEX NAME)

• F

RN 169755-54-8 CAPLUS

MH_Imidazo(4,7-c)pyridin-4-one, 3,5-dihydro-5-[2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]-2-propyl-3-[[2'-(2H-etrazol-5-yl)[1,1'-biphenyl]-4yl]methyl]-, potassium salt (1:1) (CA INDEX NAME)

• к

RN 169755-55-9 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[2-[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-y1]methyl]-5H-imidazol4,5-c]pyridin-5-y1]-2-phenylacetyl]-, potassium salt (1:1) (CA INDEX NAME)

RN 169755-56-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(2H-tetrazo1-5-y1)[1,1'-biphenyl]-4-y1]methyl]-5H-imidazo[4,5-c]pyridin-5-y1]-2-phenylacetyl]-, ethyl ester, potassium salt (1:1) (CA INDEX NAME)

RN 169755-57-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5H-imidazo[4,5-c]pyridin-5-yl]2-phenylacetyl]-, 1,1-dimethylethyl ester, potassium salt (1:1) (CA INDEX NAME)

K

- IT 169755-10-6P 169755-11-7P 169755-12-8P 169755-13-9P 169755-14-0P 169755-15-1P 169755-16-2P 169755-17-3P 169755-18-4P 169755-22-0P 169755-23-1P 169755-24-2P
 - 169755-25-3P 169755-26-4P 169755-27-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of imidazo[4,5-c]pyridine pharmaceuticals from)
- RN 169755-10-6 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-1phenyl-2-(1-pyrrolidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-(CA INDEX NAME)

- RN 169755-11-7 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 169755-12-8 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-5-[2-(2,6-dimethyl-1piperidinyl)-2-oxo-1-phenylethyl]-4,5-dihydro-4-oxo-3H-imidazo[4,5cjpyridin-3-yl]methyl]- (CA INDEX NAME)

RN 169755-13-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-5-[2-(3,4-dihydro-1(2H)-quinolinyl)-2-oxo-1-phenylethyl]-4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 169755-14-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-5-[2-(4-morpholinyl)-2-oxo-1-phenylethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 169755-15-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-5-[2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3yl]methyl]- (CA INDEX NAME)

RN

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-5-[2-(4-formyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridin-3yl]methyll- (CA INDEX NAME)

- RN 169755-17-3 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[2-[2-butyl-3-[(2'-cyano[1,1'-biphenyl]-4-yl)methyl]-3,4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin-5-yl]-2-phenylacetyl]-,ethyl ester (CA INDEX NAME)

- RN 169755-18-4 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[2-[2-butyl-3-[(2'-cyano[1,1'-biphenyl]-4yl)methyl]-3, 4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin5-yl]-2phenylacetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 169755-22-0 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-4-oxo-5-[2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 169755-23-1 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[4,5-dihydro-5-[2-(4-morpholinyl)-2-oxo-1-phenylethyl]-4-oxo-2-propyl-3H-imidazo[4,5-c]pyridin-3-yl]methyl]-(CA INDEX NABE)

- RN 169755-24-2 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[4,5-dihydro-5-[2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-oxo-2-propyl-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 169755-25-3 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[5-[2-(4-formyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4,5-dihydro-4-oxo-2-propyl-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 169755-26-4 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[2-[3-[(2'-cyano[1,1'-bipheny1]-4-y1)methyl]-3,4-dihydro-4-oxo-2-propyl-5H-imidazo[4,5-c]pyridin-5-y1]-2-phenylacetyl]-, ethyl ester (CA INDEX NAME)

- RN 169755-27-5 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[2-[3-[(2'-cyano[1,1'-biphenyl]-4-y1)methyl]-3,4-dihydro-4-oxo-2-propyl-5H-middazo[4,5-c]pyridin-5-y1]-2-phenylacetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L3 ANSWER 77 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:797249 CAPLUS DOCUMENT NUMBER: 123:198617

ORIGINAL REFERENCE NO.: 123:35452h,35453a

TITLE: Imides as inhibitors of TNF alpha
INVENTOR(S): Muller. George P

INVENTOR(S): Muller, George W. PATENT ASSIGNEE(S): Celgene Corp., USA

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PA: | TENT NO. | | | KINI | D | DATE | | | P. | CAI | CIC | N. | NO. | | DATE | | |
|------|--|-----|------|-------|-----|----------|-----|-------------|----|-------|-------|------|---------|------|--------|---------|----|
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| WO | 9501348 | | | A3 | | 19950309 | | | | | | | | | | | |
| | W: AU, | CA, | CZ, | FI, | HU, | JP, KR, | ΝZ, | PΙ | ١, | RU, | S | Κ, | UA, | US | | | |
| | RW: AT, | BE, | CH, | DE, | DK, | ES, FR, | GB, | GE | ٦, | ΙE, | Ι | Τ, | LU, | MC, | NL, PT | , SE | |
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| CA | 2166315 | | | C | | 20060404 | | | | | | | | | | | |
| CA | 2531868 | | | A1 | | 19950112 | | CA | 19 | 94- | -25 | 31 | 868 | | 1994 | 0701 | |
| CA | 2166315 2166315 2531868 2626178 9472167 687843 706521 706521 | | | A1 | | 19950112 | | CA | 19 | 94- | -26 | 26 | 178 | | 1994 | 0701 | |
| ΑU | 9472167 | | | A | | 19950124 | | ΑU | 19 | 994- | -72 | 16 | 7 | | 1994 | 0701 | |
| ΑU | 687843 | | | B2 | | 19980305 | | | | | | | | | | | |
| EΡ | 706521 | | | A1 | | 19960417 | | ΕP | 19 | 94- | -92 | 14 | 39 | | 1994 | 0701 | |
| EΡ | 706521 | | | B1 | | 20021002 | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | SF |
| JΡ | 09500872 | | | T | | 19970128 | | JP | 19 | 95- | -50 | 36 | 48 | | 1994 | 0701 | |
| JΡ | 3971794 | | | B2 | | 20070905 | | | | | | | | | | | |
| ΗU | 75312 | | | A2 | | 19970528 | | HU | 19 | 96- | -3 | | | | 1994 | 0701 | |
| EΡ | 1004580 | | | A2 | | 20000531 | | EΡ | 20 | 000- | -20 | 04 | 91 | | 1994 | 0701 | |
| ΕP | 09500872 3971794 75312 1004580 1004580 1004580 | | | A3 | | 20021002 | | | | | | | | | | | |
| ΕP | 1004580 | | | B1 | | 20061220 | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | IE |
| ΕP | 1004581 | | | A2 | | 20000531 | | EP | 20 | 000- | -20 | 04 | 92 | | 1994 | 0701 | |
| EP | 1004581 | | | A.3 | | 20020814 | | | | | | | | | | | |
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| | R: AT, | | CH. | | | | GB. | GF | ٦. | TT. | Т | Τ. | LU. | NI | SE. MC | . PT. | TF |
| EP | | | | | | | | | | | | | | | | | |
| EP | 1004572 1004572 | | | Δ3 | | 20021002 | | | - | , , , | | | - | | 1,,,, | 0.01 | |
| EP | 1004572 | | | B1 | | 20060308 | | | | | | | | | | | |
| | D . 20 | DE | CH | DE | DV | EC ED | GB | GF | 2 | тт | т | т. | T.II | NIT. | SE MC | PT | TE |
| DΤ | 180377 | 22, | 0117 | B1 | D | 20010131 | OD, | DI. | 10 | 94- | . 2 1 | 23 | 86 | 1111 | 1994 | 0701 | |
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| T A | 2253// | | | T | | 20011010 | | AT. | 10 | 901_ | -02 | 111 | 30 | | 1994 | 0701 | |
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| E.C. | 2184765 | | | д.з | | 20030226 | | E.T. | 10 | 001_ | -92 | 114 | 30 | | 1994 | 0701 | |
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| ED. | 1477406 | | | 2.3 | | 20041013 | | MI | 20 | 100- | 77 | 104 | 92 E | | 1004 | 0701 | |
| EF | 1477400 | | | 3.2 | | 20041117 | | LF | 20 | 104- | - / / | 0 / | 3 | | 1994 | 0 / 0 1 | |
| LP | R: AT, | DE | CII | DE A3 | DV | Z0041Z15 | CD | CI | , | TT | т | т | T TT | NIT | CE MC | DT | TE |
| 07 | 204444 | DE, | Cn, | DE, | DK, | 20050112 | GD, | OF. | ,, | 11, | - | ٠, ١ | LU, | IAT. | 1004 | 0701 | TE |
| DT. | 1004501 | | | 50 | | 20050112 | | DT. | 20 | 103- | 20 | 0.4 | 0.2 | | 1004 | 0701 | |
| E.C. | 1004301 | | | T. | | 20050131 | | L.I | 21 | ,00- | 20 | 04 | 02 | | 1994 | 0701 | |
| E O | 210670 | | | 13 | | 20050401 | | 22 | 20 | ,00- | 20 | 04 | 00 | | 1994 | 0701 | |
| AI | 3196/8 | | | Τ. | | 20060315 | | AI | 20 | 100- | -20 | 04 | 20 | | 1994 | 0701 | |
| PT | 1004572 | | | T | | 20060630 | | PC | 20 | 100- | -20 | 04 | 98 | | 1994 | 0.701 | |
| ES | R: AT, 294444 1004581 2226696 319678 1004572 2258956 348809 2278574 9506362 | | | Т3 | | 20060916 | | ES | 20 | 100- | -20 | 04 | 98 | | 1994 | 0 / 0 1 | |
| AT | 348809 | | | T | | 20070115 | | AT | 20 | 100- | -20 | 04 | 91 | | 1994 | 0.701 | |
| ES | 2278574 | | | 13 | | 20070816 | | ES | 20 | 100- | -20 | 04 | 91 | | 1994 | 0701 | |
| FΙ | 9506362 | | | A | | 19960226 | | $_{\rm FI}$ | 19 | 195- | -63 | 62 | | | 1995 | 1229 | |

| FI | 1149 | | B1 | | 2005 | 0215 | | | | | | | | | | | |
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| EP | 1956 | 017 | | | A1 | | 2008 | 0813 | E | ΣP | 2008- | 9864 | | | | 19980 | 0528 |
| | R: | AT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, | FF | R, GB, | GR, | IE, | IT, | LI | , LU | MC, |
| | | NL, | PT, | SE | | | | | | | | | | | | | |
| US | 6476 | 052 | | | B1 | | 2002 | 1105 | U | JS | 2000- | 6339 | 8 0 | | | 20000 | 0807 |
| HK | 1025 | 769 | | | A1 | | 2005 | 0408 | | | 2000- | | | | | 20000 | 0810 |
| HK | 1025 | 765 | | | A1 | | 2006 | 0818 | H | ΙK | 2000- | 1049 | 91 | | | 20000 | 0810 |
| HK | 1025 | 770 | | | A1 | | 2007 | 0316 | H | ΙK | 2000- | 1049 | 90 | | | 20000 | 0810 |
| US | 2003 | 0144 | 325 | | A1 | | 2003 | 0731 | U | JS | 2003- | 3376 | 02 | | | 20030 | 0106 |
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| FI | 2004 | 0005 | 93 | | A | | 2004 | 0427 | | | 2004- | | | | | 20040 | 1427 |
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| | 2006 | | | | A | | 2006 | | | | 2006- | | | | | 20060 | |
| | 2006 | | | | A | | 2006 | | | | 2006- | | | | | 20060 | |
| | 2006 | | | | A | | 2006 | | | | 2006- | | | | | 20060 | |
| | 2006 | | | | A | | 2006 | | | | 2006- | | | | | 20060 | |
| | 2006 | | | | A1 | | 2006 | | | | 2006- | | | | | 20060 | |
| | 2006 | | | | A1 | | 2006 | 0817 | | | 2006- | | | | | 20060 | |
| PRIORIT: | Y APP | LN. | INFO | . : | | | | | | | 1993- | | | | | 1993 | |
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| | | | | | | | | | | | 1994- | | | | | 19940 | |
| | | | | | | | | | | | 2000- | | | | | 19940 | |
| | | | | | | | | | | | 1995- | | | | | 19940 | |
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| | | | | | | | | | | | 1996- | | | | | 19960 | |
| | | | | | | | | | | | 1996- | | | | | 19960 | |
| | | | | | | | | | | | 1997- | | | | | 1997 | |
| | | | | | | | | | | | 1997- | | | | | 19970 | |
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| | | | | | | | | | | | 2001- | | | | | 2001 | |
| | | | | | | | | | | JS | 2003- | 3376 | 02 | | A3 | 20030 | 0106 |
| OTHER SO | DURCE | (S): | | | MARE | AT | 123: | 19861 | L7 | | | | | | | | |

GI

AB A variety of cyclic imides and certain acyclic analogs and/or precursors are inhibitors of tumor necrosis factor a (no data) and can be used to combat cachexia, endotoxic shock, and retrovirus replication. One subgroup of the compds. is I [Rl = divalent residue of 3,4-pyridine, pyrrolidine, imidazole, naphthalene, thiophene, or C2-6 alkane (un) substituted by (un) substituted Ph; R2 = CO, SO2; n = 1-3]. A typical

embodiment from a different subgroup is Me 3-phthalimido-3-(3,4-dimethoxyphenyl)propionate, i.e. II, which was prepared from 3,4-(MeO)ZC6H3CM(NHZ)CHZCOZH by conversion to the Me ester hydrochloride with SOC12 and MeOH (66%) and reaction of this with N-(carboethoxy)phthalimide in the presence of Na2CO3 in aqueous MeCN (92%). A total of 93 synthetic examples and 6 formulations are given.

167887-30-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic imides and analogs as TNF-α inhibitors)

RN 167887-30-1 CAPLUS CN 4H-Imidazo[4,5-c]pv

4H-Imidazo[4,5-c]pyridine-4,6(5H)-dione, 5-(2,6-dioxo-3-piperidinyl)- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 78 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:750512 CAPLUS DOCUMENT NUMBER: 123:143899

ORIGINAL REFERENCE NO.: 123:25637a,25640a

TITLE: Preparation of

3-(4-biphenylylmethyl)-4,5,6,7-tetrahydroimidazo[4,5c]pyridine derivatives as angiotensin II antagonists

INVENTOR(S): Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro; Naito, Kazuaki; Narita, Hiroshi

PATENT ASSIGNEE(S): Tanabe Seivaku Co. Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 06312926 JP 1994-31109 19940301 19941108 JP 1994-31109 PRIORITY APPLN. INFO.: A 19940301 JP 1993-43108 19930304

OTHER SOURCE(S): GI

MARPAT 123:143899

AB The title compds. [I; R1 = H, lower alkyl; R2 = H, lower alkylsulfonyl, C(O)R, CH2R; wherein R = (un)substituted lower alkyl, lower alkoxy, 5- or 6-membered monocyclic heterocyclyl, (un)substituted Ph, H, (un)substituted NH2, lower alkenyl; R3 = optionally esterified CO2H; ring A = Ph optionally having substituents] and pharmacol. acceptable salts are prepared An angiotensin II antagonist for the treatment and prevention of hypertension, nephritis, diabetic nephritis, primary aldosteronism, arteriosclerosis, dementia, brain circulation failure, chronic heart failure, and/or angina pectoris contains the compound I as the active ingredient. Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5c]pyridine-4-carboxylate was dissolved in DMF, treated with NaH under ice-cooling, and condensed with [2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4yl]methyl bromide to give, after detritylation with HCl in CHCl3/MeOH and saponification with 1 N aqueous NaOH in MeOH, title compound (II.2Na; R1 = H, R2 =

II

COCHPh2) and its 1-[2'-(1H-tetrazol-5-yl)biphenyl-4-yl]methyl regioisomer. II.2Na (R1 = Pr, R2 = Ac) at 3 + 10-7 M in vitro inhibited 100% the angiotensin II-induced contraction of guinea pig aorta and at 3.0 mg/kg

p.o. in vivo lowered the blood pressure of spontaneous hypertensive rats by 45 mmHg. It at 3 mg/kg administered in duodenum of dogs in vivo also inhibited 80% the angiotensin II-induced hypertension.

T 166813-47-4P 166813-51-0P 166813-57-6P

166813-58-7P 166813-61-2P 166813-67-8P

166813-68-9P 166813-69-0P 166813-72-5P 166813-73-6P 166813-76-9P 166813-83-8P

166814-08-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (biphenylylmethyl)tetrahydroimidazo[4,5-c]pyridine derivs. as angiotensin II antagonists for treatment of diseases)

RN 166813-47-4 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid,

2-butyl-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-(2-thienylcarbonyl)-, methyl ester (CA INDEX NAME)

RN 166813-51-0 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid,

2-butyl-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-(2-thienylcarbonyl)-, sodium salt (1:2) (CA INDEX NAME)

2 Na

RN 166813-57-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-

2-buty1-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166813-58-7 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-buty1-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166813-61-2 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(3-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166813-67-8 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

- RN 166813-68-9 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid,
 2-butyl-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

- RN 166813-69-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-te-trahydro-5-(3-pyr)didnylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 166813-72-5 CAPLUS

CN 3H-Imidazo(4,5-c)pyridine-4-carboxylic acid, 2-butyl-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

RN 166813-73-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

- RN 166813-76-9 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(3-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

2 Na

- RN 166813-83-8 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166814-08-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-

yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

L3 ANSWER 79 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:721205 CAPLUS DOCUMENT NUMBER: 123:111747

ORIGINAL REFERENCE NO.: 123:19957a,19960a

TITLE: Preparation of antibacterial 1-methylcarbapenem derivatives

INVENTOR(S): Oida, Sadao; Tanaka, Teruo; Konosu, Toshuki; Mori,

Makoto; Myaoka, Takeo; Tajima, Kazu

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 55 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

AR

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| | | | | |
| JP 07101959 | A | 19950418 | JP 1993-244299 | 19930930 |
| PRIORITY APPLN. INFO.: | | | JP 1993-244299 | 19930930 |
| OTHER SOURCE(S): | MARPAT | 123:111747 | | |
| GI | | | | |

alkyl, alkenyl, C(:NR3); R3 = H, protecting group; R4 = H, alkyl, amino; A = cyclic substituent], useful as antibacterials (no data), are prepared Thus, (2S, 4S)-4-acetylthio-1-(4-nitrobenzyloxycarbonyl)-2-[(1S, 4S)-5-(4nitrobenzyloxycarbonyl)-2,5-diazabicyclo[2.2.1]heptan-2vllcarbonylpyrrolidine (preparation given) was treated with NaOMe in MeOH-THF and the product was reacted with (1R,5R,6S)-6-[(R)-1-hydroxyethyl]-1methyl-2-(diphenylphosphoryloxy)carbapenem-3-carboxylic acid 4-nitrobenzyl ester in MeCN containing diisopropylethylamine to give (1R, 5S, 6S) -6-(R) -1-hydroxyethyl-1-methyl-2-[[(2S, 4S)-1-(4nitrobenzyloxycarbonyl)-2-[[(2S,4S)-5-(4-nitrobenzyloxycarbonyl)-2,5diazabicyclo[2.2.1]heptan-2-yl]carbonyl]pyrrolidin-4-yl]thio]carbapenem-3carboxylic acid 4-nitrobenzyl ester. Pharmaceutical compns. containing I are described. 165893-60-7P RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of antibacterial 1-methylcarbapenem derivs.) 165893-60-7 CAPLUS 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[[1-[[(4-nitrophenyl)methoxy]carbonyl]-5-[(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-y1)carbony1]-3-

pyrrolidiny1]thio]-7-oxo-, (4-nitropheny1)methy1 ester, $[4R-[3(3S^*,5S^*),4\alpha,5\beta,6\beta(R^*)]]-(9CI)$ (CA INDEX NAME)

Title compds. I [R1 = H, protecting group; R2 = H, protecting group,

Absolute stereochemistry.

- II 165893-88-9P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of antibacterial 1-methylcarbapenem derivs.)
- RN 165893-88-9 CAPLUS
- No. 1-R-abicyclo[3.2.0]hept-2-ene-2-carboxylic acid,
 6-(1-hydroxyethyl)-4-methyl-7-xoc-3-[[5-[(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)-carboxyl]-3-pyrrolidinyl]thio]-,
 monohydrochloride, [4R-[3(35*,55*),4α,5β,6β(R*)]]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

HC1

ANSWER 80 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:478299 CAPLUS

DOCUMENT NUMBER: 122:239449

ORIGINAL REFERENCE NO .: 122:43761a,43764a TITLE: Preparation of (imidazopyridiniummethyl)cephem

compounds as antibacterials.

INVENTOR(S): Kim, Choong Sup; Ahn, Yang Soo; Jung, Kang Yeoun; Yun,

Rok Lim; Park, Seong Yong; Yoon, Yeo Hong; Lee, Keon Ho; Lvu, Chun Seon; Lee, Kwang Ho

PATENT ASSIGNEE(S): Cheil Foods and Chemicals Inc., S. Korea CODEN: PIXXD2

SOURCE: PCT Int. Appl., 23 pp.

RN

DOCUMENT TYPE: Patent LANGUAGE:

English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|---------------------|------------|
| | | | | |
| WO 9429321 | A1 | 19941222 | WO 1994-KR61 | 19940602 |
| W: CN, JP, RU | | | | |
| RW: AT, BE, CH, | DE, DK | | , GR, IE, IT, LU, M | |
| KR 194994 | B1 | 19990615 | KR 1993-10188 | 19930605 |
| US 5389627 | A | 19950214 | US 1993-145228 | 19931103 |
| PRIORITY APPLN. INFO.: | | | KR 1993-10188 | A 19930605 |
| OTHER SOURCE(S): | MARPAT | 122:239449 | | |
| GT | | | | |

Title compds. [I; Q = C, N; X = O, nitroalkylidene, cyanoimino, with the proviso that X cannot = 0 when 0 = C; R1= H (substituted) alkv1; R2, R3 = H, alkyl], were prepared Thus, $7\beta-[(2)-2-(5-amino-1,2,4-thiadiazol-3$ yl)-2-methoxyiminoacetamido]-3-acetoxymethyl-3-cephem-4-carboxylic acid in CH2C12 was treated with N-methyl-N-(trimethylsilyl)trifluoroacetamide and then with Me3SiI followed by concentration The concentrate was dissolved in MeCN/THF

and treated with a solution prepared from 2-(1H.3H)-oxoimidazo[4.5-c]pvridine and N. O-bis(trimethylsilvl)acetamide in MeCN to give

Ι

 $7\beta - [(Z) - 2 - (5 - amino - 1, 2, 4 - thiadiazol - 3 - yl) - 2 - methoxyiminoacetamido] - 3 -$ [2-(1H,3H)-oxoimidazo[4,5-c]pyridiniummethyl]-3-cephem-4-carboxylate. This showed an MIC of 0.780 µg/mL against Pseudomonas aeruginosa C2027.

162095-59-2P 162095-60-5P 162095-61-6P 162095-62-7P 162095-63-8P 162095-64-9P

162095-65-0P 162095-66-1P 162095-67-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (imidazopyridiniummethyl)cephem compds. as antibacterials) 162095-59-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-

thiazoly1) (methoxyimino) acety1] amino] -2-carboxy-8-oxo-5-thia-1-

Absolute stereochemistry.

Double bond geometry as described by E or Z.

RN 162095-60-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(5-amino-1,2,4-thiadiazol-3-yl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-2-(nitromethylene)-, inner salt, [6R-[6α,7β[2]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

RN 162095-61-6 CAPLUS

CN

1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2-(cyanoamino)-, inner salt, [6R-[6a,78(2)]]- (9CI) (CA INDEX NAME)

RN 162095-62-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(5-amino-1,2,4-thiadiazol-3-yl) (methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-(cyanoamino)-, inner salt, [6R-[6u,7B(Z)]]- [9CI) (CA INDEX NAME)

RN 162095-63-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(5-amino-1,2,4-thiadiazol-3-yl) (methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-2-oxo-, inner salt, [6R-[6c,7β(2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 162095-64-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazo[-3-y1)-2-(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-1-methy1-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 162095-65-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazo[3-y1)-2-(hydroxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 162095-66-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazo[3-y1)-2-[(carboxymethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 162095-67-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(5-amino-1,2,4-thiadiazo[-3-yl)-2-[(fluoromethoxy):mino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[(4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 81 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:284618 CAPLUS DOCUMENT NUMBER: 122:161011

ORIGINAL REFERENCE NO.: 122:29693a,29696a

TITLE: The syntheses of (±)-villagorqin A and villagorqin

AUTHOR(S): Grazul, Richard M.; Kuehne, Martin E.

CORPORATE SOURCE: Dep. Chemistry, Univ. Vermont, Burlington, VI, 05405,

USA

SOURCE: Natural Product Letters (1994), 5(3), 187-95

CODEN: NPLEEF; ISSN: 1057-5634

PUBLISHER: Harwood
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:161011

AB The marine indole alkaloid villagorgin A (I) was synthesized by reduction and cyclization of an indolylethylimidazopyridinium salt II. Its oxidation with mercuric acetate gave villagorgin B.

IT 161197-60-0P 161197-61-1P 161197-62-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of villagorgin A and villagorgin B)

RN 161197-60-0 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[2-(1H-indol-3-yl)ethyl]-3-[[2-(trimethylsilyl)ethoxy]methyl]-, bromide (1:1) (CA INDEX NAME)

Br -

RN 161197-61-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[2-(1H-indol-3-yl)ethyl]-1-[[2-(trimethylsilyl)ethoxy]methyl]-, bromide (1:1) (CA INDEX NAME)

Me3Si-CH2-CH2-O-CH2

● Br-

- RN 161197-62-2 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine, 4,5-dihydro-5-[2-(1H-indol-3-yl)ethyl]-3-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

- IT 161197-59-7P 161197-63-3P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (syntheses of villagorgin A and villagorgin B)
- RN 161197-59-7 CAPLUS
- KN 101137-33-7 CAFE03
- CN 3H-Imidazo[4,5-c]pyridinium, 5-[2-(1H-indol-3-yl)ethyl]-, bromide (1:1) (CA INDEX NAME)

• Br-

- RN 161197-63-3 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine, 4,5-dihydro-5-[2-(1H-indol-3-yl)ethyl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Me3Si-CH2-CH2-O-CH2

L3 ANSWER 82 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:680647 CAPLUS

DOCUMENT NUMBER: 121:280647

121:51239a,51242a ORIGINAL REFERENCE NO.:

TITLE: Preparation of

[(tetrazolylbiphenylyl)methyl]imidazo[4,5-c]pyridines and related compounds as angiotensin II antagonistes INVENTOR(S): Mederski, Werner; Dorsch, Dieter; Osswald, Mathias;

Beier, Norbert; Schelling, Pierre; Lues, Ingeborg;

Minck, Klaus Otto

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany SOURCE: Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PATENT NO. | | | | KIND | | DATE | | | APE | LICAT | 1 | DATE | | | | | | |
|-------|------------|------------------|-----|-----|------|----------|------|--------------|-------|-----|-------|-------|------|-----|-----|-----|-------|-----|--|
| | | 574846 574846 | | | | A2 A3 | | 1993 1994 | | | EP | 1993- | 1094 | 10 | | | 19930 | 611 | |
| | | R: A | Τ, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | , IE, | IT, | LI, | LU, | NL | PT, | SE | |
| | DE | 430560 | 2 | | | A1 | | 1993 | 1223 | | DE | 1993- | 4305 | 602 | | | 19930 | 224 | |
| | ΑU | 934123 | 8 | | | A | | 1993 | 1223 | | ΑU | 1993- | 4123 | 8 | | | 19930 | 611 | |
| | AU | 669895 | | | | B2 | | 1996 | 0627 | | | | | | | | | | |
| | CZ | 283081 | | | | B6 | | 1997 | 1217 | | CZ | 1993- | 1145 | | | | 19930 | 611 | |
| | CA | 209847 | 3 | | | A1 | | 1993 | 1218 | | CA | 1993- | 2098 | 473 | | | 19930 | 615 | |
| | NO | 930221 | 8 | | | A | | 1993 | 1220 | | NO | 1993- | 2218 | | | | 19930 | 616 | |
| | ZA | 930428 | 9 | | | A | | 1994 | 0117 | | ZA | 1993- | 4289 | | | | 19930 | 616 | |
| | CN | 108254 | 5 | | | A | | 1994 | 0223 | | CN | 1993- | 1071 | 94 | | | 19930 | 617 | |
| | CN | 103851 | 1 | | | С | | 1998 | 0527 | | | | | | | | | | |
| | HU | 64761 | | | | A2 | | 1994 | 0228 | | HU | 1993- | 1766 | | | | 19930 | 617 | |
| | JP | 060568 | 32 | | | A | | 1994 | 0301 | | JP | 1993- | 1463 | 12 | | | 19930 | 617 | |
| | US | 547685 | 7 | | | A | | 1995 | 1219 | | US | 1993- | 7759 | 2 | | | 19930 | 617 | |
| | PL | 173777 | | | | B1 | | 1998 | 0430 | | ΡL | 1993- | 2993 | 68 | | | 19930 | 617 | |
| PRIOR | RITY | APPLN | . 1 | NFO | . : | | | | | | DE | 1992- | 4219 | 818 | | Α : | 19920 | 617 | |
| | | | | | | | | | | | DE | 1993- | 4305 | 602 | - 1 | Α : | 19930 | 224 | |
| OTHER | 8 50 | DIRCE (S | ١. | | | MARP | ΤА | 121. | 28064 | 17 | | | | | | | | | |

OTHER SOURCE(S): MARPAT 121:280647 GΙ

AΒ Title compds. [I; R1 = alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R2 = H, CO2H, alkoxycarbonyl, cyano, NO2, acylamino, 1H-tetrazol-5-yl; R3 = substituted alkenyl, etc.; R4 = H, halo; X = null, NHCO, OCH(CO2H), NHCH(CO2H), CH:C(CO2H), CH:C(CN), etc.; Y = O, S], were prepared as

angiotensin II antagonists (no data). Thus, valeric acid and

4-amino-1,2-dihydro-2-oxo-3-[2'-(1H-5-tetrazoly1)biphenyly1-4-methylamino]-1-(N,N-dimethylcarbamoylmethyl)pyridine (preparation given) were heated 5 h in polyphosphoric acid at 140° to give

2-buty1-4,5-dihydro-5-(N,N-dimethylcarbamoylmethyl)-4-oxo-3-[2'-(1H-5-

tetrazolyl)biphenylyl-4-methyl]-3H-imidazo[4,5-c]pyridine. Generic I drug formulations are given.

: 156221-95-3P 156221-97-5P 156222-13-8P

156222-17-2P 158938-69-3P 158938-70-6P

158938-76-2P 158938-81-9P 158938-82-0P

158938-83-1P 158938-84-2P 158938-85-3P

158938-86-4P 158938-87-5P 158938-88-6P 158938-90-0P 158938-91-1P 158938-92-2P

158938-93-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist)

RN 156221-95-3 CAPLUS

NAME: NAME:

RN 156221-97-5 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[(2-ethyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 156222-13-8 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-2-(1pyrrolidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-(CA INDEX NAME)

RN 156222-17-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-oxo-2-(1piperidinyl)ethyl]-3-[(2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-y1]methyl](CA INDEX NAME)

RN 158938-69-3 CAPLUS

CN 3-Piperidinecarboxamide, 1-[2-[2-butyl-3-[(2'-cyano[1,1'-biphenyl]-4-yl)methyl]-3,4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin-5-yl]acetyl]-N,N-diethyl- (CA INDEX NAME)

RN 158938-70-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-5-[2-[4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]-2-oxoethyl]-4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 158938-76-2 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[[3-(3-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4yl]methyl]- (CA INDEX NAME)

RN 158938-81-9 CAPLUS

CN 3-Piperidinecarboxamide, 1-[2-[2-butyl-3, 4-dihydro-4-oxo-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5H-imidazo[4,5-c]pyridin-5-yl]acetyl]-N,N-diethyl- (CA INDEX NAME)

RN 158938-82-0 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-5-[2-[4-[(4-fluorophenyl)sulfonyl]--piperazinyl]-2-oxoethyl]-3,5-dihydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} 0 \\ 0 \\ 0 \\ 0 \\ \end{array}$$

RN 158938-83-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(4-oxo-1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 158938-84-2 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-5-[2-[4-(2-methoxyphenyl)-1-piperidinyl]-2-oxoethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 158938-85-3 CAPLUS
- CN Acetamide, N-[1-[2-[3-[(2'-cyano[1,1'-bipheny1]-4-y1)methy1]-2-ethy1-3,4-dihydro-4-oxo-5H-imidazo[4,5-c]pyridin-5-y1]acety1]-3-pyrrolidiny1]- (CA INDEX NAME)

- RN 158938-86-4 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-5-[2-(4morpholinyl)-2-oxoethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

- RN 158938-87-5 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-5-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 158938-88-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-5-[2-[4-(2-nitrophenyl)-1-piperazinyl]-2-oxoethyl]-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 158938-90-0 CAPLUS

CN Acetamide, N-[1-[2-[2-ethyl-3,4-dihydro-4-oxo-3-[[2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-y1]methyl]-5H-imidazo[4,5-c]pyridin-5-y1]acetyl]-3-pyrrolidinyl]- (CA INDEX NAME)

RN 158938-91-1 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-(4-morpholinyl)-2oxoethyl]-3-[(2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA
INDEX NAME)

- RN 158938-92-2 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-[4-(2methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-3-[[2'-(2H-tetrazo1-5-yl)[1,1'biphenyl]-4-yl]methyl]- (CA INDEX NAME)

- RN 158938-93-3 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-[4-(2nitrophenyl)-1-piperaxinyl]-2-oxoethyl]-3-[(2'-(2H-tetrazol-5-yl)[1,1'biphenyl]-4-yl]methyl]- (CA INDEX NAME)

IT 158938-99-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as intermediate for angiotensin II antagonist)

- RN 158938-99-9 CAPLUS
- CN 4H-Imidazo[4,5-e]pyridin-4-one, 2-butyl-3,5-dihydro-5-[[3-(3-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-3-[[2'-[2-(triphenylmethyl)-2H-tetrazol-5-yl][(1,1'-biphenyl)]-4-yl]methyl]- (CA INDEX NAME)

L3 ANSWER 83 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:483197 CAPLUS DOCUMENT NUMBER: 121:83197

121:14953a,14956a ORIGINAL REFERENCE NO.:

Non-Peptide Angiotensin II Receptor Antagonists: TITLE: Synthesis and Biological Activity of a Series of Novel

4,5-Dihydro-4-oxo-3H-imidazo[4,5-c]pyridine

Derivatives

AUTHOR(S): Mederski, Werner W. K. R.; Dorsch, Dieter; Bokel,

Heinz-Hermann; Beier, Norbert; Lues, Ingeborg;

Schelling, Pierre

CORPORATE SOURCE: Preclinical Pharmaceutical Research, E. Merck,

Darmstadt, 64271, Germany

SOURCE: Journal of Medicinal Chemistry (1994), 37(11), 1632-45

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

GI

A series of novel non-peptide angiotensin II receptor antagonists containing 2,3,5-trisubstituted 4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridine was prepared via several synthetic routes. Their affinity for angiotensin II receptors was established in a binding assay experiment and in an isolated-organ test. Mols, with small alkyl groups at C-2 and the (methylbiphenylyl)tetrazole moiety at N-3 were the preferred compds. with affinities and potencies in the nanomolar range. Variations at the N-5 position modulate the activity. Substitution at N-5 with various benzyl groups led to derivs. with in vitro potencies in the nanomolar range, which were equivalent to those of losartan in these assays. Replacement of the N-5 hydrogen with acetic acid esters or, in particular, acetamides gave mols. with increased activity. The most potent was the amide I (R = CONEt2), which is superior to L-158,809 in vitro. Two prototypes were selected as their potassium salts for in vivo testing as antihypertensives. I (R = Ph, CONMe2) reduced blood pressure dose-dependently in spontaneously hypertensive rats when administered i.v. In this assay, I (R = CONMe2) is superior to losartan.

150694-46-5P 156222-13-8P 156222-17-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and angiotensin antagonist activity of)

т

RN 150694-46-5 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-3-[[2'-(2H-tetrazol-5yl)[1,1'-biphenyl]-4-yl]methyl]-5-(2-thienylmethyl)- (CA INDEX NAME)

- RN 156222-13-8 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-[2-oxo-2-(1pyrrolidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-(CA INDEX NAME)

- RN 156222-17-2 CAPLUS
- CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-ethyl-3,5-dihydro-5-[2-oxo-2-(1piperidinyl)ethyl]-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl](CA INDEX NAME)

- IT 150674-31-0P 156221-95-3P 156221-97-5P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of angiotensin antagonist
- imidazopyridinones)
- RN 150674-31-0 CAPLUS
- CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-(2-thienylmethyl)-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 156221-95-3 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-pyrrolidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 156221-97-5 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-ethyl-4,5-dihydro-4-oxo-5-[2-oxo-2-(1-piperidinyl)ethyl]-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

L3 ANSWER 84 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:134491 CAPLUS DOCUMENT NUMBER: 120:134491

ORIGINAL REFERENCE NO .:

120:23695a,23698a

TITLE: Preparation of imidazopyridine derivatives as drugs. INVENTOR(S): Mederski, Werner; Dorsch, Dieter; Beier, Norbert; Schelling, Pierre; Lues, Ingeborg; Minck, Klaus

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 9 pp.

Т

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-------------|------------------------|------------|
| | | | | |
| | | | | |
| DE 4211474 | A1 | 19931007 | DE 1992-4211474 | 19920406 |
| EP 564960 | A1 | 19931013 | EP 1993-105254 | 19930330 |
| R: AT, BE, CH, | DE, DK | , ES, FR, G | B, GR, IE, IT, LI, LU, | NL, PT, SE |
| CA 2093290 | A1 | 19931007 | CA 1993-2093290 | 19930402 |
| NO 9301302 | A | 19931007 | NO 1993-1302 | 19930405 |
| AU 9336731 | A | 19931014 | AU 1993-36731 | 19930405 |
| ZA 9302459 | A | 19931020 | ZA 1993-2459 | 19930405 |
| HU 64342 | A2 | 19931228 | HU 1993-999 | 19930406 |
| JP 06025239 | A | 19940201 | JP 1993-79806 | 19930406 |
| CN 1082045 | A | 19940216 | CN 1993-103877 | 19930406 |
| PRIORITY APPLN. INFO.: | | | DE 1992-4211474 | A 19920406 |
| OTHER SOURCE(S): | MARPAT | 120:134491 | | |

GI

Title compds. [I; R1 = H, A; R2 = H, halo, OH, OA, CO2H, CO2A, CONH2, AB cvano, NO2, amino, tetrazolvl, etc: R3 = H, cvanoalkvl, aralkvl, cycloalkyl, heterocyclylalkyl, (substituted) aralkyl, acylalkyl, heteroarylcarbonylalkyl, etc.; R4 = H, halo; Y = O, S; A = alkyl, alkenyl, alkynyl], were prepared as angiotensin II antagonists (no data). Thus, 2-butyl-4,5-dihydro-4-oxo-1(or 3-)H-imidazo[4,5-c]pyridine (preparation from 3,4-diamino-2-chloropyridine and valeric acid given) was stirred with K2CO3 and Me 4-bromomethylbenzoate in DMF to give 2-buty1-3,5-bis-4-methoxycarbonylbenzy1-4,5-dihydro-4-oxo-3H-imidazo[4,5c)pyridine. Pharmaceutical I formulations are given.

152460-50-9P 152460-57-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as angiotensin II antagonist)

RN 152460-50-9 CAPLUS

CN Benzoic acid, 4-[[2-butyl-4,5-dihydro-4-oxo-5-(2-thienylmethyl)-3H- imidazo[4,5-c]pyridin-3-y1]methy1]- (CA INDEX NAME)

RN 152460-57-6 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-5-(2H-tetrazol-5-ylmethyl)-3-[[4-(2H-tetrazol-5-yl)phenyl]methyl]- (CA INDEX NAME)

L3 ANSWER 85 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:603420 CAPLUS DOCUMENT NUMBER: 119:203420

DOCUMENT NUMBER: 119:203420 ORIGINAL REFERENCE NO.: 119:36285a,36288a

TITLE: Preparation of imidazopyridine derivatives with

angiotensin-II antagonist properties

INVENTOR(S): Mederski, Werner; Dorsch, Dieter; Beier, Norbert;

Schelling, Pierre; Lues, Ingeborg; Minck, Klaus Otto

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------|----------------------|----------------------|---------------|
| EP 547514 EP 547514 | A2 A3 | 19930623 19930714 | EP 1992-121110 | 19921211 |
| R: AT, BE, CH | | | B, GR, IE, IT, LI, L | U, NL, PT, SE |
| DE 4141788 | A1 | 19930624 | DE 1991-4141788 | 19911218 |
| CA 2085094 | A1 | 19930619 | CA 1992-2085094 | 19921210 |
| AU 9230191 | A | 19930624 | AU 1992-30191 | 19921216 |
| AU 653281 | B2 | 19940922 | | |
| NO 9204890 | A | 19930621 | NO 1992-4890 | 19921217 |
| ZA 9209790 | A | 19930623 | ZA 1992-9790 | 19921217 |
| US 5242928 | A | 19930907 | US 1992-991888 | 19921217 |
| HU 67086 | A2 | 19950130 | HU 1992-3994 | 19921217 |
| JP 05279360 | A | 19931026 | JP 1992-338917 | 19921218 |
| PRIORITY APPLN. INFO.: | | | DE 1991-4141788 | A 19911218 |
| OTHER SOURCE(S): | MARPAT | 119:203420 | | |

AB Title compds. [I, Rl = alkyl, alkenyl, alkynyl, R2 = H, CO2H, alkoxycarbonyl, CH3, NO2, NHCOR5, NHSO2R5, 1H-tetrazol-5-yl; R3 = acylalkyl, heteroarylalkyl; R4 = H, halo; R5 = (fluoro)alkyl; X = null, NHCO, OCH(CO2H), NHCH(CO2H), CH:C(CO2H), CH:C(CD), CH:C(CD), etc.; Y = O, S] were prepared as angiotensin II antagonists (no data). Thus, valeric acid was

heated with 4-amino-1,2-dihydro-2-oxo-3-[2'-(1H-5-tetrazoly1)biphenyly1-4-methylamino[-1-(2-thienylmethy1)pyridine (preparation given) in polyphosphonic acid at 140° followed by aqueous NaOH workup to give title compound II.

IT 150674-29-6P 150694-46-5P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as angiotensin II antagonist)

RN 150674-29-6 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 5-[2-(2-benzofuranyl)-2-oxoethyl]-2-butyl3,5-dihydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA
INDEX NAME)

RN 150694-46-5 CAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 2-butyl-3,5-dihydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-(2-thienylmethyl)- (CA INDEX NAME)

IT 150674-31-0P 150674-36-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as intermediate for angiotensin II antagonist)

RN 150674-31-0 CAPLUS

CN

[1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-4,5-dihydro-4-oxo-5-(2-thienylmethyl)-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX NAME)

RN 150674-36-5 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[5-[2-(2-benzofuranyl)-2-oxoethyl]-2butyl-4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridin-3-yl]methyl]- (CA INDEX

L3 ANSWER 86 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:495528 CAPLUS DOCUMENT NUMBER: 119:95528

ORIGINAL REFERENCE NO.: 119:17236h,17237a

TITLE:

Preparation of 3-(biphenylylmethyl)-4,5-6,7-tetrahydroimidazo[4,5-

c]pyridines as angiotensin II antagonists

Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro;

Naito, Kazuaki; Narita, Hiroshi

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 42 pp. CODEN: EPXXDW

INVENTOR(S):

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | | DATE | APPLICATION NO. | DATE |
|-------------------------|--------|-----------|-------------------------|-------------|
| EP 531874 | | 19930317 | EP 1992-114976 | 19920902 |
| | | | GB, GR, IE, IT, LI, LU, | NL, PT, SE |
| AU 9222057 AU 644540 | A | 19930311 | AU 1992-22057 | 19920902 |
| AU 644540 | B2 | 19931209 | | |
| CA 2077419 | A1 | 19930311 | CA 1992-2077419 | 19920902 |
| CA 2077419 | C | 19980825 | | |
| IL 103020 | A | 19981030 | IL 1992-103020 | 19920902 |
| US 5409936 | | | | |
| JP 05279361 | A | 19931026 | JP 1992-239078 | 19920908 |
| JP 2564784 | B2 | 19961218 | | |
| JP 05279362 | A | 19931026 | JP 1992-239079 | 19920908 |
| JP 2564785 | B2 | 19961218 | | |
| KR 159538 | B1 | 19981201 | KR 1992-16408 | 19920908 |
| CN 1070912 | A | 19930414 | CN 1992-110594 | 19920910 |
| CN 1039323 | С | 19980729 | | |
| FI 98368 | В | 19970228 | FI 1992-4044 | 19920910 |
| FI 98368 | C | 19970610 | | |
| US 5424316 | A | | | |
| US 5510354 | A | 19960423 | US 1995-405201 | 19950316 |
| PRIORITY APPLN. INFO.: | | | JP 1991-308561 | A 19910910 |
| | | | JP 1992-53043 | A 19920127 |
| | | | US 1992-940336 | A3 19920903 |
| | | | US 1993-58925 | A3 19930510 |
| OTHER SOURCE(S): | MARPAT | 119:95528 | 3 | |

GI

- AB Title compds. [I; Rl = H, alkyl; R2 = H, alkylsulfonyl, R4C(:Z); R3 = CO2H, alkoxycarbonyl; R4 = (substituted) alkyl; Z = O, (H.H); ring A may be substituted], were prepared as angiotensin II antagonists (no data). Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyrimidine-4-carboxylate (preparation given), [2'-(1-trityl-1H-tetrazol-5-yl)biphen-4-yl]methyl bromide, and NaH were stirred in DMF at ice temperature-room
- temperature to
 give Me 5-diphenylacetyl-3-[2'-(1-trityl-1H-tetrazol-5-yl)biphen-4yl]methyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine-4-carboxylate, together
 with the 1-substituted imidazopyridine. I were said to show significant
 hypotensive activity at 3 mc/ko orally in rats together with low toxicity.
 - T 166813-47-4P 166813-51-0P 166813-57-6P 166813-58-7P 166813-61-2P 166813-67-8P 166813-68-9P 166813-69-0P 166813-72-5P 166813-73-6P 166813-76-9P 166813-83-8P
 - 166814-08-0P RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of, as angiotensin II antagonist)
 RN 166813-47-4 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4vllmethyl-5-(2-thienylcarboxyl)-, methyl ester (CA INDEX NAME)

- RN 166813-51-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetranydro-3-[[2'-(2H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-5-(2-thienylcarbonyl)-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

- RN 166813-57-6 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-5-(2-furanylcarbonyl) -4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]--, methyl ester (CA INDEX NAME)

- RN 166813-58-7 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166813-61-2 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(3-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166813-67-8 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid,
 2-butyl-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

- RN 166813-68-9 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid,
 2-butyl-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-y1)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

- RN 166813-69-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-te-trahydro-5-(3-pyr)didnylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 166813-72-5 CAPLUS

CN 3H-Imidazo(4,5-c)pyridine-4-carboxylic acid, 2-butyl-5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

RN 166813-73-6 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(2-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

- RN 166813-76-9 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 2-butyl-4,5,6,7-tetrahydro-5-(3-pyridinylcarbonyl)-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

2 Na

- RN 166813-83-8 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (CA INDEX NAME)

- RN 166814-08-0 CAPLUS
- CN 3H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(2-furanylcarbonyl)-4,5,6,7-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-

yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

L3 ANSWER 87 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:254907 CAPLUS DOCUMENT NUMBER: 118:254907

ORIGINAL REFERENCE NO.: 118:44301a,44304a

TITLE:

Preparation of

(tetrazolyl)biphenylylmethylimidazopyridines as

angiotensin II antagonists.

INVENTOR(S): Mederski, Werner; Sombroek, Johannes; Schelling, Pierre; Beier, Norbert; Lues, Inge; Minck, Klaus Otto

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

Eur. Pat. Appl., 25 pp.

SOURCE:

CODEN: EPXXDW Patent

DOCUMENT TYPE: LANGUAGE:

German FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA: | TENT NO. | | KIND | DATE | APPLICATION NO. | DATE |
|-----|----------|---------|--------|-----------|-------------------------|----------|
| EP | 505893 | | A1 | 19920930 | EP 1992-104571 | 19920317 |
| EP | 505893 | | B1 | 20000621 | | |
| | R: AT, I | BE, CH, | DE, DK | , ES, FR, | GB, GR, IT, LI, LU, NL, | PT, SE |
| DE | 4110019 | | A1 | 19921001 | DE 1991-4110019 | 19910327 |
| DE | 4110019 | | C2 | 20000413 | | |
| CZ | 280591 | | B6 | 19960214 | CZ 1992-782 | 19920316 |
| AT | 194005 | | T | 20000715 | AT 1992-104571 | 19920317 |
| ES | 2148156 | | Т3 | 20001016 | ES 1992-104571 | 19920317 |
| PT | 505893 | | T | 20001229 | PT 1992-104571 | 19920317 |
| AU | 9213141 | | A | 19921001 | AU 1992-13141 | 19920323 |
| AU | 655458 | | B2 | 19941222 | | |
| CA | 2063926 | | A1 | 19920928 | CA 1992-2063926 | 19920325 |
| CA | 2063926 | | C | 20021001 | | |

19930521 JP 05125077 JP 1992-98606 19920326 JP 3382963 B2 20030304 HU 63165 A2 19930728 HU 1992-932 19920326 HU 221010 В1 20020729 GR 3034230 Т3 20001229 GR 2000-401915 20000818 PRIORITY APPLN. INFO .: DE 1991-4110019 A 19910327

OTHER SOURCE(S): MARPAT 118:254907

- AB Title compds. I [R = Q1, Q2, etc.; R1 = A, C2-6 alkenyl, C2-6 alkynyl; R2 = CO2H, CO2A, cyano, NO2, NH2, NHCOR5, NHSO2R5, 5-tetrazoly1; R3 = H, halo, A, OA, NO2; R4 = H, R5, cyanoalkyl, 5-tetrazolyl-C1-6 alkyl, CO2A, (substituted) C7-11 aralkyl, Q3, etc.; R5 = C1-4 (fluoro)alkyl; R6 = H, halo; X = bond, CO, O, NHCO, CONH, CH2O, OCH2; Y = O, S; A = C1-6 alkyl; halo = F, Cl, Br, iodo] were prepared as angiotensin II antagonists useful as antihypertensives and for the treatment of aldosteronism and congestive heart failure (no data). Thus, cyclocondensation of 3,4-diamino-2-chloropyridine with valeric acid and N-alkylation of the product by 4'-bromomethyl-2-cyanobiphenyl gave 2-butyl-3-(2'-cyanobiphenylyl-4-methyl)-4-chloro-3H-imidazo[4,5-[R = Q1; R1 = Bu; R2 = cyano; R3 = R4 = R6 = H; Y = O; X = bond].
- c]pyridine. Oxidation of the latter by MeCO2Aq in HOAc gave title compound I Formulations containing I were prepared тт 145047-14-9P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist)
- 145047-14-9 CAPLUS RN CN 4H-Imidazo[4,5-c]pvridin-4-one, 2-butvl-3,5-dihydro-3-[[2'-(2H-tetrazol-5v1)[1,1'-biphenv1]-4-v1]methv1]-5-(2H-tetrazol-5-v1methv1)- (CA INDEX NAME)

L3 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:233766 CAPLUS DOCUMENT NUMBER: 118:233766

118:40479a,40482a ORIGINAL REFERENCE NO.:

Preparation of 3-(fused pyridiniomethyl)cephalosporins TITLE: as antibiotics

Kim, Choong Sup; An, Seung Ho; Cho, Sung Ki; Ahn, Yang INVENTOR(S): Soo; Choi, Kyoung Eob; Kim, Je Hak; Yun, Rok Lim;

Park, Sung Yong; Yoon, Yeo Hong; Lvu, Chun Seon

PATENT ASSIGNEE(S): Cheil Foods and Chemicals, Inc., S. Korea

SOURCE: PCT Int. Appl., 58 pp.

GI

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | TENT NO. | | | KIND | DATE | APPLICATION NO. | DATE |
|----------|------------|------|-----|--------|-----------|---|------------|
| WO | | AU, | BG, | | 19921223 | WO 1992-KR16 FI, GB, HU, JP, NL, RU, | 19920518 |
| | RW: BE, | | IΤ | | | 4004 0000 | |
| | 174824 | | | B1 | 19990201 | | 19910615 |
| | 182862 | | | | 19990501 | | |
| CA | 2111459 | | | A1 | 19921223 | CA 1992-2111459 | 19920518 |
| AU | 9217861 | | | A | 19930112 | AU 1992-17861 | 19920518 |
| CH | 683342 | | | A5 | 19940228 | CH 1993-481 | 19920518 |
| EP | 589914 | | | A1 | 19940406 | EP 1992-910520 | 19920518 |
| | R: FR. | IT | | | | | |
| GB | 2271569 | | | A | 19940420 | GB 1993-24280 | 19920518 |
| GB | 2271569 | | | В | 19950531 | | |
| DE | 4291862 | | | TO | 19940505 | DE 1992-4291862 | 19920518 |
| ZA | 9203900 | | | A | 19930224 | ZA 1992-3900 | 19920527 |
| US | 5281589 | | | A | 19940125 | US 1992-896667 | 19920610 |
| SE | 9304027 | | | A | 19931203 | SE 1993-4027 | 19931203 |
| PRIORITY | APPLN. | INFO | | | | KR 1991-9930 A | |
| | | | | | | KR 1992-2067 A | |
| | | | | | | WO 1992-KR16 A | |
| OTHER SC | DURCE (S): | | | MARPAT | 118:23376 | | . 15520510 |

AB Title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (CH2)mF, CR4R5(CH2)y COR6; m = 1-3; R4,R5 = H, alkyl; CR4R5 = C3-7cycloalkyl; R6 = OH, amino, alkoxy; y =0-3; R2,R3 = H, alky1, amino, carboxyalky1, hydroxyalkyl, cycloalkyl; n = 1,2; the 2-oxoheterocyclo moiety is fused at the (2,3) or (3,4) positions of the pyridine ring] were prepared Thus, $7\beta - [(Z) - 2 - (2-aminothiazo1 - 4 - y1) - 2-methoxyiminoacetamido] - 3$ acetoxymethyl-3-cephem-4-carboxylic acid in CH2C12 was treated

successively with N-methyl-N-(trimethylsilyl)trifluoroacetamide and then with Me3SiI to give an oil which in MeCN/THF was treated with

2,3(1H,4H)-dioxopyrazino[5,6-c]pyridine (preparation given) silylated with N,O-bis(trimethylsilyl)acetamide to give

 7β -[(Z)-2-(2-aminothiazol-4-yl)-2-methoxyiminoacetamido]-3-

[2,3(1H,4H)-dioxopryazino[5,6-c]pyridiniummethyl]-3-cephem-4-carboxylate. The latter had MIC of 3.125 µg/mL against Pseudomonas aeruginosa.

IT 146950-52-9P 146950-53-0P 146950-54-1P 146950-55-2P 146950-57-4P 146950-58-5P

146950-59-6P 146950-61-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibacterial)

RN 146950-52-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 146950-53-0 CAPLUS

1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazolyl)-2-(methoxylmino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-1-methyl-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 146950-54-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazolyl)-2-(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 146950-55-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-1-(2-hydroxyethy1)-2-oxo-, inner sait (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 146950-57-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-[(fluoromethoxy)imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 146950-58-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-[(fluoromethoxy)imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-1-methy1-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 146950-59-6 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 1-amino-5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-[(fluoromethoxy)!mino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

- RN 146950-61-0 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[(6R,7R)-7-[[(2Z)-2-(2-amino-4-thiazoly1)-2-[(carboxymethoxy)imino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-y1]methy1]-2,3-dihydro-2-oxo-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L3 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:633918 CAPLUS DOCUMENT NUMBER: 117:233918

ORIGINAL REFERENCE NO.: 117:40455a,40458a

New bronchodilators. 1. 1,5-Substituted TITLE:

1H-imidazo[4,5-c]quinolin-4(5H)-ones

Suzuki, Fumio; Kuroda, Takeshi; Nakasato, Yoshisuke; Manabe, Haruhiko; Ohmori, Kenji; Kitamura, Shigeto;

Ichikawa, Shunji; Ohno, Tetsuji

CORPORATE SOURCE: Pharm, Res. Lab., Kyowa Hakko Kogyo Co., Ltd.,

Shizuoka, 411, Japan

SOURCE: Journal of Medicinal Chemistry (1992), 35(22), 4045-53

CODEN: JMCMAR; ISSN: 0022-2623 DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:233918

GI

AUTHOR(S):

AB A series of novel xanthine-based tricyclic heterocycles, 1H-imidazo[4,5-c]quinolin-4(5H)-ones I [R1 = Me, CH2Ph, Et, Bu, Ph, etc., R2 = H, Ph, Me, 2-furyl, OH, etc., R3 = Me, Et, Bu, CH2Ph, CH2CO2H, etc., X = H, 7-Cl, 8-Cl, 9-Me, 8-Me, 7,8-(MeO)2], was designed, synthesized, and tested as potential active bronchodilators. Thus, reacting imidazoquinolines II with AcOH/H2O2 and Ac2O followed by alkylation gave I. Inhibition of the Schulz-Dale (SD) reaction-induced contraction in trachea and inhibition of antigen inhalation-induced bronchospasm in passively sensitized quinea pigs served as primary in vitro and in vivo assays, resp. The bronchodilatory activity of these heterocycles was considerably varied with the nature of substituents at the 5-position. The most active substituents at the 2 5-positions on the benzene ring were found to be hydrogen, n-Bu, and hydrogen, resp. I (R1 = Me, R2 = H, R3 = Bu, X = H) (III, KF15570) reduced bronchoconstriction produced by antigen (Konzett-Roessler preparation in anesthetized quinea pigs, ED50 = 0.42 mg/kg, i.v.) more efficiently than aminophylline (ethylenediamine salt of theophylline, ED50 = 7.8 mg/kg, i.v.) but had fewer side effects on the heart and CNS than theophylline. III and its derivs, showed weak adenosine antagonism and phosphodiesterase (PDE) inhibition which could not account for their potent bronchodilation.

133305-94-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and bronchodilating activity of)

RN 133305-94-9 CAPLUS

CN 4H-Imidazo[4,5-c]quinolin-4-one, 5-(2-furanylmethyl)-1,5-dihydro-1-methyl-(CA INDEX NAME)

L3 ANSWER 90 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:128504 CAPLUS DOCUMENT NUMBER: 116:128504

ORIGINAL REFERENCE NO.: 116:21743a,21746a

TITLE: Preparation of

3-(3-pyridinio-1-propenyl)cephalosporins and analogs as antibiotics

INVENTOR(S):

Aszodi, Jozsef; Chantot, Jean Francois; Gouin

d'Ambrieres, Solange PATENT ASSIGNEE(S):

Roussel-UCLAF, Fr.

SOURCE:

Eur. Pat. Appl., 65 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | | APPLICATION NO. | |
|------------------------|----------|----------------------------|-------------|
| EP 462009 EP 462009 | A1 19911 | 218 EP 1991-401570 | |
| | | FR, GB, GR, IT, LI, LU, NL | , SE |
| FR 2663332 | A1 19911 | | |
| FR 2663332 | B1 19971 | | |
| IL 98318 | A 19960 | 131 IL 1991-98318 | 19910531 |
| IL 114629 | A 19970 | 610 IL 1991-114629 | 19910531 |
| ZA 9104457 | A 19920 | 826 ZA 1991-4457 | 19910611 |
| JP 04230289 | A 19920 | 819 JP 1991-167421 | 19910613 |
| JP 3080692 | | 828 | |
| AT 172733 | T 19981 | 115 AT 1991-401570 | 19910613 |
| ES 2124698 | T3 19990 | 216 ES 1991-401570 | 19910613 |
| CA 2044700 | A1 19911 | 216 CA 1991-2044700 | 19910614 |
| AU 9178370 | A 19911 | 219 AU 1991-78370 | 19910614 |
| AU 643289 | B2 19931 | 111 | |
| HU 58101 | A2 19920 | 128 HU 1991-1985 | 19910614 |
| CZ 282244 | B6 19970 | 611 CZ 1991-1830 | 19910614 |
| SK 280156 | | 910 SK 1991-1830 | |
| RU 2078085 | C1 19970 | 427 RU 1992-5052193 | 19920803 |
| US 5416080 | A 19950 | 516 US 1993-80572 | |
| PRIORITY APPLN. INFO.: | | FR 1990-7491 | A 19900615 |
| | | IL 1991-98318 | A3 19910531 |
| | | US 1991-715510 | B1 19910614 |

OTHER SOURCE(S): MARPAT 116:128504

GI

$$Q = R^{4}NH \qquad NOCH(CO_{2}A^{1}) \longrightarrow OR^{3}$$

$$Q = R^{4}NH \qquad NOCH(CO_{2}A^{1}) \longrightarrow OR^{3}$$

$$OR^{2}$$

$$RNH \qquad 7 \qquad 6 \qquad S$$

$$O \qquad CH = CHCH_{2}R^{1}$$

$$CO_{2}A \qquad I$$

- AB Title compds. [I; A = H, alkali metal atom, ester residue, neg. charge, etc.; R = thiazolyloximinoacetyl group Q; Al = groups cited for A; R1 = N-attached heteroary1, quaternary ammonium group, etc.; R2,R3 = H, acy1; R4 = H; 6- and 7-positions have (R)-configuration] were prepared Thus, I (A = CHPh2, R = H, R1 = C1) was condensed with QOH (A1 = CHPh2, R2 = R3 = CH2OCH2CH2OMe, R4 = CPh3) and the product condensed with thieno[2,3-b]pyridine to give, after deprotection and ion exchange, I [A = H, R = Q, R1 = thieno[2,3-b]pyridinio, A1 = R2 = R3 = R4 = H] as an internal salt. The latter had MIC of 1.25 µg/mL against Pseudomonas Aeruginosa in vitro.
- 139151-22-7P 139151-33-0P 139211-39-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of antibiotics)
- RN
- 139151-22-7 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[3-[7-[[[[1-[3,4-bis[(2methoxyethoxy)methoxy]phenyl]-2-(diphenylmethoxy)-2-oxoethoxy]imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-2-[[(4methoxyphenyl)methoxy]carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3yl]-2-propenyl]-2-methyl-, iodide, $[6R-[3(E),6\alpha,7\beta(Z)]]-(9CI)$ (CA INDEX NAME)

PAGE 1-A

PAGE 2-B

_ Me

RN 139151-33-0 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[3-[7-[[[1-[3,4-bis[(2-methoxyethoxy)]methoxy]phenyl]-2-(diphenylmethoxy)-2-oxoethoxy]imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]minio]-2-[[(4-methoxyphenyl)methoxy]carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-2-propenyl]-2,3-dimethyl-, iodide, [6R-[3(E),6 α ,7 β (2)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

• I-

PAGE 1-B

RN 139211-39-5 CAPLUS CN 1H-Imidazo[4,5-c]pv

1H-Imidazo[4,5-c]pyridinium, 5-[3-[7-[[[1-[3,4-bis|[2-methoxyethoxy] methoxy]phenyl]-2-(diphenylmethoxy)-2-oxoethoxy]imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-2-[[(4-methoxyphenyl)methoxy]carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-2-propenyl]-1,2-dimethyl-, iodide, [6R-[3(E),6 α ,7 β (Z)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

• I-

PAGE 1-B

ΙT 139150-91-7P 139151-01-2P 139151-03-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as antibiotic)

RN 139150-91-7 CAPLUS

1H-Imidazo[4,5-c]pyridinium, 5-[3-[7-[[(2-amino-4-thiazolyl)[[carboxy(3,4-CN dihydroxyphenyl)methoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1azabicyclo[4.2.0]oct-2-en-3-y1]-2-propeny1]-2-methyl-, iodide, $[6R-[3(E),6\alpha,7\beta(Z)]]-$, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 139150-90-6 CMF C30 H27 N8 O9 S2

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 139151-01-2 CAPLUS CN 1H-Imidazo[4,5-c]pv

CM 1

CRN 139151-00-1 CMF C31 H29 N8 O9 S2

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-B

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 139151-03-4 CAPLUS

3H-Imidazo[4,5-c]pyridinium, $5-[3-[7-[(2-\min o-4-\text{thiazoly})]([\text{carboxy}(3,4-\text{dhydroxyphenyl})methoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-yl]-2-propenyl]-2,3-dimethyl-, lodide, [6R-[3(E),6<math>\alpha$,7 β (Z)]]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 139151-02-3 CMF C31 H29 N8 O9 S2

PAGE 1-B

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L.3 ANSWER 91 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:228919 CAPLUS

DOCUMENT NUMBER: 114:228919

ORIGINAL REFERENCE NO .: 114:38620h,38621a Preparation of

TITLE:

5-(heteroarylalkyl)imidazo[4,5-c]pyridines as platelet-activating factor (PAF) antagonists

Khanna, Ish K.; Weier, Richard M.

G.D. Searle and Co., USA PATENT ASSIGNEE(S):

SOURCE: U.S., 17 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|--------------|-------------------|------------|
| | | | | |
| US 4990518 | A | 19910205 | US 1989-406674 | 19890913 |
| CA 2025084 | A1 | 19910314 | CA 1990-2025084 | 19900911 |
| EP 417745 | A2 | 19910320 | EP 1990-117520 | 19900911 |
| EP 417745 | A3 | 19911106 | | |
| EP 417745 | B1 | 19931103 | | |
| R: AT, BE, CH, | DE, DK | , ES, FR, GB | , GR, IT, LI, LU, | NL, SE |
| AT 96795 | T | 19931115 | AT 1990-117520 | 19900911 |
| ES 2059942 | Т3 | 19941116 | ES 1990-117520 | 19900911 |
| JP 03109386 | A | 19910509 | JP 1990-242304 | 19900912 |
| PRIORITY APPLN. INFO.: | | | US 1989-406674 | A 19890913 |
| | | | EP 1990-117520 | A 19900911 |
| OTHER SOURCE(S): GI | MARPAT | 114:228919 | | |

AB The title compds. [I; R1, R2 = straight or branched C1-15 alkyl or C3-15 alkenyl, (substituted) C3-8 cycloalkyl or Ph; Het = (substituted) pyridine or heterocycle ring having 5 atoms selected from C, N, O, or S; n = 1-5; R3 = substituents at one or more of the 4, 6, or 7 positions selected from H, C1-6 alkyl, Br, C1, F, or C1-6 alkoxy; R4 = H, C1-4 alkyl], useful for the treatment of PAF-mediated diseases or disorders (e.g. inflammation, cardiovascular disorder, and asthma), are prepared Thus, to a stirred solution of imidazopyridine in AcNMe2, 6-chloromethyl-N, N-dicyclopentylnicotinamide was added and the mixture was slowly heated to 80-85° and stirred 20-60 h to give a title compound (II). II in vitro inhibited PAF-induced platelet aggregation with IC50 of 0.1-1.0 μM .

133789-31-8P 133789-32-9P 133789-33-0P 133789-34-1P 133789-35-2P 133789-36-3P 133789-37-4P 133789-38-5P 133789-39-6P

133789-40-9P 133789-41-0P 133794-32-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as platelet activating factor antagonist)

RN 133789-31-8 CAPLUS

CN 3-Pyridinecarboxamide, N,N-dicyclopentyl-6-(5H-imidazo[4,5-c]pyridin-5vlmethyl)- (CA INDEX NAME)

RN 133789-32-9 CAPLUS

CN 2-Furancarboxamide, N-cyclohexyl-5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-N-methyl- (CA INDEX NAME)

RN 133789-33-0 CAPLUS

CN 2-Furancarboxamide, N-cyclohexyl-N-cyclopentyl-5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)- (CA INDEX NAME)

RN 133789-34-1 CAPLUS

CN 3-Pyridinecarboxamide, N-cyclohexyl-6-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-N-(1-methylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{i}\text{-Pr} & \mathbf{0} & \mathbf{N} & \mathbf{C}\mathbf{H}_2 & \mathbf{N} & \mathbf{N} \\ & \mathbf{N} & \mathbf{C} & \mathbf{N} & \mathbf{N} & \mathbf{N} & \mathbf{N} & \mathbf{N} \\ \end{array}$$

RN 133789-35-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-N-(1-methylethyl)-N-phenyl- (CA INDEX NAME)

RN 133789-36-3 CAPLUS

CN 3-Pyridinecarboxamide, N,N-dicyclopentyl-6-(5H-imidazo[4,5-c]pyridin-5ylmethyl)-2-methoxy- (CA INDEX NAME)

RN 133789-37-4 CAPLUS

CN 3-Pyridinecarboxamide, N,N-dicyclopentyl-6-[3-(5H-imidazo[4,5-c]pyridin-5yl)propyl]- (CA INDEX NAME)

RN 133789-38-5 CAPLUS

CN 3-Pyridinecarboxamide, N,N-dicyclopentyl-6-[2-(5H-imidazo[4,5-c]pyridin-5yl)ethyl]- (CA INDEX NAME)

RN 133789-39-6 CAPLUS

CN 2-Pyridinecarboxamide, N,N-dicyclopentyl-5-(5H-imidazo[4,5-c]pyridin-5ylmethyl)- (CA INDEX NAME)

- RN 133789-40-9 CAPLUS
- CN 2-Pyridinecarboxamide, N-cyclopentyl-N-ethyl-5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)- (CA INDEX NAME)

- RN 133789-41-0 CAPLUS
- CN 2-Furancarboxamide, N-cyclohexyl-5-(5H-imidazo[4,5-c]pyridin-5-ylmethyl)-N-(2-methyl-2-propen-1-yl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

- RN 133794-32-8 CAPLUS

L3 ANSWER 92 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:185499 CAPLUS

DOCUMENT NUMBER: 114:185499

ORIGINAL REFERENCE NO.: 114:31335a,31338a

TITLE: Preparation of imidazoguinolone derivatives useful for treatment of respiratory disorders

Suzuki, Fumio; Kuroda, Takeshi; Nakazato, Yoshisuke; INVENTOR(S):

Manabe, Harushiko; Ohmori, Kenji

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW Patent

DOCUMENT TYPE: LANGUAGE:

English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|--------------|---------------------|------------|
| | | | | |
| EP 386722 | A1 | 19900912 | EP 1990-104336 | 19900307 |
| EP 386722 | B1 | 19950726 | | |
| R: AT, BE, CH, | DE, DK | , ES, FR, GB | , GR, IT, LI, LU, N | L, SE |
| CA 2011504 | A1 | 19900907 | CA 1990-2011504 | 19900305 |
| CA 2011504 | С | 19980602 | | |
| US 4994468 | A | 19910219 | US 1990-489025 | 19900305 |
| JP 03264585 | A | 19911125 | JP 1990-54590 | 19900306 |
| PRIORITY APPLN. INFO.: | | | JP 1989-54148 | A 19890307 |
| | | | JP 1990-42014 | 19900222 |
| OTHER SOURCE(S): | MARPAT | 114:185499 | | |

Title compds. I (R1 H, alkyl, cycloalkyl, alkenyl, aralkyl, aralkenyl, (substituted) aryl; X = N, R2C, R2 = H, HO, alkyl, cycloalkyl, alkenyl, aralkyl, etc.; Y = 0, S; R3 = alkyl, cycloalkyl, alkoxyalkyl, alkenyl, aralkyl, aralkenyl, heterocyclylalkyl; R4, R5 = H, alkyl, F3C, cycloalkyl, halo, HO, alkoxy etc.) or a salt thereof, showing bronchodilatory and antiallergic activities, are prepared 4-Hydroxy-1-methyl-1H-imidazo[4,5-c]quinoline in DMF and NaH were added with ice cooling, followed by stirring at 50° and BuI was added to give I (R1 = Me; R3 = Bu; R4 = R5 = H; X = CH; Y = O) (II). II showed bronchodilatory effect IC50 0.0034 µM; antiallergy effect min. effective dosage <10 mg/kg and a superior or equivalent effect to theophylline or exptl. asthma. The LD50 in mice was >300 mg/kg. Pharmaceutical formulations comprising II are given. 133305-94-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as drug)

133305-94-9 CAPLUS RN

CN 4H-Imidazo[4,5-c]quinolin-4-one, 5-(2-furanylmethyl)-1,5-dihydro-1-methyl-(CA INDEX NAME)

L3 ANSWER 93 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:452068 CAPLUS

DOCUMENT NUMBER: 113:52068

ORIGINAL REFERENCE NO .: 113:8637a,8640a

TITLE: Synthesis and biological evaluation of a series of parenteral 3'-quaternary ammonium cephalosporins Brown, Raymond F.; Kinnick, Michael D.; Morin, John AUTHOR(S):

M., Jr.; Vasileff, Robert T.; Counter, Fred T.; Davidson, Edward O.; Ensminger, Paul W.; Eudaly, Judith A.; Kasher, Jeffrey S.; et al.

CORPORATE SOURCE: Lilly Corp. Cent., Eli Lilly and Co., Indianapolis,

IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (1990), 33(8), 2114-21 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:52068

GI

ΔR The preparation and biol. evaluation of a series of 7B-[2-(2-aminothiazol-4-yl)-2(Z)-methoximinoacetamide]cephalosporins (I where R = e.g., pyridinyl, quinolinyl), substituted at the 3'-position with monocyclic or bicyclic nitrogen-containing heterocycles, are described. The resulting family of parenteral compds. displayed a broad spectrum of antibacterial activity. Some compds. exhibit a similar level of Gram-neg. activity to that of the "third-generation" cephalosporins with increased staphylococcal activity. The in vitro and in vivo antimicrobial activity, structure-activity relations, \(\beta\)-lactamase stability, and in vitro and in vivo pharmacol. evaluations are presented.

Ι

98382-98-0P 98383-01-8P 98383-04-1P

98383-05-2P 98401-29-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

98382-98-0 CAPLUS RN

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4thiazolyl) (methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-, inner salt, [6R-[6α, 7β(Z)]]- (9CI) (CA INDEX NAME)

RN 98383-01-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1) (methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-methyl-, inner salt, [6R-[6α,7β(2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 98383-04-1 CAPLUS

CN lH-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazo]y1)(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-2-(2-thieny1)-, inner salt, [6R-[6a,7B(2)]]- (9CI) (CA INDEX NAME)

RN 98383-05-2 CAPLUS

CN lH-Imidazo[4,5-c]pyridinium, $5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-y1]methy1]-2-pheny1-, inner salt, [6R-[6<math>\alpha$,7 β (2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98401-29-7 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6α,7β(2)]]- (9C1) (CA INDEX NAME)

H₂N

IT 98383-00-7P 98383-02-9P 98383-03-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antibacterial and anticholinergic activities of) RN 98383-00-7 CAPLUS

1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt, [6R-[6a,7B(2)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98383-02-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazol4)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1,2-dimethyl-, inner salt, [6R-[6a,7β(2)]]- (9CI) (CA INDEX NAME)

RN 98383-03-0 CAPLUS

N38-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-y1]methy1]-2,3-dimethy1-, inner salt, [6R-[6α,7β(2)]]- (9CI) (CA INDEX NAME)

L3 ANSWER 94 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:406230 CAPLUS

DOCUMENT NUMBER: 113:6230

ORIGINAL REFERENCE NO .: 113:1207a,1210a

TITLE: Synthesis of imidazole-fused heterocycles: reaction of 3,4,6,7-tetrahydro-2-hydroxypyrano[3,4-d]imidazole-

4,6-dione with hydrazines and amines Zoorob, H. H.; Khodeir, M. N. M.; Waly, M. A.; Amer,

AUTHOR(S):

F. A. CORPORATE SOURCE:

Fac. Sci., Mansoura Univ., El-Mansoura, Egypt SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1990),

ΙV

29B(1), 29-33 CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:6230

GI

- AΒ Reaction of the title compound with N2H4.H2O affords either hydrazide I, imidazopyridine II, imidazodiazepine III (R = H), or dimer IV, depending upon the reaction conditions. With MeNHNHMe in AcOH, the product is III (R = Me), and with PhNHNH2 the product again depends on the reaction conditions.
 - 127574-22-5P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- 127574-22-5 CAPLUS RN
- [5,5'-Bi-5H-imidazo[4,5-c]pyridine]-2,2',4,4',6,6'(1H,1'H)-hexone, CN
 - 3,3',7,7'-tetrahydro- (CA INDEX NAME)

L3 ANSWER 95 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:118541 CAPLUS

DOCUMENT NUMBER: 112:118541 ORIGINAL REFERENCE NO.: 112:20071a,20074a

TITLE: 1-Carbacephalosporin antibiotics and their preparation

INVENTOR(S): Cook, Gwendolyn Kay; McDonald, John Hampton, III

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | יגם | TENT | NO | | | KIND | ١ | DATE | | | A D D | LICA | TTO | J NO | | | DATE |
|-------|------|--------|------|-------|-----|--------|-----|------|-------|-----|-------|-------|-------|-------|---|---|----------|
| | L M. | TELLAT | 140. | | | TATIAL | , | DAIL | | | PIL L | LILOP | 11101 | 4 140 | | | DAIL |
| | | | | | | | | | | | | | | | | - | |
| | ΕP | 3272 | 39 | | | A1 | | 1989 | 0809 | | EΡ | 1989 | -300 | 0640 | | | 19890124 |
| | ΕP | 3272 | 39 | | | B1 | | 1994 | 0622 | | | | | | | | |
| | | R: | AT, | BE, | CH, | DE, | ES, | FR, | GB, | GR, | 13 | r, Ll | , N | ., S | E | | |
| | JΡ | 0200 | 1487 | | | A | | 1990 | 0105 | | | 1989 | | | | | 19890124 |
| | ES | 2055 | 030 | | | Т3 | | 1994 | 0816 | | ES | 1989 | -300 | 0640 | | | 19890124 |
| | US | 5019 | 571 | | | A | | 1991 | 0528 | | US | 1989 | -428 | 3452 | | | 19891030 |
| PRIOR | ITI | / APP | LN. | INFO. | : | | | | | | US | 1988 | -14 | 7471 | | A | 19880125 |
| OTHER | SC | DURCE | (S): | | | MARE | AT | 112: | 11854 | 41 | | | | | | | |
| GT | For | r dia | aram | (e) | 000 | nrin | +00 | A CD | Teens | _ | | | | | | | |

For diagram(s), see printed CA Issue.

The title compds. I (A = H, amino-protecting group, etc.; R1 = neg. AB charge, H, biol. labile group, carboxy-protecting group; R2 = H, C1-4 alkoxy, alkylthio, formamido; Z = quaternary ammonium group that may be acyclic, cyclic, or a combination of the two, and may contain one or more addnl. hetero atoms selected from N, S, O) and solvates or pharmaceutically acceptable salts thereof, useful as antibiotics (no data), were prepared Bu3SnH was added to a cooled mixture of I.F3CSO3- (A = Q; Z = pyridinio; R = H2C:CHCH2OCO; R1 = allyl; R2 = H), Ph3P, and (AcO) 2Pd in MeCN containing Et2O. After 15 min, the ice bath was removed and the reaction mixture allowed to warm to room temperature After 30 min, the ice bath was again applied and 1 N HCl added. After stirring for 10 min, the ice bath was removed and the reaction mixture was stirred on addnl. 20 min. Workup of the reaction mixture, followed by purification using a HP-20-SS

column packed with H2O, gave I (A = O; Z = pyridinio; R = R2 = H; R1 = anion).

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antibiotic)

RN 125710-97-6 CAPLUS CN 3H-Imidazo[4,5-c]pvridinium, 5-[7-[[(methoxvimino)[2-[[(2-

propenyloxy)carbonyl]amino]-4-thiazolyl]acetyl]amino]-8-oxo-2-[(2propenyloxy)carbonyl]-1-azabicyclo[4.2.0]oct-2-en-3-yl]-3-methyl-, trans-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM

CRN 125710-96-5 CMF C28 H29 N8 O7 S

Relative stereochemistry. Double bond geometry unknown.

CM 2

CRN 37181-39-8 CMF C F3 O3 S

IT 125712-54-1P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

RN 125712-54-1 CAPLUS CN 3H-Imidazo[4,5-c]py:

3H-Imidazo(4,5-c)pyridinium, 5-[7-[[(2-amino-4-thiazoly)](methoxylmino)acetyl]amino]-2-carboxy-8-oxo-1-azabicyclo(4.2.0]oct-2-en-3-yl]-3-methyl-, inner salt, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry unknown.

L3 ANSWER 96 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1989:594449 CAPLUS

DOCUMENT NUMBER: 111:194449
ORIGINAL REFERENCE NO.: 111:32315a,32318a

TITLE: 1-Dethia-2-thiacephalosporanic acid derivatives, their

preparation and formulations containing them
INVENTOR(S): Aszodi, Joszef; D'Ambrieres, Solange Gouin; Teutsch,

Jean Georges

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Fr. Demande, 40 pp. Addn. to Fr. Demende Appl. No. 84

2,138. CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | | PLICATION NO. | | DATE |
|------------------------|------|----------|----|---------------|----|----------|
| FR 2610629 | A2 | 19880812 | | 1987-1456 | | 19870206 |
| FR 2610629 | B2 | 19890526 | | | | |
| FR 2559486 | A1 | 19850816 | FR | 1984-2138 | | 19840213 |
| FR 2559486 | B1 | 19880212 | | | | |
| NO 8500024 | A | 19850814 | NO | 1985-24 | | 19850103 |
| ZA 8500866 | A | 19860326 | ZA | 1985-866 | | 19850205 |
| IL 74253 | A | 19920818 | IL | 1985-74253 | | 19850205 |
| DK 8500632 | A | 19850814 | DK | 1985-632 | | 19850212 |
| FI 8500583 | A | 19850814 | FI | 1985-583 | | 19850212 |
| FI 86067 | В | 19920331 | | | | |
| FI 86067 | С | 19920710 | | | | |
| JP 60184087 | A | 19850919 | JP | 1985-23705 | | 19850212 |
| JP 06062637 | B | 19940817 | | | | |
| HU 39747 | A2 | 19861029 | HU | 1985-526 | | 19850212 |
| HU 203356 | B | 19910729 | | | | |
| CA 1251443 | A1 | 19890321 | CA | 1985-474115 | | 19850212 |
| AU 8538671 | A | 19850822 | AU | 1985-38671 | | 19850213 |
| AU 589352 | B2 | 19891012 | | | | |
| ES 2009877 | A6 | 19891016 | | 1988-281 | | 19880202 |
| NO 8800495 | A | 19880808 | | | | 19880204 |
| FI 8800536 | A | 19880807 | FI | 1988-536 | | 19880205 |
| JP 63253088 | A | 19881020 | | 1988-24077 | | 19880205 |
| US 5385897 | A | 19950131 | | 1992-855324 | | 19920320 |
| JP 06220064 | A | 19940809 | JP | 1993-304568 | | 19931111 |
| JP 07042293 | В | 19950510 | | | | |
| US 5683996 | A | 19971104 | | 1994-335163 | | 19941107 |
| PRIORITY APPLN. INFO.: | | | | 1984-2138 | | 19840213 |
| | | | | 1985-12218 | | 19850809 |
| | | | | 1986-895175 | | 19860811 |
| | | | | 1987-1456 | A | |
| | | | | 1988-151698 | | 19880202 |
| | | | | 1990-605982 | | 19901030 |
| | | | US | 1992-855324 | A3 | 19920320 |
| | | | | | | |

OTHER SOURCE(S): MARPAT 111:194449

GI

AB The title compds. I [R = LCONH, R'NH, etc.; L = organic group; R' = (substituted) aryl; R1 = ZR2, Z1R3, etc.; R2 = (substituted) alkyl, alkenyl, alkynyl; Z = (oxidized) S, O, etc.; R3 = (substituted) aryl, quaternary ammonium; Z1 = methylene, S, O, NH, etc.; R4 = H, OMe; A = H, alkali metal, etc.; or CO2A = CO2-; n = 0-2], useful as antibiotics, were prepared Reaction of 7-[(2-tritylaminothiazol-4-yl)(methoxyimino)acetamido]-8-oxo-3-iodopropenyl-4-thia-1-azabicyclo[4.2.0]-oct-2-ene-2-carboxylic acid 1,1-dimethylethyl ester with 2-(trifluoromethyl)thiazolo[4,5c]pyridine, followed by deprotection with CF3CO2H/PhOMe, gave (6S, 7S, Z) -5-[3-[7-[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-2carboxv-8-oxo-4-thia-1-azabicvclo[4.2.0]oct-2-en-3-v1]-2-(E)-propenvl]-2-(trifluoromethyl)thiazolo[4,5-c]pyridinium-CF3CO2H (II). II in vitro exhibited a MIC of 1.2 µg/mL against Staphylococcus aureus SG511. An injection containing (6S,7S,Z)-5-[3-[7-[[2-aminothiazol-4y1) (methoxyimino)acetyl]amino]-2-carboxy-8-oxo-4-thia-1azabicyclo[4.2.0]oct-2-en-3-y1]-2-(E)propeny1]thiazolo[4,5-c]pyridinium 500 mg and H2O g.s. to 5 mL was prepared

IT 121037-47-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation of, as antibiotic)

RN 121037-47-6 CAPLUS

CN

1H-Inidazo[4,5-c]pyridinium, 5-[3-[7-[[(2-amino-4-thiazoly]) (methoxyimino)acety]]amino]-2-carboxy-8-oxo-4-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-2-propenyl]-2-methyl-, inner salt, [65-[3[E],6a,78[Z]]]- (9CI) (CA INDEX NAME)

L3 ANSWER 97 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1989:594373 CAPLUS DOCUMENT NUMBER: 111:194373

ORIGINAL REFERENCE NO.: 111:32299a,32302a

TITLE: 3-Ouaternary ammonium 1-carba-1-dethiacephems

AUTHOR(S): Cook, Gwendolyn K.; McDonald, John H., III; Alborn,

William, Jr.; Boyd, Donald B.; Eudaly, Judy A.; Indelicato, Joseph M.; Johnson, Rod; Kasher, Jeffrey

S.; Pasini, Carol E.; et al.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN,

46285, USA

SOURCE: Journal of Medicinal Chemistry (1989), 32(11), 2442-50

CODEN: JMCMAR; ISSN: 0022-2623 DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:194373

GT

AR 1-Carba-1-dethiacephems I (R = pyridine,

3-methyl-3H-imidazo[4,5-c]pyridine, 6,7-dihydro-5H-1-pyridene, 5,6,7,8-tetrahydroquinoline, 1-methylimidazole, 2,5-dimethylpyridine, 3,4-dimethylpyridine, 4-dimethylaminopyridine) were prepared from

p-nitrobenzyl 7β-phenoxyacetamido-3-trifluoromethanesulfonyloxy-1carba-1-dethia-3-cephem-4-carboxvlate. I were extremely potent antibacterials against a broad range of Gram pos. and neg. bacteria including constitutive cephalosporinase producers, such as Enterobacter cloacae. The exhibit similar hydrolysis kinetics and pharmacokinetics to

the cephalosporin analogs.

123078-22-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 123078-22-8 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[7-[[(2-amino-4-

thiazolvl) (methoxvimino)acetvl]amino]-2-carboxv-8-oxo-1azabicvclo[4.2.0]oct-2-en-3-v1]-3-methyl-, inner salt, (6R-trans)- (9CI)

(CA INDEX NAME)

IT 123078-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deblocking of)

RN 123078-05-7 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[7-[([methoxyimino)[2-[([2-propenyloxy)carbonyl]amino]-4-thiazolyl]acetyl]amino]-8-oxo-2-[(2-propenyloxy)carbonyl]-1-azabicyclo[4.2.0]oct-2-en-3-yl]-3-methyl-, (6R-trans)-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM

CRN 123078-04-6

CMF C28 H29 N8 O7 S

Absolute stereochemistry. Double bond geometry unknown.

CM 2

CRN 37181-39-8 CMF C F3 O3 S F-C-so₃-

L3 ANSWER 98 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1989:172994 CAPLUS

DOCUMENT NUMBER: 110:172994 ORIGINAL REFERENCE NO.: 110:28689a,28692a

TITLE .

Preparation and formulation of crystalline

cephalosporin antibiotic salts and solvates as

antibacterials Katner, Allen S.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: U.S., 6 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | TENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|--------|---------------|------------|-----------|--------------------|---|----------|
| | | | | | - | |
| US | 4734408 | A | 19880329 | US 1986-943108 | | 19861217 |
| EP | 272061 | A2 | 19880622 | EP 1987-310907 | | 19871211 |
| EP | 272061 | A3 | 19900328 | | | |
| | R: AT, BE, C | CH, DE, ES | , FR, GB, | GR, IT, LI, NL, SE | | |
| JP | 63198690 | A | 19880817 | JP 1987-322637 | | 19871217 |
| IORITY | APPLN. INFO.: | | | US 1986-943108 | A | 19861217 |

PRIORITY APPLN. INFO.: Crystalline

syn-7-[2-(2-aminothiazol-4-yl)-2-methoxyiminoacetamido]-3-(3-methyl-

3H-imidazolo[4,5-c]pyridinium-5-ylmethyl)-3-cephem-4-carboxylate (I).H2SO4.2H2O (II) suitable for pharmaceutical administration as an

antibacterial, and crystalline I.MeCONMe2.H2O (III) and crystalline I.DMF.H2O

which are intermediates in the synthesis of I in a highly pure state, were prepared syn-7-[2-(2-Aminothiazol-4-yl)-2-methoxyiminoacetamido]-3acetoxymethy1-3-cephem-4-carboxylic acid in CH2C12 containing F3CCON(Me3Si)Me was reacted with Me3SiI to produce 3-iodomethylcephalosporin which is reacted in situ with 3-methyl-3H-imidazolo[4,3-c]pyridine to give I. I was converted to III which was dissolved in H2O and 1N H2SO4 to give II having a purity of 99%. A formulation for i.v. use contained II 1.0 g and

0.9% saline 10 mL. 98401-29-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and conversion to crystalline sulfate dihydrate)

RN 98401-29-7 CAPLUS

CM 3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4thiazolyl) (methoxyimino) acetyl]amino] -2-carboxy-8-oxo-5-thia-1azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

H₂N

RN 115608-28-1 CAPLUS CN 3H-Imidazo[4,5-c]pv

3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6 α ,7 β (2)]]-, compd. with N,N-dimethylacetamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 98401-29-7 CMF C21 H20 N8 O5 S2

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 127-19-5 CMF C4 H9 N O

Me | Me-N-Ac RN 115608-30-5 CAPLUS CN 3H-Imidazo14.5-clpv

N 3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2,0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6a,78[2]]]-, compd. with N,N-dimethylformamide (1:1) (9C1) (CA INDEX NAME)

CM 1

CRN 98401-29-7 CMF C21 H20 N8 O5 S2

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 68-12-2 CMF C3 H7 N O

СНЗ

H3C-N-CH-0

IT 115608-29-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of crystalline, as antibiotic)

RN 115608-29-2 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazo]y]) (methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, [6R-[6α,7β(Z)]]-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 115857-57-3 CMF C21 H21 N8 O5 S2

CM 2

CRN 14996-02-2 CMF H O4 S

L3 ANSWER 99 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:473432 CAPLUS DOCUMENT NUMBER: 109:73432

ORIGINAL REFERENCE NO.: 109:12301a,12304a

TITLE:

Preparation of

4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6carboxylic acids and analogs as antihypertensives

PATENT ASSIGNEE(S): Warner-Lambert Co., USA Jpn. Kokai Tokkvo Koho, 58 pp.

SOURCE:

CODEN: JKXXAF DOCUMENT TYPE: Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|---------------|----------------------|----------|
| | | | | |
| JP 62240683 | A | 19871021 | JP 1987-76534 | 19870331 |
| JP 2506105 | B2 | 19960612 | | |
| US 4812462 | A | 19890314 | US 1986-847067 | 19860401 |
| EP 245637 | A1 | 19871119 | EP 1987-104736 | 19870331 |
| EP 245637 | B1 | 19911016 | | |
| R: AT, BE, CH, | DE, ES | , FR, GB, GR, | , IT, LI, LU, NL, SE | |
| AT 68495 | T | 19911115 | AT 1987-104736 | 19870331 |
| ES 2038613 | T3 | 19930801 | ES 1987-104736 | 19870331 |
| US 4816463 | A | 19890328 | US 1987-35521 | 19870407 |
| JP 08208652 | A | 19960813 | JP 1995-313683 | 19951108 |
| JP 2648793 | B2 | 19970903 | | |
| PRIORITY APPLN. INFO.: | | | US 1986-847067 A | 19860401 |
| | | | EP 1987-104736 A | 19870331 |
| OTHER SOURCE(S): | CASREA | CT 109:73432 | : MARPAT 109:73432 | |

AΒ The title compds. [I-III; R = (un)substituted alkyl, heteroaryl, Ph, PhCH2; 1 R1 = C4-20 alkyl, R5R6CH(CH2)y, the other is absent; R2 = H, halo, alkyl, R5(CH2)x, R5CO, R1CH(OH); R3 = R5(CH2)x, R5R6CH(CH2)yO, R7CO, R7SO2; R4 = CH2OR7, CH2NR7R8, CHO, cyano, (un)substituted CO2H; R5 = cycloalkyl, naphthyl, heteroaryl, (un)substituted Ph; R6 = H, alkyl, cycloalkyl, naphthyl, (un)substituted Ph; R7 = C1-15 alkyl, R5R6CH(CH2)y, substituted vinyl, substituted amino, R5(CH2)yO, R5R6CH(CH2)yO; n = 0-3; x = 1-5; y = 0-5; R8 = H, alkyl, PhCH2; R9 = C3-5 branched alkyl, MeO-substituted Ph; Z = O, S], useful as antihypertensives, were prepared A mixture of 10.0 spinacine-HCl and 5.00 g Et3N in dioxane was stirred 15 min., 8.155 p-MeOC6H4NCS was added, and the mixture was refluxed 25 h to give 10.9 g 1,4,6,7,8a,9-hexahydro-7-(4-methoxyphenyl)-6-thioxo-8H-

diimidazo[1,5-a:4',5'-d]pyridin-8-one (IV). In spontaneously hypertensive rats 30 mg IV/kg i.p. reduced blood pressure 39%.

114785-42-1P 114785-44-3P 114785-45-4P 114785-58-9P 114785-61-4P 114785-62-5P

114785-63-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antihypertensive)

114785-42-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,

4,5,6,7-tetrahydro-1-(phenylmethyl)-5-[2-(3-pyridinyl)acetyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & O & N \\ \hline N & CH_2-C & N & N \\ \hline HO_2C & CH_2-Ph \end{array}$$

114785-44-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,

4,5,6,7-tetrahydro-1-(phenylmethyl)-5-(9H-xanthen-9-ylcarbonyl)- (CA INDEX NAME)

114785-45-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-[2-(1H-indol-3-yl)acetyl]-1-(phenylmethyl)- (CA INDEX NAME)

RN 114785-58-9 CAPLUS

1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,

4,5,6,7-tetrahydro-1-[(4-methoxy-3-methylphenyl)methyl]-5-(9H-xanthen-9-ylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 114785-61-4 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-1-[(4-methoxy-3-methylphenyl)methyl]-5-(2-thienylacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 114785-62-5 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-1-((4-methoxy-3-methylphenyl)methyl]-5-(3-thienylacetyl)-, (5)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 114785-63-6 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-1-[(4-methoxy-3-methylphenyl)methyl]-5-(oxo-2-thienylacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 100 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:466224 CAPLUS DOCUMENT NUMBER: 109:66224

ORIGINAL REFERENCE NO.: 109:10925a,10928a

TITLE: Determination of LY217332, a new 3'-quaternary ammonium cephalosporin, in plasma by solid phase

column extraction and HPLC

AUTHOR(S): Whitaker, G. W.; Lindstrom, T. D.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SOURCE: Journal of Liquid Chromatography (1988), 11(4), 901-12 CODEN: JLCHD8; ISSN: 0148-3919

DOCUMENT TYPE: Journal

LANGUAGE: Journal English

AB A sensitive and rapid assay is described for the determination of LY217332

(I), a

3'-imidazolo[4,5-c]pyridinium cephalosporin, in plasma. The method utilizes cyano solid phase column extraction and HPLC with UV detection. The lower limit of detection is 5 ng/mL plasma and the relative standard deviation for precision and accuracy is 55% from 50-500 ng/mL. The method is applicable to the simultaneous assay of ceftazidime, cephaloridine, cefpirome and BMY-28142 with minor modification of the mobile phase and the detection wavelength.

Τ

IT 115681-28-2

RL: ANT (Analyte); ANST (Analytical study)
(determination of, in blood plasma by HPLC)

RN 115681-28-2 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[(6R,7R)-7-[((2Z)-(2-amino-4-thiazolyl) (methoxyimino) acetyllamino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]-3-methyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 115681-27-1 CMF C20 H19 N8 O5 S2

CM 2

CRN 14996-02-2 CMF H O4 S

T. 3 ANSWER 101 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

1988:406311 CAPLUS

DOCUMENT NUMBER: 109:6311

109:1188h,1189a ORIGINAL REFERENCE NO .: Preparation of

TITLE:

ACCESSION NUMBER:

(chloromethylene)acetamidocephemcarboxylic acid

derivatives as antibiotics

INVENTOR(S): Tsunemoto, Daiei; Kobori, Takeo; Nishide, Kyoji;

> Kondo, Sei; Yamazaki, Mavumi; Horikawa, Noriko; Mizutani, Akemi; Yamamura, Mariko; Toshioka, Kichi; et

al.

PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 49 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-------------|-----------------|----------|
| | | | | |
| JP 62158291 | A | 19870714 | JP 1986-245 | 19860107 |
| PRIORITY APPLN. INFO.: | | | JP 1986-245 | 19860107 |
| GT For disgram(c) coo | nrinte | ougge Ca by | | |

The title compds. I [R1 = H, protecting group; R2 = quaternary ammonio, Q AB wherein A is a (substituted) ring forming moiety], useful as antibiotics, were prepared Quaternization of 4-dimethylaminopyridine with (Z)-7-[2-chloromethylene-2-(2-formylaminothiazol-4-yl)acetamido]-3acetoxymethyl-3-cephem-4-carboxylic acid, followed by deprotection and purification of the product, gave 9% (Z)-I (R1 = H, R2 =

4-dimethylamino-1-pyridinium) (II). II in vitro exhibited a MIC of 0.78 μg/mL against Staphylococcus aureus Smith.

114576-10-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

RN 114576-10-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[2-(2-amino-4-thiazoly1)-3-chloro-1oxo-2-propenyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3vllmethvll-, inner salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

HoN

L3 ANSWER 102 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:204418 CAPLUS DOCUMENT NUMBER: 108:204418

ORIGINAL REFERENCE NO.: 108:33585a,33588a
TITLE: Preparation of 3-(bicvcl.

TITLE: Preparation of 3-[(bicyclic pyridinio)methyl]cephalosporins as antibiotics

INVENTOR(S): Katner, Allen S.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 542,619,

> abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 4692443 | A | 19870908 | US 1984-679717 | 19841210 |
| GB 2148289 | A | 19850530 | GB 1984-25453 | 19841009 |
| GB 2148289 | В | 19870923 | | |
| ZA 8407926 | A | 19860528 | ZA 1984-7926 | 19841009 |
| FI 8404000 | A | 19850418 | FI 1984-4000 | 19841011 |
| CA 1225390 | A1 | 19870811 | CA 1984-465150 | 19841011 |
| DK 8404891 | A | 19850418 | DK 1984-4891 | 19841012 |
| AU 8434189 | A | 19850426 | AU 1984-34189 | 19841012 |
| AU 574107 | B2 | 19880630 | | |
| SU 1360587 | A3 | 19871215 | SU 1984-3798239 | 19841012 |
| JP 60105685 | A | 19850611 | JP 1984-219350 | 19841016 |
| HU 35687 | A2 | 19850729 | HU 1984-3865 | 19841016 |
| HU 195512 | В | 19880530 | | |
| GB 2181136 | A | 19870415 | GB 1986-27171 | 19861113 |
| GB 2181136 | В | 19880525 | | |
| US 4748172 | A | 19880531 | US 1987-2091 | 19870112 |
| PRIORITY APPLN. INFO.: | | | US 1983-542619 | A2 19831017 |
| | | | GB 1984-25453 | A3 19841009 |
| | | | US 1984-679717 | A3 19841210 |
| | | | US 1985-740153 | A1 19850603 |
| | | | | |

RNH
$$\stackrel{\$}{\longrightarrow}$$
 $\stackrel{\$}{\longrightarrow}$ $\stackrel{\text{CH}_2N}{\longrightarrow}$ $\stackrel{\text{CH}_1}{\longrightarrow}$ $\stackrel{\text{CH}_2N}{\longrightarrow}$ $\stackrel{\text{CH}_2N}{\longrightarrow}$ $\stackrel{\text{CH}_2N}{\longrightarrow}$ $\stackrel{\text{CH}_2N}{\longrightarrow}$

AB Title compds. I [R = H, HCO, (protected) -α-aminoadipoyl, R''ONCR'CO; R' = 5-6-member aminoheterocyclyl; R'' = H, Cl-4 alkyl, carboxy-substituted alkyl, -cycloalkyl, N-substituted carbamoyl; Rl = H, Cl-4 alkyl, -alkoxy, -alkylthio, -alkanoylamino, -alkylamino, di-Cl-4 alkylamino, H2N, thienyl, HOCO, Ph, etc; X = 0, S; m, y = 0-3, provided m + y = 3] and their salts, were prepared syn-7-[2-(2-Aminothiazol-4-yl)-2-methoxyiminoacetamido]-3-acetoxymethyl-3-cephem-4-carboxylic acid in CH2Cl2 containing F3CCONMeSIMe3 (II) was warmed to 40°, sonicated and reacted with Me3Sil to give an oil, which, in MeCN and THE, was reacted with H8-imidazolol4,5-c|pyridinio-1 in MeCN containing II to give syn-7-[2-(2-aminothiazol-4-yl)-2-methyliminoacetamido]-3-(IH-imidazolol4,5-c)pyridinio-5-methyl)-3-cephemotoxylate (III) which had

min. inhibitory concentration of 1 $\mu g/mL$ against Staphylococcus aureus, compared to 8 $\mu g/mL$ for ceftazidime . A formulation for i.v. use

comprised 1.0 g III and 100 mL 0.9% saline .

F 98382-97-9P 98382-98-0P 98383-00-7P

98383-02-9P 98383-03-0P 98383-04-1P

98383-05-2P 98401-29-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

RN 98382-97-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)](1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6c,7](2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- RN 98382-98-0 CAPLUS
- CN IH-Imidazo(4,5-c)pyridinium, 5-[17-[1(2-amino-4-thiazolyl) (methoxyimino)acetyllamino]-2-carboxy-8-oxo-5-thia-1-azabicyclo(4.2.0)oct-2-en-3-yl]methyl]-, inner salt, [6R-[6e,78(Z)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- RN 98383-00-7 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-

azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt, [6R-[6 α , 7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 98383-02-9 CAPLUS

CN lH-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1,2-dimethyl-, inner salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 98383-03-0 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, $5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2,3-dimethyl-, inner salt, [6R-[6<math>\alpha$, 7β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98383-04-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methyl]-2-(2-thieny1)-, inner salt, [6R-[6a,7B(2)]]- [9C1] (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6α,7β(2)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98401-29-7 CAPLUS

NSJ 1 NSJ 2 NSJ 2

Absolute stereochemistry. Double bond geometry as shown.

L3 ANSWER 103 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:541739 CAPLUS DOCUMENT NUMBER: 103:141739

DOCUMENT NUMBER: 103:141739 ORIGINAL REFERENCE NO.: 103:22691a,22694a

TITLE: 3-[(Bicyclic pyridinio)methyl]cephalosporins

INVENTOR(S): Katner, Allen Samuel

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

GI

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------|----------------------|-----------------|-------------|
| EP 138552 EP 138552 | A2 A3 | 19850424 19860319 | EP 1984-306866 | 19841009 |
| R: AT, BE, CH, | | | I, LU, NL, SE | |
| GB 2148289 | A | 19850530 | GB 1984-25453 | 19841009 |
| GB 2148289 | В | 19870923 | | |
| ZA 8407926 | A | 19860528 | ZA 1984-7926 | 19841009 |
| FI 8404000 | A | 19850418 | FI 1984-4000 | 19841011 |
| CA 1225390 | A1 | 19870811 | CA 1984-465150 | 19841011 |
| DK 8404891 | A | 19850418 | DK 1984-4891 | 19841012 |
| AU 8434189 | A | 19850426 | AU 1984-34189 | 19841012 |
| AU 574107 | B2 | 19880630 | | |
| SU 1360587 | A3 | 19871215 | SU 1984-3798239 | 19841012 |
| JP 60105685 | A | 19850611 | JP 1984-219350 | 19841016 |
| HU 35687 | A2 | 19850729 | HU 1984-3865 | 19841016 |
| HU 195512 | В | 19880530 | | |
| GB 2181136 | A | 19870415 | GB 1986-27171 | 19861113 |
| GB 2181136 | В | 19880525 | | |
| PRIORITY APPLN. INFO.: | | | US 1983-542619 | A 19831017 |
| | | | GB 1984-25453 | A3 19841009 |
| OTHER SOURCE(S): | MARPAT | 103:141739 | | |

Ι

CO2

- AB Cephalosporins I (R = H, acyl; Rl = H, alkyl, Ph, thienyl, NH2, acylamino; X = 0, S, NH, alkylimino; m, n = 0-3; m + n = 3) were prepared Thus, cephem II (R2 = OAc) was iodinated and treated with the imidazopyridine to give III which had a min. inhibitory concentration against Staphylococcus aureus
- X1.1 of 1 μg/mL.
- T 98382-97-9P 98382-98-0P 98383-00-7P
 - 98383-01-8P 98383-02-9P 98383-03-0P

98383-04-1P 98383-05-2P 98401-29-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

- RN 98382-97-9 CAPLUS
- Model of the content of the con

Absolute stereochemistry.
Double bond geometry as shown.

- RN 98382-98-0 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-, inner salt, [6R-[6a,78(2)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

- RN 98383-00-7 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)[methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-1-methy1-, inner salt, [6R-[6a,7B(Z)]]- [9C1] (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- RN 98383-01-8 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)[methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-methyl-, inner salt, [6R-[6a,7B(2)]]- [9C1] (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- RN 98383-02-9 CAPLUS
- CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1,2-dimethyl-, inner salt, [6R-[6 α , 7B(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 98383-03-0 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, $5-[[7-[[(2-amino-4-thiazoly1)(methoxylmino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-yl]methyl]-2,3-dimethyl-, inner salt, [6R-[6<math>\alpha$,7 β (Z)]]- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98383-04-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium,5-[[7-[[(2-amino-4-thiazo]y1)(methoxyimino)acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-y1]methy1]-2-(2-thieny1)-, inner salt, [6R-[6a,7B(2)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 98383-05-2 CAPLUS

CN lH-Imidazo[4,5-c]pyridinium, $5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino]acety1]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4,2.0]oct-2-en-3-y1]methy1]-2-pheny1-, inner salt, [6R-[6<math>\alpha$,7 β (2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 98401-29-7 CAPLUS

CN 3H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazoly1)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6α,7β(2)]]- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

ANSWER 104 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:569075 CAPLUS DOCUMENT NUMBER: 95:169075

95:28265a,28268a ORIGINAL REFERENCE NO .:

TITLE: New synthesis of spinaceamine derivatives

II

AUTHOR(S): Yutilov, Yu. M.; Eilazyan, O. G.

CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, 340048, USSR

Khimiya Geterotsiklicheskikh Soedinenii (1981), (7), 992

CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal LANGUAGE: Russian

AB Spinaceamine derivs. I (R = Et, CH2CH2OH), II (R = Me, benzyl, CH2CH2OH) and III were prepared in 69-98% yield by reduction of quaternary salts of 1and

3-substituted imidazo[4,5-c]pyridine with NaBH4 or KBH4 in aqueous or alc. solution at room temperature

79457-32-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 79457-32-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5,5'-(1,10-decanediyl)bis[4,5,6,7-tetrahydro-1methyl-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM

CRN 79457-31-1

CMF C24 H40 N6

CM

CRN 88-89-1 CMF C6 H3 N3 O7

- RN 79457-38-8 CAPLUS CN H-Imidazo[4,5-c]pyridinium, 5,5'-(1,10-decanediyl)bis[1-methyl- (CA INDEX NAME)

L3 ANSWER 105 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER:

1981:174980 CAPLUS

DOCUMENT NUMBER: 94:174980

94:28591a,28594a ORIGINAL REFERENCE NO.: TITLE: Ouaternization of

4-amino-1,3-dimethylimidazo[4,5-c]pyridin-2-one AUTHOR(S): Yutilov, Yu. M.; Khabarov, K. M.; Svertilova, I. A.

Deposited Doc. (1979), VINITI 4182, 9 pp. Avail .: SOURCE:

VINITI

DOCUMENT TYPE: Report LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 94:174980

GT

AB Imidazopyridinium salts I (R = Me, Et, Bu, octyl, hexadecyl, PhCH2, CH2:CHCH2, X = I, Br) were obtained in 69-100% yields by treatment of the title compound with RX. Treatment of I (R = Me, Et) with 45% aqueous NaOH gave 90 and 82% II (Z = NH), resp., which were oxidized by NaNO2-AcOH to give 82 and 65% II (Z = 0). Addnl. obtained were III (n = 2, 10). ΙT

III

77246-06-1P 77259-53-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 77246-06-1 CAPLUS

CN

2H-Imidazo[4,5-c]pyridin-2-one, 5,5'-(1,10-decanediyl)bis[1,3,4,5tetrahydro-4-imino-1,3-dimethyl-, dihydrobromide (9CI) (CA INDEX NAME)

RN 77259-53-1 CAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 5,5'-(1,2-ethanediy1)bis[1,3,4,5-tetrahydro-4-imino-1,3-dimethy1-, dihydrobromide (9CI) (CA INDEX NAME)

●2 HBr

T. 3 ANSWER 106 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:69638 CAPLUS DOCUMENT NUMBER: 84:69638

ORIGINAL REFERENCE NO.: 84:11393a,11396a

TITLE: Antiluteinizing hormone (LH)-releasing activity of

several analogs of LH-releasing hormone

AUTHOR(S): Vilchez-Martinez, Jesus A.; Coy, David H.; Coy, Esther; Schally, Andrew V.; Arimura, Akira

CORPORATE SOURCE: Endocrine Polypeptide Lab., VA Hosp., New Orleans, LA,

SOURCE: Fertility and Sterility (1975), 26(6), 554-9

CODEN: FESTAS; ISSN: 0015-0282

DOCUMENT TYPE: Journal

LANGUAGE: English

In ovariectomized, estrogen-progesterone treated rats and in immature male rats, after synthetic LH-releasing hormone (LH-RH) [33515-09-2] injection, a 2 hr infusion of LH-releasing hormone analogs inhibited, but never completely, the increase in serum LH [9002-67-9]. Analogs tested were (Leu3)-LH-RH, (Leu3, desGly10)-LH-RH ethylamide [56867-47-1],

(desHis2, Leu3, desGly10)-LH-RH ethylamide [56867-48-2], (Glv2, Leu3, desGlv10)-LH-RH ethylamide [56867-49-3], (Leu1, desGlv10)-LH-RH ethylamide [56867-50-6], (desHis2, Leu3, D-Ala6, desGlv10)-LH-RH ethylamide [56867-51-7], (desHis2,D-Ala6,desGlv10)-LH-RH ethylamide [56670-52-1], or (D-pGlu1, desHis2, desGly10)-LH-RH ethylamide [56867-52-8]. No significant differences were found among the analogs tested. The inhibitory potency was not improved with those peptides containing D-alanine in position 6 of the chain. None of the analogs tested, blocked the LH-RH induced FSH

[9002-68-0] release in these systems.

56867-45-9

RL: BIOL (Biological study)

(LH secretion inhibition by)

56867-45-9 CAPLUS RN

CN Luteinizing hormone-releasing factor (swine),

2-(4,5,6,7-tetrahydro-1H-imidazo[4,5-c]-pyridine-6-carboxylic acid)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & \\ & \text{NH} \\ & \text{CH- (CH_2)} \text{ 3- NH- C- NH}_2 \\ & & \text{C---O} \\ & & \text{NH} \\ \\ & \text{H}_2\text{N--C-CH}_2\text{--NH--C-} \\ \end{array}$$

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=> s isoxazolyl L4 164649 ISOXAZOLYL

=> s isoxazolyl/cn L5 0 ISOXAZOLYL/CN

Uploading C:\Program Files\Stnexp\Queries\10583814a.str

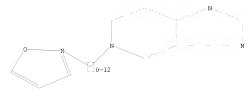
exact/norm bonds:
1-2 1-6 1-10 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 10-14 14-15 exact bonds:
14-18 15-16 16-17 17-18 isolated ring systems: containing 14:

G1:0,S,N

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L6 STRUCTURE UPLOADED

=> d 16 L6 HAS NO ANSWERS L6 STR



G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 16 full FULL SEARCH INITIATED 12:00:53 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

L7 0 SEA SSS FUL L6

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G1:0,S,N

Match level :

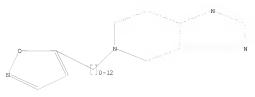
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR



G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 18 full FULL SEARCH INITIATED 12:06:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1227 TO ITERATE

100.0% PROCESSED 1227 ITERATIONS 81 ANSWERS

SEARCH TIME: 00.00.01

CA SUBSCRIBER PRICE

81 SEA SSS FUL L8

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L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:117372 CAPLUS

DOCUMENT NUMBER: 146:202022

TITLE: Drug-resistant mutation in nonstructural proteins of

hepatitis C virus

INVENTOR(S): Boddeker, Nina; Neyts, Johan; Shih, I-Hung; Vliegen,

Inge; Zhong, Weidong

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA; K.U. Leuven Research &

Development; Puerstinger, Gerhard

SOURCE: PCT Int. Appl., 27pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIN | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | |
|----------------|-----|-----|-----|----------------------------|-----|-----------|-----|------|----------------|-----------------|----------|-----|-----|-----|----------|------|-----|--|--|
| | | | | A2 20070201 A3 20070913 | | | | WO 2 | 006- | | 20060724 | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | | |
| | | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | | |
| | | MW, | MX, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, | | |
| | | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | | |
| | | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | | |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | | |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | | |
| | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑP, | EA, | EP, | OA | | | | | | | | |
| US 20070128625 | | | | A1 | | | | | US 2006-491756 | | | | | | 20060724 | | | | |

US 20070128625 A1 20070607 US 2006-491756
PRIORITY APPLN. INFO:: US 2005-702534F

US 2006-491756 20060724 US 2005-702534P P 20050725

BB Provided are hepatitis C virus mutations in nonstructural proteins, which are associated with drug resistance, especially imidazopyridine compds. The mutations are (1) Q581g, A391V, M582L, and C432S within N53 region, (2) V24A within N54A region, (3) L4P, Q93R, and L78T within N54B region, (4) M416T, E441G, and V362A within N55A region, and (5) C316Y, C445F, Y448H, and Y452H within N55A region. The mutations V24A, E441G, C316Y, C445F, Y448H, and Y452H were found to be sufficient resistance when introduced into wildtype replicons. The combination of two mutations was found to be resistance at a higher drug level than either single mutation. In addition, the present invention provides methods for screening for therapeutic compds. capable of inhibiting HCV as well as methods for inhibiting HCV, e. g., by targeting specific binding sites associated with HCV drug resistance.

IT 858935-18-9 858935-19-0 858935-21-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (resistant to; drug-resistant mutation in nonstructural proteins of hepatitis C virus)

RN 858935-18-9 CAPLUS

CN

5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N-O} \end{array} \text{CH}_2 - \begin{array}{c} \text{N} \\ \text{N} \end{array} \text{F}$$

RN 858935-19-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{CF3} & & & \\ \mathsf{F3C} & & \mathsf{N-O} & \mathsf{CH2-N} & \\ \end{array}$$

RN 858935-21-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluoropheny1)-5-[[3-[4-fluoro-2-(trifluoromethy1)pheny1]-5-isoxazoly1]methy1]- (CA INDEX NAME)

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:630269 CAPLUS

DOCUMENT NUMBER: 145:83341

TITLE: Preparation of the antiviral compound

5-[[3-(2,4-bis(trifluoromethyl)phenyl)isoxazol-5yl]methyl]-2-(2-fluorophenyl)-5H-imidazo[4,5-

c]pyridine and its use in the treatment of HCV viral infections

INVENTOR(S): Bondy, Steven S.; Oare, David A.; Tse, Winston C.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| E | PATENT NO. | | | | | | | | APPLICATION NO. | | | | | | | DATE | | | |
|--------|------------|--------------|------|-------------|-----|-------------|------|-----------------|-----------------|-----------------|------|------|------|----------|------------|------|------|-----|--|
| | | 0 2006069193 | | | | | | WO 2005-US46477 | | | | | | 20051221 | | | | | |
| Į. | ΙO | 2006 | 0691 | 93 | | A3 2 | | 20060810 | | | | | | | | | | | |
| | | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, | |
| | | | KZ. | LC. | LK. | LR. | LS. | LT, | LU, | LV, | LY. | MA. | MD, | MG. | MK. | MN. | MW. | MX. | |
| | | | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | |
| | | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | |
| | | | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | |
| | | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | | |
| F | U | 2005 | 3191 | 67 | | A1 | | 2006 | 0629 | | AU 2 | 005- | 3191 | 20051221 | | | | | |
| (| ĊΑ | 2592 | 388 | | | A1 20060629 | | | | | CA 2 | 005- | 2592 | 20051221 | | | | | |
| Ţ | JS | 2006 | 0252 | 791 | | A1 20061109 | | | | | US 2 | 005- | 3160 | 20051221 | | | | | |
| E | EP 1841765 | | | A2 20071010 | | | 1010 | EP 2005-855097 | | | | | | | | | | | |
| | | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| į. | JΡ | 2008 | 5243 | 35 | | T | | 2008 | 0710 | | JP 2 | 007- | 5484 | 48 | | 2 | 0051 | 221 | |
| Ţ | JS | 2008 | 0188 | 516 | | A1 | | 2008 | 0807 | US 2008-22557 | | | | | 20080130 | | | | |
| PRIORI | IΤ | APP | LN. | INFO | . : | | | | | US 2004-638215P | | | | 15P | P 20041221 | | | | |
| | | | | | | | | | | | US 2 | 005- | 3160 | 50 | | B1 2 | 0051 | 221 | |
| | | | | | | | | | | | WO 2 | 005- | US46 | 477 | 1 | W 2 | 0051 | 221 | |

- AB 5-[[3-(2,4-Bis(trifluoromethyl)phenyl)isoxazol-5-yl]methyl]-2-(2fluorophenyl)-5H-imidazo[4,5-c]pyridine is prepared and claimed for use in the treatment or prophylaxis of HCV viral infections.
- 858935-19-0P, 5-[[3-(2,4-Bis(Trifluoromethyl)phenyl)isoxazol-5yl]methyl]-2-(2-fluorophenyl)-5H-imidazo[4,5-c]pyridine RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses) (preparation of the antiviral compound

5-[[3-(2,4-bis(trifluoromethyl)phenyl)isoxazol-5-yl]methyl]-2-(2-

fluorophenyl)-5H-imidazo[4,5-c]pyridine and its use in the treatment of HCV viral infections)

858935-19-0 CAPLUS RN

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolvl]methvl]-2-(2-fluorophenvl)- (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{CF3} & & & \\ & \operatorname{CH_2-N} & & \\ & \operatorname{F_{3}C} & & & \\ \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CIT

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:612284 CAPLUS

DOCUMENT NUMBER: 143:133371

TITLE: Preparation of imidazo[4,5-c]pyridine derivatives as

antiviral agents

INVENTOR(S): Puerstinger, Gerhard; Bondy, Steven S.; Dowdy, Eric Davis; Kim, Choung U.; Oare, David A.; Neyts, Johan;

Zia, Vahid PATENT ASSIGNEE(S): K. U. Leuven Research & Development, Belg.; Gilead

Sciences, Inc.

SOURCE: PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA' | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|----------|--------------------------------|----------------------------|--------------------------|--------------------------|---|--------------------------|--|--|--------------------------|--|--------------------------------------|--|--|--------------------------|---------------------------------|--------------------------|---|
| | WO 2005063744 WO 2005063744 | | | | | | WO 2004-US43112 | | | | | | 20041221 | | | | |
| | W: | CN, GE, LK, NO, | CO, GH, LR, NZ, | CR, GM, LS, OM, | CU, HR, LT, PG, | CZ, HU, LU, PH, | AU, DE, ID, LV, PL, TZ, | DK, IL, MA, PT, | DM, IN, MD, RO, | DZ, IS, MG, RU, | EC, JP, MK, SC, | EE, KE, MN, SD, | EG, KG, MW, SE, | ES, KP, MX, SG, | FI, KR, MZ, SK, | GB, KZ, NA, SL, | GD, LC, NI, SY, |
| | RW: | AZ, EE, RO, | BY, ES, SE, | KG, FI, SI, | KZ, FR, SK, | MD, GB, TR, | MW, RU, GR, BF, | TJ, HU, | TM, IE, | AT, IS, | BE, IT, | BG, LT, | CH, LU, | CY, MC, | CZ, | DE, PL, | DK, PT, |
| CA US | US 20050222198 | | | | A1 20050714 A1 20050714 A1 20051006 | | | AU 2004-309390 CA 2004-2549606 US 2004-19830 EP 2004-815224 | | | | | | 20041221 20041221 | | | |
| KR | 1902 2007 2006 | IE, 198 5187 1328 | SI, 20 50 | LT, | FI, A T A | RO, | 2006 | TR, 0124 0712 1222 | BG, | CZ, CN 2 JP 2 KR 2 | EE, 004- 006- 006- | HU, 8003 5473 7125 | PL, 8144 05 54 | SK, | 1S 2 2 2 | 0041 0041 0060 | 221 221 622 |
| PRIORIT | 2007 Y APP | | | | AI | | 2007 | 1018 | | US 2 US 2 US 2 US 2 US 2 US 2 | 003- 004- 004- 004- 004- | 5322 5339 5909 5909 5910 5910 | 14 92P 63P 89P 90P 24P 69P |]]] | P 2 P 2 P 2 P 2 P 2 | | 222 102 726 726 726 726 726 |

OTHER SOURCE(S): CASREACT 143:133371; MARPAT 143:133371

GI

- AB Title compds. I [dotted lines represent at least 3, optionally 4, double bonds; R1 = H, (un)substituted aryl, thioalkyl, etc.; Y = single bond, O, alkylene optionally containing 1-3 heteroatoms, etc.; R2 and R4 independently = H, alkyl, alkenyl, etc. with provisions; X = alkylene, alkenylene, alkynylene where each optionally may include one or more heteroatoms; R3 = (un) substituted aryl, aryloxy, arylthio, etc.; R5 = H, OH, CN, etc.; R6 and R7 are usually not present, but if they are then they are cyclopentyl or cyclohexyl] and their pharmaceutically acceptable salts, are prepared and disclosed as antiviral agents. Thus, e.g., II was prepared by coupling of 2-(2-fluorophenyl)-3H-imidazo[4,5-c]pyridine with 5-(chloromethyl)-3-(4-chlorophenyl)isoxazole. The activity of I was evaluated in an anti-HCV/Replicon assay system and it was revealed that substantially all of the compds. of the invention demonstrated activity of at least 1 μM . I as antiviral agent should prove useful in the treatment of hepatitis C virus (HCV). Pharmaceutical compns. comprising I are disclosed.
- IT 858935-21-4P
 RN: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of imidazo[4,5-c]pyridine derivs. as antiviral agents)
 RN 858935-21-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

IT 858935-18-9P 858935-19-0P 858935-20-3P 858935-30-5P 858935-16P 858935-64-5P 858936-658-0P 858936-59-1P 858936-64-8P 858936-65-9P 858936-67-1P 858936-68-2P 858936-693-0P 69 858936-71-7P

(preparation of imidazo[4,5-c]pyridine derivs. as antiviral agent RN 858935-18-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858935-19-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858935-20-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858935-30-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858935-31-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[(3-phenyl-5-isoxazolyl)methyl]- (CA INDEX NAME)

RN 858935-64-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[(3-phenyl-5-isoxazolyl)methyl]- (CA INDEX NAME)

RN 858936-58-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(3-thienyl)- (CA INDEX NAME)

RN 858936-59-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[(3-phenyl-5-isoxazolyl)methyl]-2-(3-thienyl)-

(CA INDEX NAME)

$$\stackrel{\text{Ph}}{\underset{N-O}{\longleftarrow}} c_{H_2} - \stackrel{N}{\underset{N}{\longleftarrow}} s$$

RN 858936-64-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-65-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-67-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dichlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858936-68-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \\ \text{N-O} & \text{CH}_2 - \text{N} & \\ \text{N} & \text{P} \end{array}$$

- RN 858936-70-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-71-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2-(difluoromethoxy)phenyl]-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858936-72-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ F3C \\ \end{array} \begin{array}{c} N \\ \hline \\ N \\ \end{array} \begin{array}{c} CH_2 \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \\ N \\ \end{array} \begin{array}{c} I \\ \hline \\ \end{array}$$

- RN 858936-73-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858936-74-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2,4,6-trifluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-75-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-fluoro-4-methylphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-76-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2-fluoro-4-methylphenyl)-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c} F \\ N \\ O \end{array}$$

RN 858936-77-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-diffluorophenyl)-5-[[3-(2,4-dimethoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{N} = 0 \end{array}$$

RN

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-79-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dichlorophenyl)-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858936-80-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858936-81-9 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2-(difluoromethoxy)phenyl]-5isoxazolv1]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858936-82-0 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluorophenyl)-5isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

RN 858936-83-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-dimethoxypheny1)-5-isoxazoly1]methy1]-2-(2-fluoropheny1)- (CA INDEX NAME)

RN 858936-84-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-85-3 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-(2,4-dichlorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-86-4 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[4-(trifluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-87-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[2,4-bis(trifluoromethyl)phenyl]-5isoxazolyl]methyl]-2-(3-chloro-2-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \\ \text{CH}_2 - \text{N} & \\ \text{N} - \text{O} \end{array}$$

RN 858936-88-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

858936-89-7 CAPLUS RN

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluoropheny1)-5-[[3-[2-fluoropheny1]]](difluoromethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858936-90-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluoropheny1)-5-[[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ F3C \\ \hline \end{array} \begin{array}{c} CH_2 - N \\ \hline \\ N \\ \end{array} \begin{array}{c} N \\ \hline \\ F \\ \end{array} \begin{array}{c} CH_2 - N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} CH_2 - N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} CH_2 - N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} CH_2 - N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} N \\ \hline \end{array} \begin{array}{c} N \\ \end{array} \begin{array}{c} N \\ \hline \end{array} \begin{array}{c} N \\ \end{array} \begin{array}{c}$$

RN 858936-91-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromo-2-fluorophenyl)-5isoxazolyl]methyl]-2-(3-chloro-2-fluorophenyl)- (CA INDEX NAME)

RN 858937-43-6 CAPLUS CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858937-44-7 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]-2-(2-fluorophenyl)- (CA INDEX NAME)

- RN 858937-45-8 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(3-chloro-2-fluorophenyl)-5-[[3-(2,4-difluorophenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-53-1 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-methoxy-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{N} \\ \text{O} \end{array}$$

- RN 858938-56-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-ethoxyphenyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-57-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\stackrel{\text{MeO}}{\longrightarrow} \text{CH}_2 - \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \text{F}$$

RN 858938-58-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methylphenyl)-5isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-59-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-furanyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$0 \\ N-0 \\ CH_2 \\ N \\ F$$

RN 858938-60-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-thienyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN

CN Benzenamine, 4-[5-[[2-(2,3-difluorophenyl)-5H-imidazo[4,5-c]pyridin-5-y1]methyl]-3-isoxazolyl]-N,N-dimethyl- (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Me}_2 \operatorname{N} & & \\ & & \\ \operatorname{N-O} & & \\ \operatorname{CH}_2 - & & \\ \operatorname{N} & & \\ \end{array}$$

- RN 858938-62-2 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[(3-[1,1'-biphenyl]-4-yl-5-isoxazolyl)methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858938-63-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-bromophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858938-64-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(phenylmethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-65-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(methylthio)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-66-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(2-fluoro-4-methoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} F \\ \hline \\ N-O \end{array} CH_2 - N \\ \hline \\ N \\ F \end{array} F$$

RN 858938-67-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chloro-2-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-68-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-propoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$n-PrO$$
 CH_2
 N
 N
 F

RN 858938-69-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-phenoxyphenyl)5-isoxazolyl]methyl]- (CA INDEX NAME)

PhO
$$CH_2$$
 N P F

RN

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(1-methyl-1H-pyrrol-2-yl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-71-3 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(1-methylethoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

- RN 858938-72-4 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(5-chloro-2-thienyl)-5-isoxazolyl]methyl]2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$C1$$
 S $N-O$ CH_2 N N F

- RN 858938-73-5 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(5-bromo-2-thienyl)-5-isoxazolyl]methyl]2-(2,3-difluorophenyl)- (CA INDEX NAME)

- RN 858938-74-6 CAPLUS
- CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-butoxyphenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-75-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[(3-(4-propoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-76-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(2-propen-1-yloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-77-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[4-chloro-3-(4-chlorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858938-78-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[4-bromo-3-(4-chloropheny1)-5isoxazoly1]methyl]-2-(2,3-difluoropheny1)- (CA INDEX NAME)

RN 858938-81-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-

(2,3-difluorophenyl)-4-methyl- (CA INDEX NAME)

RN 858938-82-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)-7-methyl- (CA INDEX NAME)

RN 858938-83-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 7-chloro-2-(2,3-difluorophenyl)-5-[[3-(4ethoxyphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858938-84-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)-7-(trifluoromethyl)- (CA INDEX NAME)

RN 858938-85-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chlorophenyl)-5-isoxazolyl]methyl]-2(2,3-difluorophenyl)-7-fluoro- (CA INDEX NAME)

RN 858938-94-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 858935-21-4 CMF C23 H13 F5 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 858938-95-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2-fluorophenyl)-5-[[3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 858939-15-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-(4-methoxy-2methylphenyl)-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-16-9 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-(4-chloro-3-fluorophenyl)-5isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

RN 858939-17-0 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(1,1dimethylethoxy)-3-fluorophenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-18-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(pentyloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-19-2 CAPLUS

5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluoropheny1)-5-[[3-(3-furany1)-5-CN isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-20-5 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 5-[[3-[4-(cyclohexylmethoxy)phenyl]-5-isoxazolyl]methyl]-2-(2,3-difluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-O \\ \hline \\ N-O \end{array} \begin{array}{c} CH_2-N \\ \hline \\ N \end{array} \begin{array}{c} N \\ \hline \\ \end{array} \begin{array}{c} F \\ \end{array}$$

RN 858939-21-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-[(5-methyl-4-hexen-1-yl)oxy]phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

$$\text{Me}_2 \text{C} = \text{CH} - (\text{CH}_2)_3 - 0$$

$$\text{N} = 0$$

$$\text{CH}_2 - \text{N}$$

$$\text{N} = \text{F}$$

RN 858939-22-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-difluorophenyl)-5-[[3-[4-(hexyloxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

RN 858939-23-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine, 2-(2,3-diffluorophenyl)-5-[[3-[4-(2ethylbutoxy)phenyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)

 -> log y COST IN U.S. DOLLARS
 SINCE FILE ENTRY 17.42
 TOTAL SESSION 17.42

 FULL ESTIMATED COST
 17.42
 195.10

 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
 SINCE FILE ENTRY
 TOTAL ENTRY

 CA SUBSCRIBER PRICE
 -2.46
 -89.38

STN INTERNATIONAL LOGOFF AT 12:06:53 ON 28 JAN 2009